* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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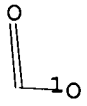

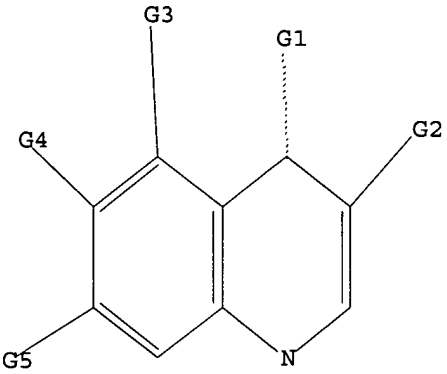

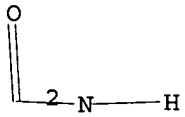

G1 H,O,S

G2 H,CO2H,COOH,CHO,[@1],[@2]

G3 C,H,N

G4 C,H,N,OH,X

G5 N,OH,X

Structure attributes must be viewed using STN Express query preparation.

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L4 STRUCTURE UPLOADED

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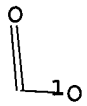
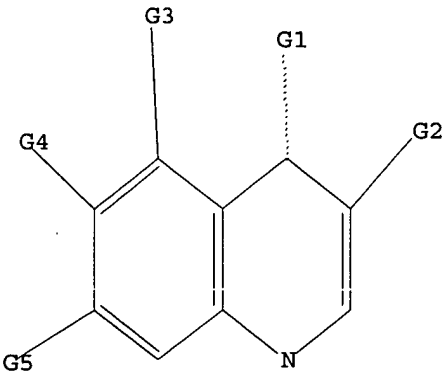
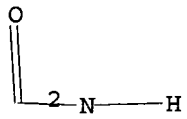
L4 HAS NO ANSWERS
L4 STR







G1 H,O,S

G2 H,CO2H,COOH,CHO,[@1],[@2]

G3 H,NH,NH2,Ak

G4 H,OH,X,Ak,NH2

G5 N,OH,X

Structure attributes must be viewed using STN Express query preparation.

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 61.4% PROCESSED  400000 ITERATIONS                          6453 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.19

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
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PROJECTED ANSWERS:           10204 TO    10818

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L8          868 EFFLUX PUMP
                (EFFLUX(W)PUMP)
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=> s l7 and efflux
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L10  ANSWER 1 OF 1  CA  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         135:221312  CA
TITLE:                    Therapeutic uses of PPAR mediators as ABC-1 expression
                          modulators, and preparation thereof
INVENTOR(S):              Jaye, Michael; Duverger, Nicolas; Searfoss, George;
                          Minnich, Anne
PATENT ASSIGNEE(S):       Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 176 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2001066098      A2   20010913       WO 2001-EP2482   20010306
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                  US 2000-188323   P  20000309
                                        GB 2000-13589   A  20000602
OTHER SOURCE(S):          MARPAT 135:221312
AB   The invention discloses the use of PPAR mediators, and their
     pharmaceutical compns., as ATP binding cassette transporter 1 (ABC-1)
     expression modulators, wherein the PPAR ligand receptor agonists of the
     invention are useful as inducers of ABC-1 expression.  Prepn. of compds.
     of the invention is included.  Also disclosed are methods for treating
     e.g. low levels of HDL.
IT   123226-03-9P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (PPAR mediators as ABC-1 expression modulators, prepn., and therapeutic
        use)
RN   123226-03-9  CA
CN   Propanoic acid, 2-[2-[[4-[(7-chloro-2-quinolinyl)methoxy]phenoxy]methyl]ph
     enoxy]- (9CI)  (CA INDEX NAME)
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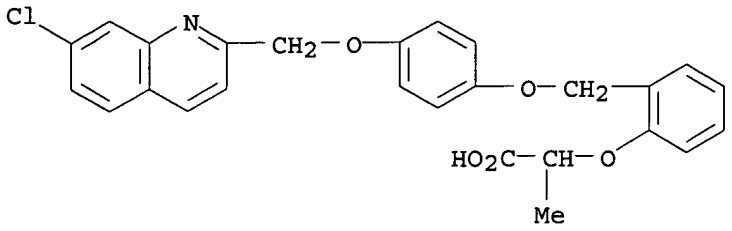

IT **123226-03-9P 123226-06-2P 303217-33-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR mediators as ABC-1 expression modulators, prepn., and therapeutic use)

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L12        207 PHARM? AND L11

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L13        191 L12 AND PY<2001

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         57623 ANTIBACT?
L14         35 L13 AND ANTIBACT?

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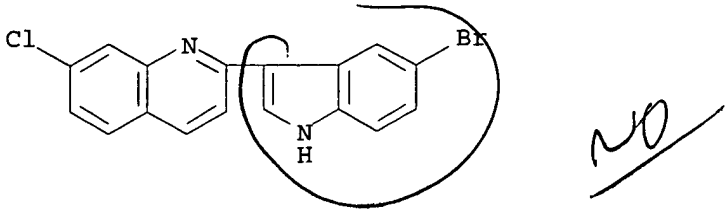
L14  ANSWER 1 OF 35  CA  COPYRIGHT 2002 ACS
ACCESSION NUMBER:        134:162903  CA
TITLE:                   Potent In vitro methicillin-resistant Staphylococcus
                         aureus activity of 2-(1H-indol-3-yl)quinoline
                         derivatives
AUTHOR(S):               Hoemann, M. Z.; Kumaravel, G.; Xie, R. L.; Rossi, R.
                         F.; Meyer, S.; Sidhu, A.; Cuny, G. D.; Hauske, J. R.
CORPORATE SOURCE:        Sepracor Inc., Marlborough, MA, 01752, USA
SOURCE:                  Bioorg. Med. Chem. Lett. (2000), 10(23),
                         2675-2678
                         CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:               Elsevier Science Ltd.
DOCUMENT TYPE:           Journal
LANGUAGE:                English
```

AB A novel structural class of **antibacterials**, 2-(1H-indol-3-yl)quinolines, effective against methicillin-resistant Staphylococcus aureus (MRSA), was discovered from a combinatorial library. A structure-activity relationship (SAR) study was conducted to det. the **pharmacophore** and increase the potency of these compds. Compds. were prepd. that had min. inhibitory concns. (MICs) <1.0 .mu.g/mL against MRSA and retained activity against two strains of glycopeptide intermediate-resistant S. aureus (GISA).

IT **325800-42-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and potent in vitro methicillin-resistant Staphylococcus aureus activity of (indolyl)quinoline derivs.)
RN 325800-42-8 CA
CN Quinoline, 2-(5-bromo-1H-indol-3-yl)-7-chloro- (9CI) (CA INDEX NAME)



IT **325800-42-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and potent in vitro methicillin-resistant Staphylococcus aureus activity of (indolyl)quinoline derivs.)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:213151 CA
TITLE: **Pharmaceutical** compositions and methods for improved delivery of hydrophobic therapeutic agents
INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6294192	B1	20010925	US 1999-258654	19990226
EP 1158959	A1	20011205	EP 2000-901394	20000105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-258654 A 19990226
WO 2000-US165 W 20000105

AB The present invention relates to triglyceride-free **pharmaceutical** compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A **pharmaceutical** compn.

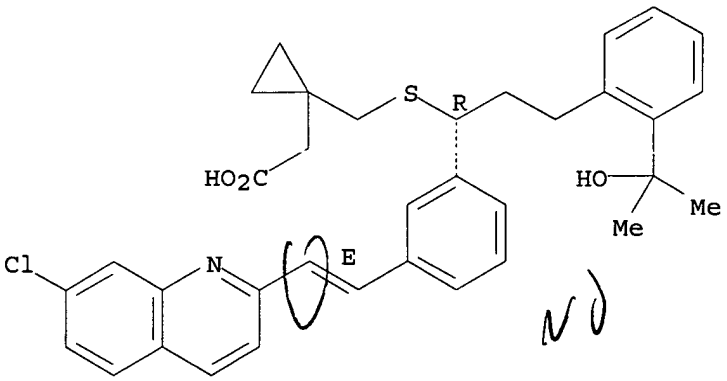
contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT **158966-92-8**, Montelukast
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**pharmaceutical** compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 158966-92-8 CA

CN Cyclopropaneacetic acid, 1-[[[(1R)-1-[3-[(1E)-2-(7-chloro-2-quinolinyl)ethenyl]phenyl]-3-[2-(1-hydroxy-1-methylethyl)phenyl]propyl]thio]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **158966-92-8**, Montelukast
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**pharmaceutical** compns. and methods for improved delivery of hydrophobic therapeutic agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

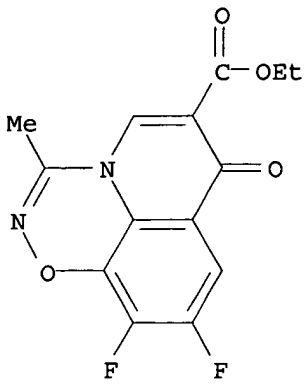
L14 ANSWER 3 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:135294 CA
TITLE: New 1,8-peri-annelated tricyclic quinolone **antibacterials**
AUTHOR(S): Miao, H.; Cecchetti, V.; Tabarrini, O.; Fravolini, A.
CORPORATE SOURCE: Istituto di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy
SOURCE: Journal of Heterocyclic Chemistry (**2000**), 37(2), 297-301
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New tricyclic quinolones, resulting from peri-annelation of 1,2,4-oxadiazine moiety at the N-1/C-8 position of the **pharmacophoric** quinolone nucleus, were prepd. None of the synthesized compds. showed interesting **antibacterial** activity in vitro against the tested strains, with the exception of Klebsiella pneumoniae which was susceptible to all the compds. at MIC values of 8 .mu.g/mL.

IT **286455-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)

RN 286455-55-8 CA

CN 7H-Pyrido[1,2,3-de]-1,2,4-benzoxadiazine-6-carboxylic acid, 9,10-difluoro-3-methyl-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)

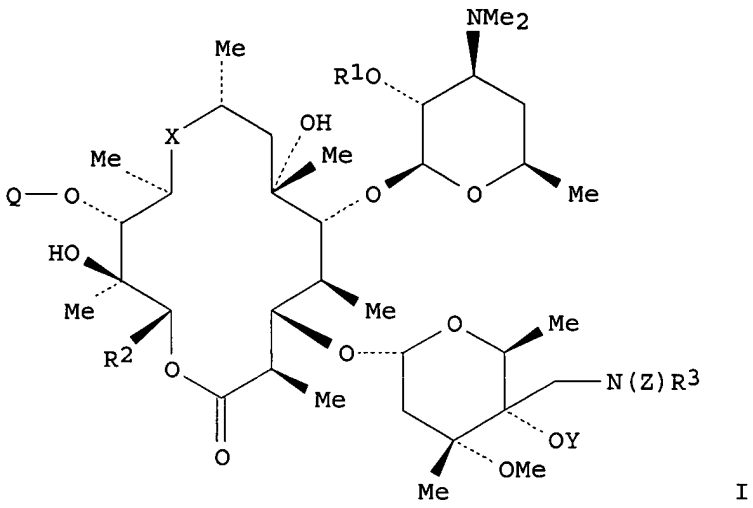


IT **286455-55-8P 286455-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)
IT **286455-54-7P 286455-57-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 132:251371 CA
TITLE: Preparation of erythromycin derivatives as **antibacterial** agents
INVENTOR(S): Bronk, Brian Scott; Cheng, Hengmiao; Dutra, Jason Kenneth; Letavic, Michael Anthony; Rafka, Robert John
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 992509	A2	20000412	EP 1999-307938	19991008	<--
EP 992509	A3	20010307			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6100240	A	20000808	US 1999-396876	19990916	<--
JP 2000119294	A2	20000425	JP 1999-286835	19991007	<--
BR 9905089	A	20000808	BR 1999-5089	19991011	<--

PRIORITY APPLN. INFO.: US 1998-103838 P 19981009
OTHER SOURCE(S): MARPAT 132:251371
GI



I

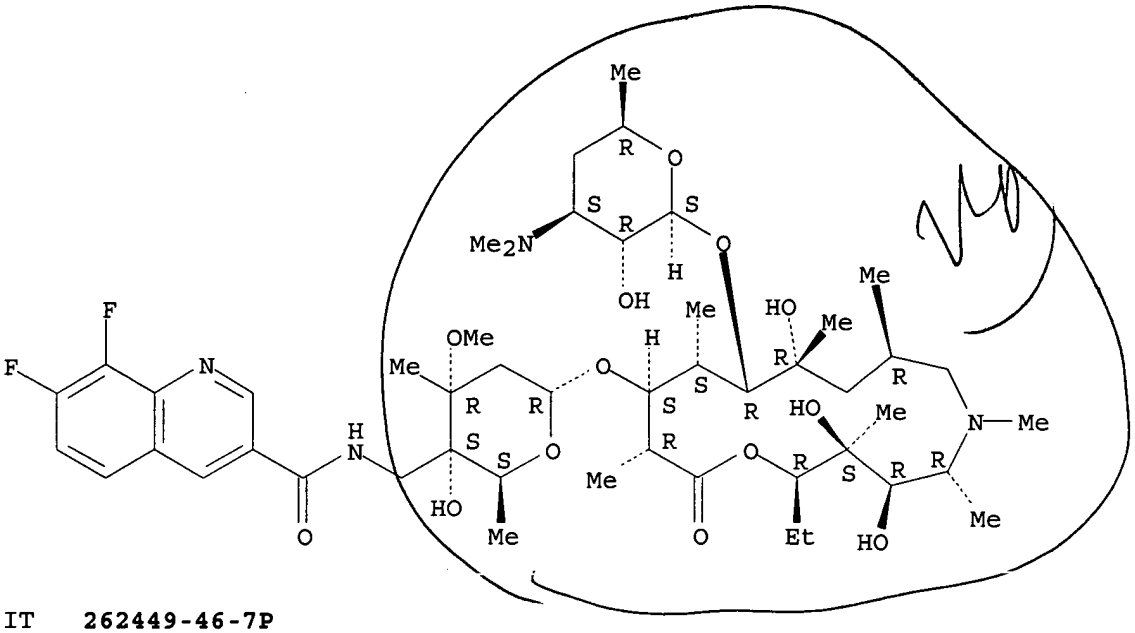
AB The invention relates to compds. of the formula I and to **pharmaceutically** acceptable salts thereof, wherein R1, R2, R3, Q, X, Y and Z are as defined herein. The invention also relates to **pharmaceutical** compns. contg. the compds. of formula I, methods of using said compds. of formula I in the treatment of infections, and methods of prepg. said compds. of formula I. Thus, I (R1 = R3 = Q = Y = H, R2 = Et, X = NMe, Z = 3-pyridylcarbonyl) was prepd. and tested as **antibacterial** agent.

IT **262449-46-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of erythromycin derivs. as **antibacterial** agents)

RN 262449-46-7 CA

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[[2,6-dideoxy-4-C-[[[(7,8-difluoro-3-quinolinyl)carbonyl]amino]methyl]-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **262449-46-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of erythromycin derivs. as **antibacterial** agents)

L14 ANSWER 5 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:310460 CA
TITLE: Preparation of tetrabenzo[a,c,g,i]fluorene derivatives as supports for synthesis and purification of compounds
INVENTOR(S): DeWitt, Sheila Helen; Ramage, Robert; MacDonald, Alasdair Arthur
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: U.S., 16 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977400	A	19991102	US 1998-48166	19980325 <--

PRIORITY APPLN. INFO.: US 1997-41618 19970327
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Described is a method for the prepn. and purifn. of compds. using a novel support, a tetrabenzo[a,c,g,i]fluorene group (Tbf) comprising reacting a building block (A) contg. a Tbf group (Tbf-A), with a second building block (B), to afford an intermediate compd. (Tbg-A-B) followed by purifying the intermediate compd. by adsorption on a carbon support, removing the intermediate compd. from the support with a solvent and repeating the previous reactions using the required no. of building blocks

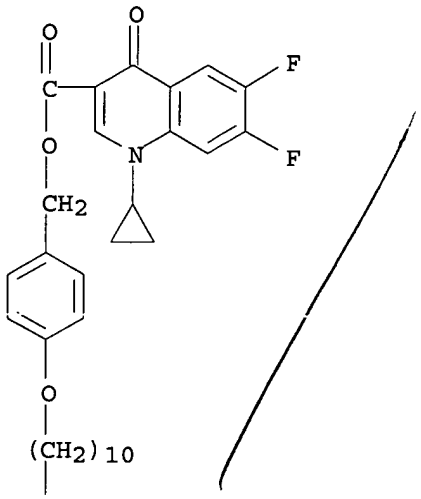
to synthesize the compds. followed by removal of the Tbf group to afford the desired compds. More particularly, the present invention relates to a method for prepg. and purifying a library of compds. useful as **pharmaceutical** agents, e.g. quinolone **antibacterial** agents (no data), using a tetrabenzo[a,c,g,i]fluorene group (Tbf). Thus, [4-[[10-(17H-Tetrabenzo[a,c,g,i]fluoren-17-yl)-decyl]oxy]phenyl]methyl 2,4,5-trifluoro-.beta.-oxobenzenepropanoate (I; R = Q) (21.0 mg) was dissolved in DCM/methanol (3:2, 50 mL) and adsorbed onto activated charcoal (210 mg). The supernatant was removed and the charcoal residue was suspended in freshly distd. THF (30 mL) in an oven-dried, three-necked flask fitted with a reflux condenser, treated with a THF soln. of 37.7 mg DMF di-Me acetal, and stirred at room temp. for 18 h to give a suspension contg. charcoal-adsorbed I (R = Q1). The latter suspension was treated with a THF soln. of 26.4 mg cyclopropylamine and stirred at room temp. for 24 h, and then treated with a THF soln. of 71.6 mg tetramethylguanidine and refluxed for 24 h, and cooled to room temp., treated with MeOH, and stirred at room temp. for 20 min. The supernatant was removed and the carbon residue contg. I (R = Q2) was suspended in a soln. of 56.4 mg piperazine in 30 mL N-methylpyrrolidinone and stirred at 110.degree. for 4 h and cooled to room temp., and then treated with MeOH and stirred for 30 min. The supernatant was removed and the charcoal residue was sonicated in toluene (4.times.70 mL). Exts. were combined and the solvent was removed in vacuo to give after silica gel chromatog., two products one of which is the desired **antibacterial** quinolone Tbf ester (I; R = Q3).

IT **219532-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for synthesis and purifn. of compds. or library of compds.)

RN 219532-25-9 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-, [4-[[10-(17H-cyclopenta[1,2-l:3,4-l']diphenanthren-17-yl)decyl]oxy]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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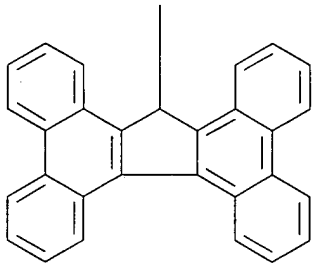


IT **219532-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for synthesis and purifn. of compds. or library of compds.)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 130:52343 CA
TITLE: Preparation of substituted cyclobutylamine derivatives as **antibacterial** agents
INVENTOR(S): Takemura, Makoto; Takahashi, Hisahi; Sugita, Kazuyuki; Miyauchi, Rie
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9854169	A1	19981203	WO 1998-JP2359	19980528	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ZA 9804527	A	19981203	ZA 1998-4527	19980527	<--
AU 9874539	A1	19981230	AU 1998-74539	19980528	<--
AU 732175	B2	20010412			
EP 990654	A1	20000405	EP 1998-921863	19980528	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
BR 9809702	A	20011211	BR 1998-9702	19980528	
NO 9905839	A	20000128	NO 1999-5839	19991129	<--

PRIORITY APPLN. INFO.: JP 1997-141398 A 19970530
WO 1998-JP2359 W 19980528

OTHER SOURCE(S): MARPAT 130:52343
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted cyclobutylamine derivs. with novel structures represented by general formula [I; R1, R2 = H, OH, halo, CONH2, (un)substituted C1-6 alkyl, C1-6 alkoxy or alkylthio (excluding the case where both R1 and R2 are H); R3, R4 = H, (un)substituted C1-6 alkyl; n = 1,2; R5 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, aryl, or heteroaryl, C1-6 alkoxy or alkylamino; R6 = H, C1-6 alkylthio; or R6 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. S, and optionally having C1-6 alkyl substituent; R7 = H, (un)acylated NH2, thiol, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy; X1 = H, halo; A1 = Q; wherein X1 = H, NH2, halo, cyano, halomethyl, halomethoxy, etc.; or X2 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. O, N, or S, and optionally having C1-6 alkyl substituent: A2, A3 = N, C; or A2 and A3 together with the attached C atoms represent the partial structure Q2 or Q3; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, cholinyl, dimethylaminoethyl, 5-indanyl, etc.] are prepd. These derivs. are useful as **antibacterial** compds. which have excellent **antibacterial** actions over a wide scope of bacteria including gram-neg. and gram-pos. ones, exert potent **antibacterial** activities particularly on methicillin-resistant (Staphylococcus aureus) (MRSA), penicillin-resistant Streptococcus pneumoniae and quinolone-resistant bacteria and are excellent in the (in vivo) dynamics and safety. Thus, 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-8-methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 3-[3-(tert-butoxycarbonylamino)-1-fluorocyclobutan-3-yl]pyrrolidine (prepn. given) were suspended in DMSO, followed by adding Et3N, and the

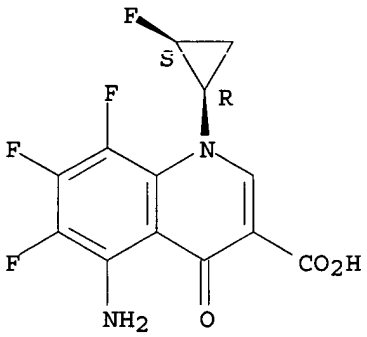
resulting mixt. was stirred at 110.degree. for 72 h. The solvent was distd. off under reduced pressure and the residue was treated with concd. HCl under ice-cooling to give, after workup and chromatog. purifn., the title compd. (II) in 36.0% yield. II showed min. inhibitory concn. of 0.013 and .ltoreq.0.003 .mu.g/mL against Staphylococcus aureus 870307 and Streptococcus pneumoniae J24, resp. **Pharmaceutical** formulations contg. I were prepd.

IT **127199-34-2**
RL: RCT (Reactant)
(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

RN 127199-34-2 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **127199-34-2 181814-90-4**
RL: RCT (Reactant)
(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 127:307319 CA

TITLE: Novel Fluoroquinolone **Antibacterial** Agents Containing Oxime-Substituted (Aminomethyl)pyrrolidines: Synthesis and **Antibacterial** Activity of 7-(4-(Aminomethyl)-3-(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl -6-fluoro- 4-oxo-1,4-dihydro[1,8]naphthyridine-3-carboxylic Acid (LB20304)

AUTHOR(S): Hong, Chang Yong; Kim, Young Kwan; Chang, Jay Hyok; Kim, Se Ho; Choi, Hoon; Nam, Do Hyun; Kim, Yong Zu; Kwak, Jin Hwan

CORPORATE SOURCE: Biotech Research Institute, LG Chem Research Park, Tae-Jon, 305-380, S. Korea

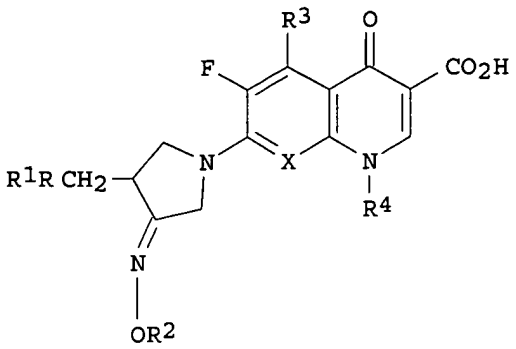
SOURCE: J. Med. Chem. (**1997**), 40(22), 3584-3593
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



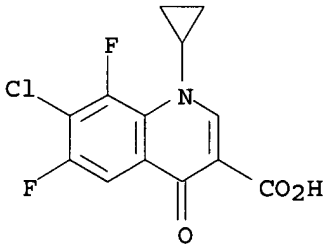
I

AB Title compds. I [X = CF, CCl, CH, COMe, N; R, R1 = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2,4-F2C6H3] were prepd. from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity against both Gram-neg. and Gram-pos. organisms, including methicillin-resistant Staphylococcus aureus (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine = F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compd. In addn., the oxime moiety greatly improved the **pharmacokinetic** parameters of the novel quinolones. LB20304 (I, X = N, R, R1, R3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and **pharmacokinetic** profile in animals, as well as good phys. properties.

IT **140412-78-8**
RL: RCT (Reactant)
(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

RN 140412-78-8 CA

CN 3-Quinolinecarboxylic acid, 7-chloro-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **140412-78-8 140412-79-9 197143-61-6**
197143-62-7
RL: RCT (Reactant)
(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

L14 ANSWER 8 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 127:176359 CA

TITLE: Synthesis, **pharmacokinetics**, and biological activity of a series of new pyridonecarboxylic acid

antibacterial agents bearing a 5-fluoro-2-pyridyl group or a 3-fluoro-4-pyridyl group at N-1

AUTHOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Oh, Yoon Seok; Choi, Dong Rack; Kim, Nam Doo; Lim, Jae Kyung; Jin, Yoon Ho; Lee, Dug Keun; Lee, Won Yong

CORPORATE SOURCE: Dong Wha Pharmaceutical Research Division, Department of Chemistry, Anyang, 430-010, S. Korea

SOURCE: J. Heterocycl. Chem. (**1997**), 34(3), 1021-1027
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

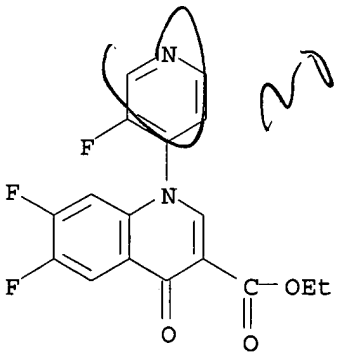
LANGUAGE: English

AB The 1-(5-fluoro-2-pyridyl) or 1-(3-fluoro-4-pyridyl) group was introduced in the syntheses of new pyridonecarboxylic acid **antibacterial** agents. 1-(5-Fluoro-2-pyridyl)-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxoquinolone-3-carboxylic acid (DW-116) showed a moderate in vitro **antibacterial** activity, but it was found to have very excellent **pharmacokinetic** profiles so that DW-116 showed dramatic increased in vivo efficacy.

IT **164151-04-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., **pharmacokinetics**, and **antibacterial** activity of pyridonecarboxylic acids)

RN 164151-04-6 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(3-fluoro-4-pyridinyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **164151-04-6P 164151-07-9P 164151-09-1P 193884-05-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., **pharmacokinetics**, and **antibacterial** activity of pyridonecarboxylic acids)

L14 ANSWER 9 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 127:233 CA

TITLE: **Pharmacokinetics** of prulifloxacin. Part 3. Metabolism in rats, dogs, and monkeys

AUTHOR(S): Okuyama, Yoshio; Morino, Akira

CORPORATE SOURCE: Research Laboratories, Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan

SOURCE: Arzneim.-Forsch. (**1997**), 47(3), 293-298
CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Cantor

DOCUMENT TYPE: Journal

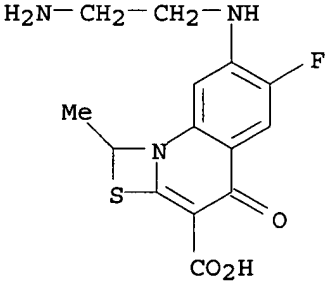
LANGUAGE: English

AB The metab. of the quinolone **antibacterial** prodrug prulifloxacin (NM441) in rats, dogs, and monkeys was investigated after oral administration of 14C-NM441 or unlabeled NM441. NM394 which is the active metabolite of NM441, the NM394 acyl glucuronide, the ethylenediamino form, the diol form, and the amino form were found in the urine of all 3 species, and the oxo form was detected in monkey urine only. NM394 was the main metabolite in the urine of dogs and monkeys. NM394 was the main metabolite in the plasma, urine, and feces in rats, and NM394 and its acyl glucuronide were the main biliary metabolites. These results indicate that NM441 was transformed into a variety of metabolites, but that most of the drug administered was metabolized to NM394 by hydrolytic cleavage of the dioxolene ring.

IT **178039-87-7**
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of prulifloxacin in rats, dogs, and monkeys)

RN 178039-87-7 CA

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 7-[(2-aminoethyl)amino]-6-fluoro-1-methyl-4-oxo- (9CI) (CA INDEX NAME)



IT **178039-87-7 190320-06-0**
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of prulifloxacin in rats, dogs, and monkeys)

L14 ANSWER 10 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 126:144048 CA
TITLE: preparation of diphosphonate derivatives of bactericides and antitumor agents
INVENTOR(S): Hartmann, John F.; Farcasiu, Dan
PATENT ASSIGNEE(S): Elizanor Biopharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 45PP
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640156	A1	19961219	WO 1996-US9271	19960606 <--
W: AU, BR, CA, CZ, HU, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5854227	A	19981229	US 1995-473787	19950607 <--
AU 9660949	A1	19961230	AU 1996-60949	19960606 <--
EP 831845	A1	19980401	EP 1996-918247	19960606 <--
R: DE, ES, FR, GB, IT, SE				

PRIORITY APPLN. INFO.: US 1995-473787 19950607

US 1994-206113 19940304
WO 1996-US9271 19960606

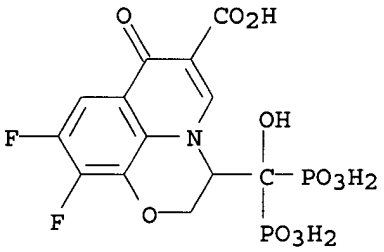
OTHER SOURCE(S): MARPAT 126:144048

AB Novel chemotherapeutic agents A-(V)m-(R)n-C(PO3H2)2OH (I) [A = a residue of a **pharmaceutically** active chem. entity such as substituted pyrido[1,2,3-de]1,4-benzoxazine, 1,6-naphthyridine, tetracene-5,12-dione, penam or cephem; V = O, S, NR1, CONR1, CO2, O2C, OCO2, COS, SCO, SCOS, NR1CO, O2CNR1, NR1CO2, NR1CONR2, CONR1NR2, NR1NR2CO, NR1C(=NH)NR2, NR1C(=NH)NHC(=NH)NR2 wherein R, R1, R2 = H, (un)substituted org. or (un)substituted heteroorg. group; m = n =1 or m or n = o] having utility in treating infectious diseases such as periodontal disease, certain urinary tract infections, infectious urinary tract stones, and bone cancer, are obtained by combining chem. a diphosphonate compd. with a therapeutic agent effective against the foregoing diseases. **Pharmaceutical** compns. contg. I and the **pharmaceutically** active entities pyrido[1,2,3-de]1,4-benzoxazine and 1,6-naphthyridine are described.

IT **186520-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

RN 186520-58-1 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9,10-difluoro-2,3-dihydro-3-(hydroxydiphosphonomethyl)-7-oxo- (9CI) (CA INDEX NAME)



IT **186520-58-1P 186520-59-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

IT **186520-17-2P 186520-21-8P 186520-23-0P**
186520-26-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

L14 ANSWER 11 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 125:300911 CA

TITLE: On the binding site of quinolone **antibacterials**. An attempt to probe the Shen model

AUTHOR(S): Hanessian, Stephen; Saladino, Raffaele; Nunez, Jose Cid

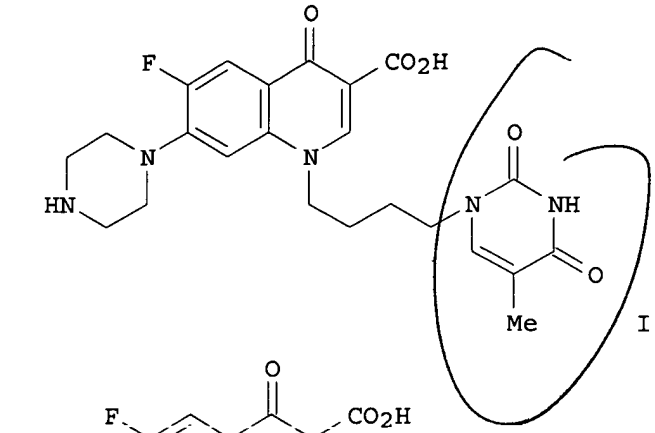
CORPORATE SOURCE: Dep. Chem., Universite Montreal, Montreal, H3C 3J7, Can.

SOURCE: Bioorg. Med. Chem. Lett. (**1996**), 6(19), 2333-2338
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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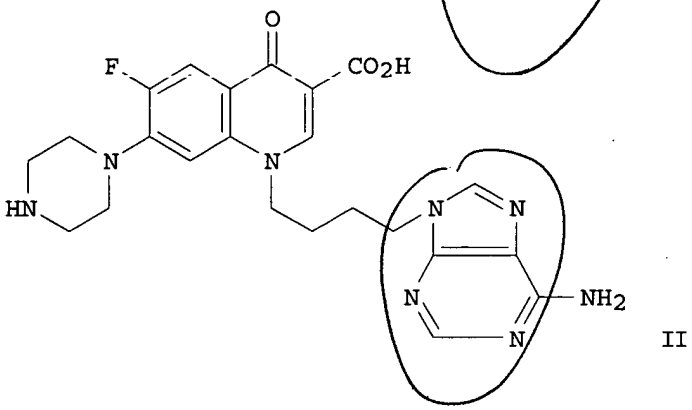


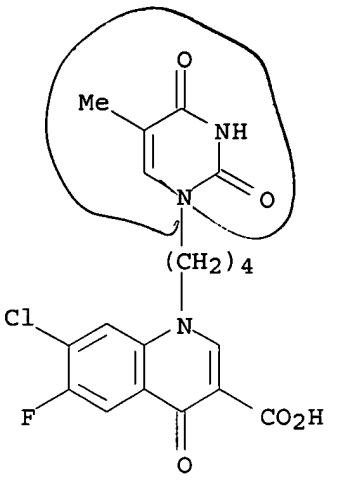
II

AB Previously, quinolinones were reported to exhibit preferential binding to unpaired guanidine bases in a single-stranded DNA region (Shen model). Thus, quinolinone derivs. of nucleic acid base hybrids were synthesized in an effort to probe a mechanistic model and a proposed mode of **antibacterial** action where stacked pairs of quinolones interact with DNA through H-bonding. Example compds. were I and II. However, the model compds. lacked biol. activity.

IT **182627-56-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of [(pyrimidinyl)alkyl]oxoquinolinecarboxylates as probes for DNA-cleaving activity of bactericides)

RN 182627-56-1 CA

CN 3-Quinolinecarboxylic acid, 7-chloro-1-[4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)butyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **182627-56-1P 182627-57-2P 182627-58-3P**
182627-59-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of [(pyrimidinyl)alkyl]oxoquinolinecarboxylates as probes for DNA-cleaving activity of bactericides)

L14 ANSWER 12 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 125:142773 CA
TITLE: Novel benzyl pyrimidines with **antibacterial** activity.
INVENTOR(S): Guerry, Philippe; Jolidon, Synese; Masciadri, Raffaello; Stalder, Henri; Then, Rudolf
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616046	A2	19960530	WO 1995-EP4451	19951113 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641161	A1	19960617	AU 1996-41161	19951113 <--
AU 704911	B2	19990506		
EP 793656	A1	19970910	EP 1995-939267	19951113 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1166831	A	19971203	CN 1995-196398	19951113 <--
HU 77372	A2	19980330	HU 1997-1973	19951113 <--
BR 9509768	A	19980707	BR 1995-9768	19951113 <--
JP 11507009	T2	19990622	JP 1996-516521	19951113 <--
US 5763450	A	19980609	US 1997-836857	19970521 <--
FI 9702194	A	19970522	FI 1997-2194	19970522 <--
NO 9702393	A	19970529	NO 1997-2393	19970526 <--

PRIORITY APPLN. INFO.: CH 1994-3536 A 19941124
CH 1995-2704 A 19950925

WO 1995-EP4451 W 19951113

OTHER SOURCE(S): MARPAT 125:142773

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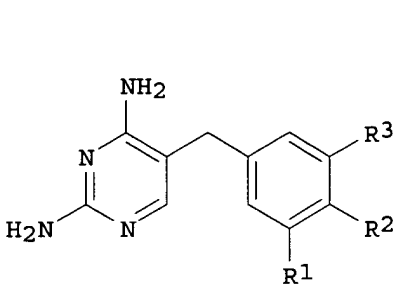

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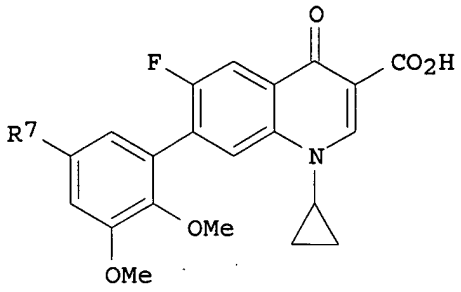

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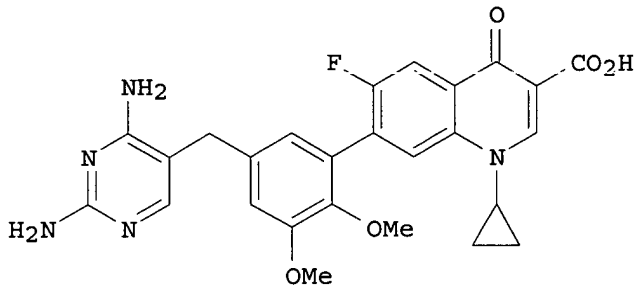


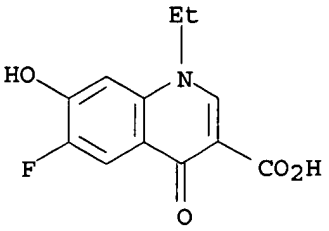
III

AB Substituted 5-benzyl-2,4-diaminopyrimidines of formula I [R1 = alkoxy; R2 = Br or alkoxy; R3 = aryl, heteroaryl, QR4; Q = CH2CH2, CH:CH, C.tplbond.C; R4 = aryl, heteroaryl, alkoxycarbonyl, or carbamoyl], and their readily hydrolyzable esters and **pharmaceutically** acceptable salts, can be used in the control or prevention of infectious diseases. Prepns. of approx. 250 example compds. and many intermediates are described, plus bioassay results for selected compds. against 3 organisms. For example, quinoline deriv. II [R7 = CHO] was condensed with PhNHCH2CH2CN in DMSO in the presence of KOBu-tert to give 98% II [R7 = PhNHCH:C(CN)CH2]. This was then cyclocondensed with guanidine-HCl in EtOH in the presence of KOBu-tert to give 44% title compd. III, which was isolated as the trifluoroacetate (IV). IV inhibited purified dihydrofolate reductase (DHFR) of Staphylococcus aureus ATCC 25923 and S. aureus 157/4696 with IC50 values of 0.0009 and 0.0500 .mu.M, resp., vs. 0.0340 .mu.M for trimethoprim. IV also had IC50 of 0.0190 .mu.M against DHFR of Pneumocystis carinii, vs. 43.0 .mu.M for trimethoprim.

IT **126093-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of novel benzylpyrimidines as **antibacterials**)

RN 126093-18-3 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



IT **126093-18-3P 179942-67-7P 179942-68-8P**
179942-69-9P 179942-71-3P 179942-72-4P
179942-73-5P 179942-74-6P 179942-80-4P
179942-81-5P 179942-82-6P 179942-83-7P
179942-84-8P 179942-85-9P 179942-86-0P
179942-87-1P 179942-88-2P 179942-89-3P
179942-90-6P 179942-91-7P 179942-93-9P
179942-94-0P 179942-95-1P 179942-96-2P
179942-97-3P 179942-98-4P 179942-99-5P
179943-01-2P 179943-04-5P 179943-05-6P
179943-16-9P 179943-17-0P 179943-20-5P
179943-31-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of novel benzylpyrimidines as **antibacterials**)

IT **131993-96-9 148122-56-9 179943-55-6**
179943-57-8, Ethyl 7-bromo-4-hydroxyquinoline-3-carboxylate
RL: RCT (Reactant)
(starting material; prepn. of novel benzylpyrimidines as **antibacterials**)

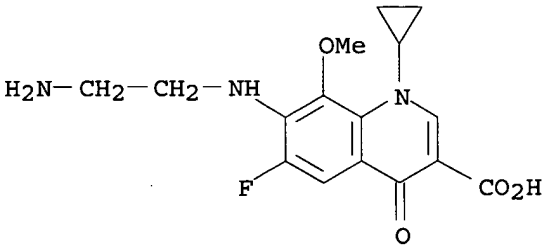
L14 ANSWER 13 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 124:75457 CA
TITLE: Single- and multiple-dose **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, in humans
AUTHOR(S): Nakashima, Mitsuyoshi; Uematsu, Toshihiko; Kosuge, Kazuhiro; Kusajima, Hisao; Ooie, Tsuyoshi; Masuda, Yuichi; Ishida, Ryozo; Uchida, Hiroshi
CORPORATE SOURCE: Dep. Pharmacol., Hamamatsu Univ. Sch. Med., Hamamatsu, 431-31, Japan
SOURCE: Antimicrob. Agents Chemother. (**1995**), 39(12), 2635-40
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, was examd. in healthy male volunteers after the oral administration of a single dose of 100, 200, 400, or 600 mg and multiple doses of 300 mg twice daily for 6.5 days (13 total doses). Throughout the whole study period, AM-1155 was well tolerated in every subject. In the single-dose study, the concns. in serum reached a peak between 1 and 2 h, and the peak concns. were 0.873, 1.71, 3.35, and 5.41 .mu.g/mL at the doses of 100, 200, 400, and 600 mg, resp. The elimination half-life was 7 to 8 h, independently of the doses. The unchanged drug was excreted mainly in the urine, with 82 to 88% of the doses appearing for 72 h. The fecal recovery of the unchanged drug amounted to 5.7% for 72 h after a single oral administration of a 400-mg dose. Urinary excretion of metabolites was minimal. The serum protein binding was 20%, independently

of the concns. in serum. The concns. in saliva were approx. 80% of those in serum. The intake of food had no effect on the **pharmacokinetic** parameters and urinary excretion of AM-1155 except the slight decrease in area under the concn.-time curve. The concurrent administration of probenecid prolonged the elimination half-life, increased the area under the concn.-time curve, and decreased the apparent total body clearance, renal clearance, urinary recovery of unchanged drug, and the excretion ratio (intrinsic renal clearance of AM-1155/creatinine clearance). This indicated that the tubular secretion contributed to the renal excretion of AM-1155. In the multiple-dose study, the concns. of AM-1155 in serum and urine reached a steady state within 2 to 3 days. The measured concns. in serum fitted well the simulation curve, which reflected the persistence of linear **pharmacokinetics** of AM-1155. In conclusion, AM-1155 is expected to be clin. useful because of its potent **antibacterial** activity and favorable **pharmacokinetics**.

IT **172426-86-7**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(single- and multiple-dose **pharmacokinetics** of 6-fluoro-8-methoxy quinolone AM-1155 in humans)

RN 172426-86-7 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminoethyl)amino]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)



IT **172426-86-7 172426-87-8 172426-88-9**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(single- and multiple-dose **pharmacokinetics** of 6-fluoro-8-methoxy quinolone AM-1155 in humans)

L14 ANSWER 14 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 123:187608 CA
TITLE: **Pharmacokinetics** of grepafloxacin. IV. Metabolism after oral administration of grepafloxacin in rats, monkeys, and humans
AUTHOR(S): Akiyama, Hitoshi; Koike, Masami; Kyuushiki, Kazuyo; Suzuki, Takashi; Kusumoto, Naotoshi; Morita, Seiji; Odomi, Masaaki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SOURCE: Nippon Kagaku Ryoho Gakkai Zasshi (**1995**), 43(Suppl. 1), 131-49
CODEN: NKRZE5
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

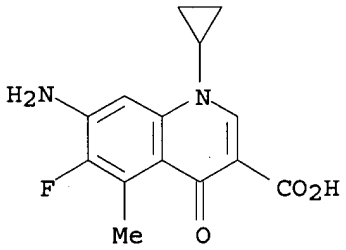
AB The metab. after oral administration of grepafloxacin (GPFX) or [14C]GPFX was investigated in rats, monkeys, and humans. The metabolites of GPFX identified using human urine and rat urine and bile were 2 GPFX glucuronic acid conjugates (3-glucuronide and 4'-glucuronide), one GPFX sulfate conjugate (4'-sulfate), 4 metabolites with a metabolized 3-methylpiperazinyl ring (DM-1704, DM-1705, DM-1706, and DM-1725) and 2

5-hydroxymethyl-type metabolites (DM-1722 and DM-1723). The main metabolite of GPFX in human plasma was DM-1705. The metabolites excreted in urine during the period of 0-72 h after dosing were 3-glucuronide (4.0% of the administered dose), 4'-glucuronide (3.5%), DM-1705 (3.0%), DM-1704 (1.3%), 4'-sulfate (1.0%) and DM-1706 (0.2%). The metabolites excreted in feces during the period of 0-72 h after dosing were DM-1705 (2.6%), DM-1704 (2.1%), DM-1725 (1.9%), DM-1706 (1.8%), and the 4'-sulfate (1.3%). The main metabolite of GPFX in plasma in both male and female rats was the 3-glucuronide. The main metabolite in urine was DM-1723 in male rats and the 3-glucuronide in female rats. The main metabolite in feces in both sexes was the 4'-sulfate. The main metabolite in bile in male rats was the 3-glucuronide. GPFX in male rat lungs accounted for more than 90.5% of the total radioactivity in the lungs. The main metabolites in monkey plasma, urine, and feces were DM-1704, DM-1704, and the 4'-sulfate, resp.

IT **149602-49-3**, DM 1706
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

RN 149602-49-3 CA

CN 3-Quinolinecarboxylic acid, 7-amino-1-cyclopropyl-6-fluoro-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



IT **149602-49-3**, DM 1706 **167971-92-8**, DM 1705
167971-93-9, DM 1704 **167971-94-0**, DM 1725
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

IT **149602-60-8**, DM 1722
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolite; metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

L14 ANSWER 15 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 123:169481 CA

TITLE: (Fluorocyclopropyl)quinolones. 2. Synthesis and Stereochemical Structure-Activity Relationships of Chiral 7-(7-Amino-5-azaspiro[2.4]heptan-5-yl)-1-(2-fluorocyclopropyl)quinolone **Antibacterial** Agents

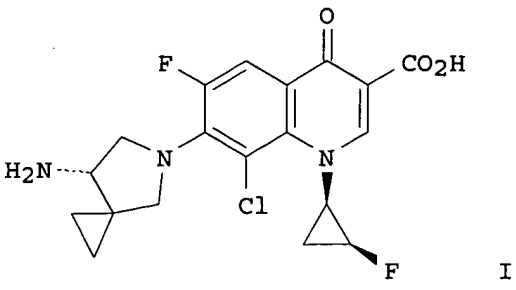
AUTHOR(S): Kimura, Youichi; Atarashi, Shohgo; Kawakami, Katsuhiro; Sato, Kenichi; Hayakawa, Isao

CORPORATE SOURCE: Exploratory Research Laboratories I, Daiichi Pharmaceutical Co. Ltd., Tokyo, 134, Japan

SOURCE: J. Med. Chem. (**1994**), 37(20), 3344-52
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English
GI



I

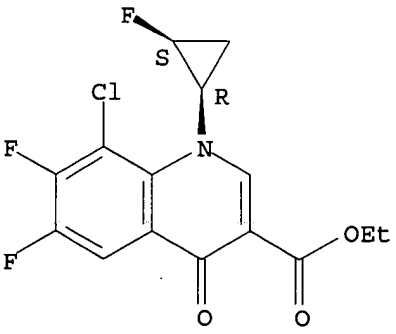
AB A series of novel chiral7-(7-amino-5-azaspiro[2.4]heptan-5-yl)-8-chloro-1-(2-fluorocyclopropyl)quinolones were synthesized as a continuation of a research project of 1-(2-fluorocyclopropyl)quinolones by considering stereochem. and physicochem. properties of the mol. Abs. configurations of the 1-(cis-2-fluorocyclopropyl) moiety and the 7-(7-amino-5-azaspiro[2.4]heptan-5-yl) moiety were detd. by x-ray crystallog. anal. Stereochem. structure-activity relationship studies indicated that 1-[(1R,2S)-2-fluorocyclopropyl] and 7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl] derivs. are more potent against Gram-pos. and Gram-neg. bacteria than the other stereoisomers and 7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-8-chloro-1-[(1R,2S)-2-fluorocyclopropyl]quinolone (I) is the most potent of all stereoisomers. **Pharmacokinetic** profiles and physicochem. properties of the selected compds. were also examd., and it was found that I (DU-6859a) possesses moderate lipophilicity and good **pharmacokinetic** profiles.

IT **127199-25-1P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

RN 127199-25-1 CA

CN 3-Quinolinecarboxylic acid, 8-chloro-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **127199-25-1P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

IT **127199-24-0P 127199-26-2P 127199-27-3P 167073-12-3P 167073-13-4P 167073-14-5P 167073-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

L14 ANSWER 16 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 123:32969 CA
TITLE: Novel quinolone carboxylic acid derivatives
INVENTOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Oh, Yoon Seok; Choi, Dong Rack; Kim, Nam Doo
PATENT ASSIGNEE(S): Dong Wha Pharmaceutical Industrial Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9505373	A1	19950223	WO 1994-KR6	19940121	<--
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, NO, RO, RU, SK					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2168764	AA	19950223	CA 1994-2168764	19940121	<--
AU 9458666	A1	19950314	AU 1994-58666	19940121	<--
AU 679961	B2	19970717			
EP 713487	A1	19960529	EP 1994-904772	19940121	<--
EP 713487	B1	20000419			
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, PT, SE					
CN 1128995	A	19960814	CN 1994-193069	19940121	<--
CN 1041202	B	19981216			
BR 9407283	A	19961001	BR 1994-7283	19940121	<--
JP 09500143	T2	19970107	JP 1994-506869	19940121	<--
JP 2758722	B2	19980528			
HU 75640	A2	19970528	HU 1996-322	19940121	<--
HU 216803	B	19990830			
RU 2120941	C1	19981027	RU 1996-104271	19940121	<--
AT 191911	E	20000515	AT 1994-904772	19940121	<--
US 5496947	A	19960305	US 1994-193475	19940208	<--
FI 9600634	A	19960212	FI 1996-634	19960212	<--

PRIORITY APPLN. INFO.: KR 1993-15724 19930813
WO 1994-KR6 19940121
US 1994-193475 19940208
OTHER SOURCE(S): CASREACT 123:32969; MARPAT 123:32969
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

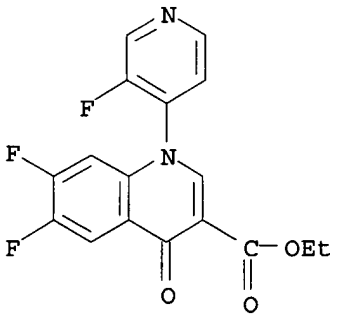
AB The invention relates to novel quinolone and naphthyridinone carboxylic acid derivs. I and their **pharmaceutically** acceptable salts and

hydrates [in which X = CH, CF, or N; Y = H, Me; R1 = H, C1-5 alkyl; R2 = 3-fluoro-4-pyridyl or 5-fluoro-2-pyridyl; R3 = Q1 or Q2; R4 = amino group [racemic or (S)-configuration]; R5, R6, R7 = H, C1-3 alkyl]. In addn. to having a broad **antibacterial** spectrum, some I show (no data) excellent **pharmacokinetics**, superior to ciprofloxacin and ofloxacin, and low toxicity. I are prepd. by condensation of cyclic amines HR3 with corresponding leaving-group-substituted quinolone derivs. in a solvent (pyridine, MeCN, or DMF) and in the presence of an acid-acceptor or excess HR3. For example, condensation of Et 2,4,5-trifluorobenzoylacetate with HC(OEt)3 in Ac2O and then with 4-amino-3-fluoropyridine gave the .beta.-aminoacrylate II. This which underwent cyclization in the presence of K2CO3 and 18-crown-6 in MeCN, hydrolysis of the ester function in aq.-alc. HCl, and condensation with piperazine in pyridine in the presence of DBU, to give title compd. III.HCl. The similarly prepd. compd. IV.HCl was among the most active against 17 bacteria, e.g., an MIC of 0.156 .mu.g/mL against Pseudomonas aeruginosa ATCC27853.

IT **164151-04-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of fluoropyridyl quinolones and naphthyridinones as **antibacterials**)

RN 164151-04-6 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(3-fluoro-4-pyridinyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **164151-04-6P 164151-07-9P 164151-08-0P**
164151-09-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of fluoropyridyl quinolones and naphthyridinones as **antibacterials**)

L14 ANSWER 17 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:55819 CA
TITLE: Heterocyclic hydrazide derivatives of monocyclic .beta.-lactam antibiotics
INVENTOR(S): Ermann, Peter H.; Straub, Henner
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc., USA
SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5318963	A	19940607	US 1990-620170	19901130 <--
CA 2024282	AA	19910322	CA 1990-2024282	19900830 <--
JP 03120276	A2	19910522	JP 1990-254057	19900921 <--

PRIORITY APPLN. INFO.: US 1989-410217 19890921
OTHER SOURCE(S): MARPAT 122:55819

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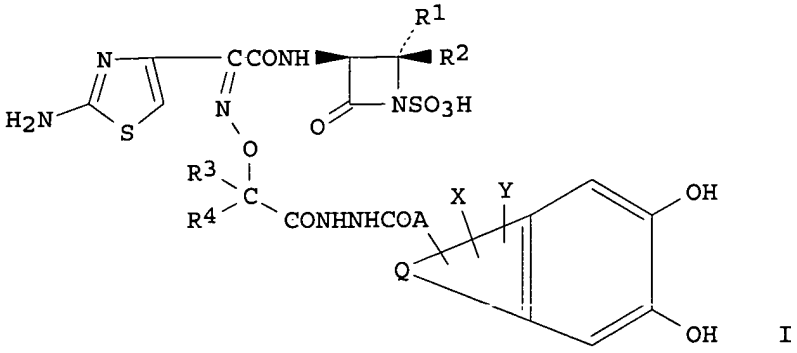


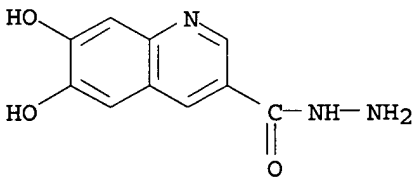
I

AB **Antibacterial** (no data) compds. (I) and **pharmaceutically** acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered satd. or unsatd. (including arom.) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NR5 .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carboxyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic .beta.-lactam antibiotics)

RN 135214-98-1 CA

CN 3-Quinolinecarboxylic acid, 6,7-dihydroxy-, hydrazide (9CI) (CA INDEX NAME)



IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide **135215-09-7P**, 1,4-Dihydro-1,6,7-trihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide **135215-15-5P**, 3-(Hydrazinocarbonyl)-6,7-dihydroxy-4-oxo-1(4H)-quinolineacetic acid **135215-18-8P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-2,3-quinolinedicarboxylic acid **136135-38-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide, monohydrobromide **136135-41-6P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide, monohydrobromide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of

monocyclic .beta.-lactam antibiotics)

IT **136135-25-6 136135-29-0 136135-30-3**
159911-05-4 159911-08-7 159911-09-8
159989-67-0
RL: RCT (Reactant)
(prepn. as heterocyclic hydrazide deriv. of monocyclic .beta.-lactam antibiotics)

L14 ANSWER 18 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 121:108551 CA
TITLE: Preparation of antimicrobial 5-hydrazinoquinolone derivatives
INVENTOR(S): Demuth, Thomas Prosser, Jr.; White, Ronald Eugene
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9410163	A1	19940511	WO 1993-US10091	19931022	<--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
IL 107323	A1	20000601	IL 1993-107323	19931019	<--
CA 2148003	AA	19940511	CA 1993-2148003	19931022	<--
AU 9454097	A1	19940524	AU 1994-54097	19931022	<--
AU 687018	B2	19980219			
EP 666853	A1	19950816	EP 1993-924393	19931022	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 08502750	T2	19960326	JP 1993-511167	19931022	<--
HU 72072	A2	19960328	HU 1995-1237	19931022	<--
CZ 282581	B6	19970813	CZ 1995-1097	19931022	<--
RU 2126000	C1	19990210	RU 1995-110762	19931022	<--
BR 9307347	A	19990525	BR 1993-7347	19931022	<--
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ZA 9308089	A	19940607	ZA 1993-8089	19931029	<--
CN 1092773	A	19940928	CN 1993-120224	19931030	<--
CN 1064357	B	20010411			
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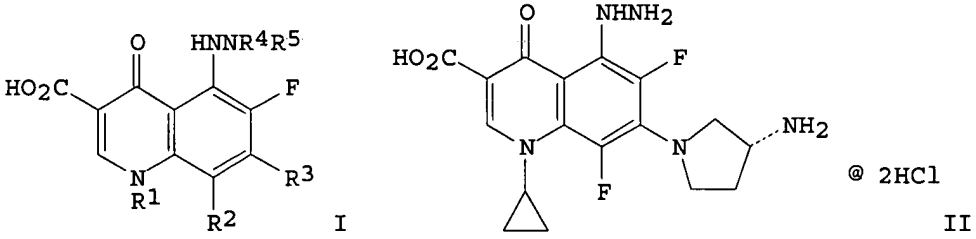
PRIORITY APPLN. INFO.: US 1992-968960 A 19921030
WO 1993-US10091 W 19931022

OTHER SOURCE(S): MARPAT 121:108551

GI

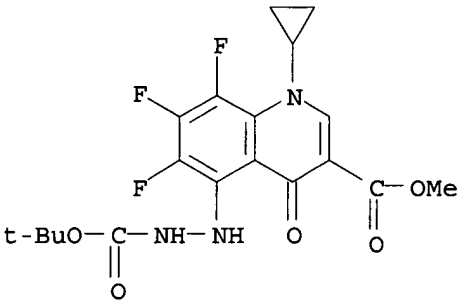


AB Title compds. I (R1 = alkyl, alkenyl, carbocyclyl, heterocyclyl, R7R6N wherein R6, R7 = H, alkyl, alkenyl, carbocyclyl, heterocyclyl, R6R7N = heterocyclyl; R2 = H, halo, alkyl, alkoxy, R1R2 = 6-membered heterocyclyl; R3 = carbocyclyl, heterocyclyl; R4, R5 = H, alkyl, cycloalkyl, heteroalkyl, COXR8 wherein X = a covalent bond, N, O, S, R8 = alkyl, alkenyl, arylalkyl, carbocyclyl, heterocyclyl, R4R5N = heterocyclyl), salts, biohydrolyzable esters and solvates thereof, useful as antimicrobials (no data), are prepd. Me propiolate, THF and cyclopropylamine in THF were reacted to give Me 3-(cyclopropylamino)-2-propenoate which in 6 steps was converted to II. **Pharmaceutical** formulations comprising I are given.

IT **156750-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of **antibacterials**)

RN 156750-64-0 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-6,7,8-trifluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT **156750-64-0P 156750-65-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of **antibacterials**)

L14 ANSWER 19 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 121:57512 CA
TITLE: Preparation of 7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid compounds and related compounds as **antibacterial** agents
INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh, Inder Pal; Thomas, George; Doerksen, Thomas Roger; Singh, Maya Prakash; Micetich, Ronald George
PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531 <--

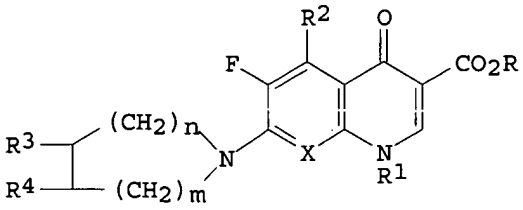
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5342846	A	19940830	US 1992-913505		19920714	<--
AU 9343029	A1	19931230	AU 1993-43029		19930531	<--
JP 08501063	T2	19960206	JP 1993-500050		19930531	<--
PRIORITY APPLN. INFO.:			US 1992-891262	A	19920601	
			US 1992-913505	A	19920714	
			US 1990-621716	B2	19901205	
			WO 1993-CA231	A	19930531	

OTHER SOURCE(S): MARPAT 121:57512

GI



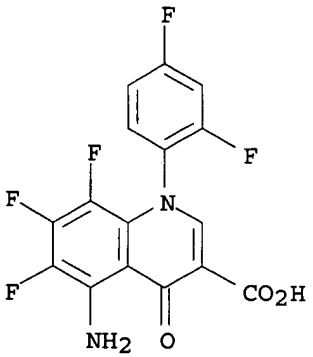
I

AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl , each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a **pharmaceutical** salt, are prepd. Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyrdine-3-carboxylate (prepn. given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (prepn. given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for **antibacterial** activity showed a min. inhibitory concn. of 0.008, 0.03, 0.25, 0.25, 2 .mu.g/mL against Staphylococcus aureus, Escherichia coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

IT **127452-19-1**
RL: RCT (Reactant)
(reaction of, in prepn. of **antibacterials**)

RN 127452-19-1 CA

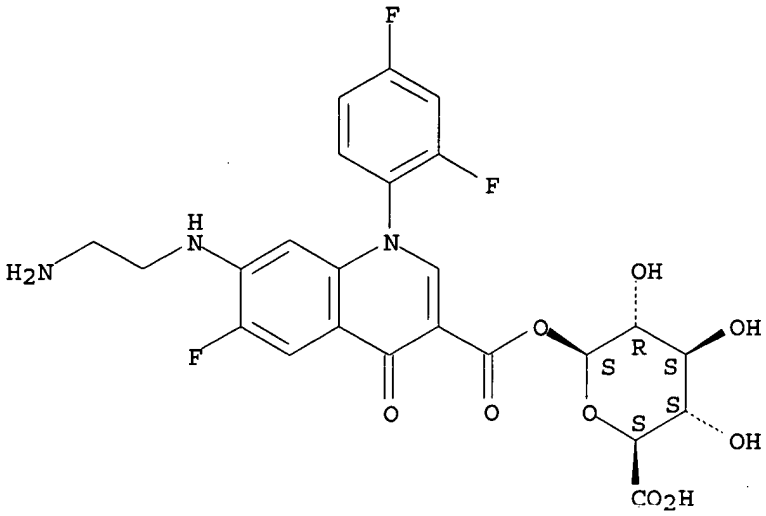
CN 3-Quinolinecarboxylic acid, 5-amino-1-(2,4-difluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **127452-19-1**
RL: RCT (Reactant)
(reaction of, in prepn. of **antibacterials**)

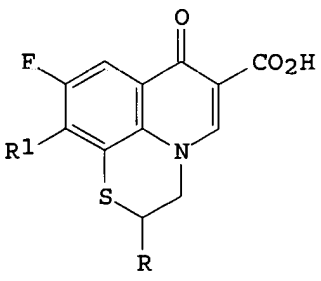
L14 ANSWER 20 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 120:235316 CA
TITLE: **Pharmacokinetics** of temafloxacin in humans. Quantification of metabolites and enantiomers
AUTHOR(S): Matsuoka, Masayuki; Mano, Hideyuki; Fujimoto, Yuzo; Yaku, Koji; Banno, Kiyoshi; Nishimura, Noriyuki; Kakimoto, Toshio; Matsushita, Tadahiro
CORPORATE SOURCE: Anal. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chemotherapy (Tokyo) (**1993**), 41(Suppl. 5), 260-72
CODEN: NKRZAZ; ISSN: 0009-3165
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The **pharmacokinetics** of temafloxacin (TMFX) was studied by a single oral administration of the drug to healthy volunteers at a dose of 600 mg. Av. serum levels of TMFX reached the max. concn. at 2 h after oral dosing, then declined with a half-life of 6.6 h. When TMFX was administered orally, 65% of the dose was excreted as unchanged TMFX in urine within 48 h after dosing. In addn. to unchanged TMFX, 3 metabolites (AQ: aminoquinolone form, EDA: ethylenediamine form, and MEDA: methylethylenediamine form), which were formed by oxidn. at the piperazine ring, were found in serum and urine. Glucuronic acid conjugates of TMFX and its metabolites were also found. The amt. of these metabolites were small. The time-course of the serum level of (S)-(-)-TMFX was similar to that of (R)-(+)-TMFX. The **antibacterial** activities of metabolites were less potent than those of TMFX. No difference in **antibacterial** activities between enantiomers was obsd.
IT **154499-40-8**
RL: BIOL (Biological study)
(as temafloxacin metabolite)
RN 154499-40-8 CA
CN .beta.-D-Glucopyranuronic acid, 1-[7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **154499-40-8 154499-41-9 154499-42-0**
RL: BIOL (Biological study)
(as temafloxacin metabolite)
IT **131183-29-4 131183-30-7 133514-78-0**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(as temafloxacin metabolite, **antibacterial** activity of)

L14 ANSWER 21 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 120:8541 CA
TITLE: Quinolinecarboxylic acids. 3. Synthesis and **antibacterial** evaluation of 2-substituted 7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzothiazine-6-carboxylic acids related to rufloxacin
AUTHOR(S): Cecchetti, Violetta; Fravolini, Arnaldo; Pagella, Pier Giuseppe; Savino, Angela; Tabarrini, Oriana
CORPORATE SOURCE: Ist. Chim. Farm. Tech. Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: J. Med. Chem. (**1993**), 36(22), 3449-54
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



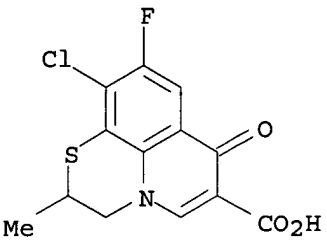
I

AB A series of title acids I (R = Me, 2-FC6H4, 3-FC6H4, 4-FC6H4; R1 = Cl, substituted piperazino, pyrrolidino, imidazol-1-yl, homopiperazin-1-yl) has been prepd. and evaluated for in vitro **antibacterial** activity. These derivs. were less active than corresponding desmethylated analogs I (R = H). Among these derivs., the most active compd. (I; R = Me, R1 = 4-methylpiperazin-1-yl) (II) was selected for preliminary **pharmacokinetics** in rats. The **pharmacokinetic** data indicated that II was rapidly absorbed and induced lasting plasma and urinary levels. In comparison with rufloxacin, II was excreted in low quantity in urine; a significant amt. of desmethylated piperazinyl urinary metabolite was obsd.

IT **151295-39-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 151295-39-5 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 10-chloro-8-fluoro-2,3-dihydro-2-methyl-7-oxo- (9CI) (CA INDEX NAME)



IT **151295-39-5P 151295-40-8P 151295-41-9P 151295-42-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

IT **151295-24-8P 151295-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)

IT **151295-26-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substitution of, with cyclic amines)

IT **151295-22-6P 151295-23-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., sapon., or S-oxidn. of)

L14 ANSWER 22 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 118:6894 CA

TITLE: Studies on pyridonecarboxylic acids. 1. Synthesis and **antibacterial** evaluation of 7-substituted-6-halo-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids

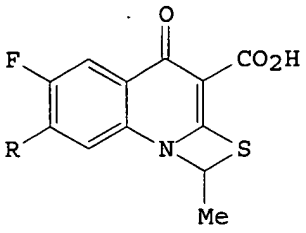
AUTHOR(S): Segawa, Jun; Kitano, Masahiko; Kazuno, Kenji; Matsuoka, Masato; Shirahase, Ichiro; Ozaki, Masakuni; Matsuda, Masato; Tomii, Yoshifumi; Kise, Masahiro

CORPORATE SOURCE: Res. Lab., Nippon Shinyak Co., Ltd., Kyoto, 601, Japan

SOURCE: J. Med. Chem. (**1992**), 35(25), 4727-38
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:6894
GI



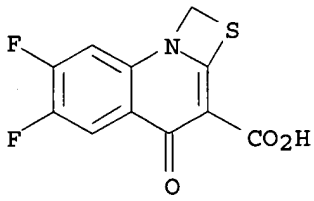
I

AB A series of [1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids (I) and their esters were prepd. and evaluated for **antibacterial** activity. The derivs. with an H or Me group at C-1, F at C-6, and a piperazinyl or 4-methyl-1-piperazinyl group at C-7 showed superior in vitro **antibacterial** activity, and the derivs. with 4-methyl-1-piperazinyl group at C-7 had potent in vivo activity. I (R = piperazino) (NM394) showed excellent in vitro **antibacterial** activity and low toxicity but poor absorption from the gastrointestinal tract. I [R = (5-methyl-2-oxo-1,3-dioxol-4-yl)methylpiperazino) (NM441) had a favorable **pharmacokinetic** profile and oral activity superior to that of ciprofloxacin in exptl. animals.

IT **144514-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with cyclic amines)

RN 144514-26-1 CA

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 6,7-difluoro-4-oxo- (9CI) (CA INDEX NAME)



IT **144514-26-1P 144514-27-2P 144514-28-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with cyclic amines)

IT **144514-44-3P 144514-45-4P 144514-46-5P**
144514-47-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

IT **144514-19-2P 144514-20-5P 144514-21-6P**
144514-22-7P 144514-23-8P 144514-24-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., bactericidal activity, hydrolysis or amination of, with cyclic amines)

L14 ANSWER 23 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 116:214537 CA
TITLE: Novel quinolinecarboxylic acid derivatives, use

thereof, **antibacterial** agent containing the same, process for preparing said compounds and intermediate compound

INVENTOR(S): Kondo, Hirosato; Taguchi, Masahiro; Jinbo, Yoshikazu; Inoue, Yoshimasa; Kotera, Yasuo; Sakamoto, Fumio

PATENT ASSIGNEE(S): Kanebo, Ltd., Japan

SOURCE: Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

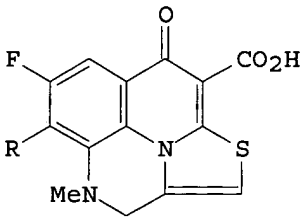
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 472826	A2	19920304	EP 1991-108818	19910529	<--
EP 472826	A3	19920429			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
CA 1332171	A1	19940927	CA 1988-584402	19881129	<--
US 5166203	A	19921124	US 1991-703739	19910512	<--
CA 2043104	AA	19920301	CA 1991-2043104	19910523	<--
JP 04352788	A2	19921207	JP 1991-155264	19910530	<--
CN 1059339	A	19920311	CN 1991-103856	19910608	<--
US 5191079	A	19930302	US 1992-907184	19920630	<--

PRIORITY APPLN. INFO.: JP 1990-229651 19900830
US 1991-703739 19910512

OTHER SOURCE(S): MARPAT 116:214537

GI



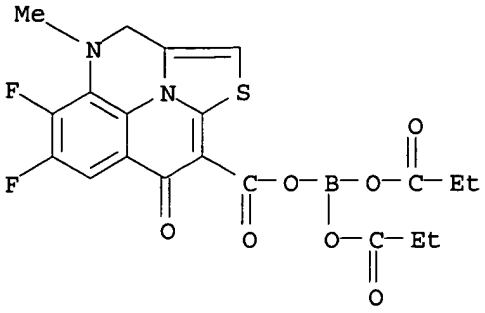
I

AB Bactericidal quinolinecarboxylic acids I [R = 2-(Me, halomethyl, HOCH2, or alkoxymethyl), morpholino, 3-(halomethyl, HOCH2, or alkoxymethyl)-4-alkyl-1-piperazinyl, 4-(HO, dialkylamino, or oxo)piperidino, or 1,8-diaza-4-oxabicylco[4.4.0]dec-8-yl] and their **pharmaceutically** acceptable salts were prepd. Thus, treatment of I (R = F) Et ester with triacetoxyborane gave the diacetoxy carboxyborane deriv., which reacted with 2-methylmorpholine hydrochloride in Me2SO in the presence of Et3N to afford I (R = 2-methylmorpholino). This and 16 other I were tested against a variety of microorganisms.

IT **132305-97-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

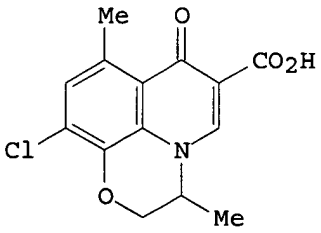
RN 132305-97-6 CA

CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo-, monoanhydride with boric acid (H3BO3), dianhydride with propanoic acid (9CI) (CA INDEX NAME)



IT **132305-97-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
IT **132305-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis or reaction of, with triacyloxyborane)
IT **132305-96-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substitution reaction of, with methylmorpholine)
IT **132305-99-8P 141108-54-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substitution reactions of)

L14 ANSWER 24 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 115:105485 CA
TITLE: The synthesis and **pharmacological** profile of the stereoisomers of a tricyclic quinolone **antibacterial**
AUTHOR(S): Gerster, John F.; Rohlfing, Steve R.; Rustad, Nancy J.; Reiter, Michael J.; Pecore, Sharon E.; Winandy, Richard M.; Landmesser, June E.
CORPORATE SOURCE: 3M Cent., Riker Lab., Inc., St. Paul, MN, 55144, USA
SOURCE: Quinolones (**1989**), 85-98. Editor(s): Fernandes, Prabhavathi B. Prous: Barcelona, Spain. CODEN: 57BAAH
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



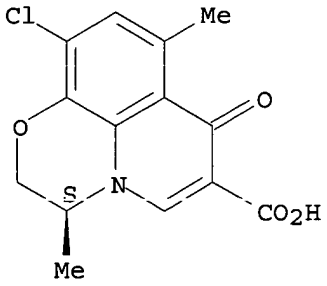
I

AB The tricyclic quinolone, racemic I, exhibits both in vitro **antibacterial** activity and CNS stimulation in mice similar to that seen with amfonelic acid and (+)-amphetamine. The R and S isomers of I were synthesized and their **antibacterial** and **pharmacol**. profiles compared. The **antibacterial** activity and CNS properties of the isomers paralleled one another. Therefore, while other

structural modifications of tricyclic systems can definitely sep. these activities, CNS stimulation cannot be eliminated by sepn. of the R and S stereoisomers.

IT **135662-28-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **antibacterial** and CNS stimulant activities of)
RN 135662-28-1 CA
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-chloro-2,3-dihydro-3,8-dimethyl-7-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

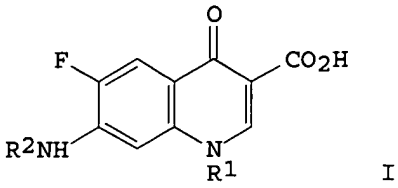


IT **135662-28-1P 135662-29-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **antibacterial** and CNS stimulant activities of)

L14 ANSWER 25 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:247159 CA
TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity
INVENTOR(S): Bitha, Panayota; Lin, Yang I
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4992449	A	19910212	US 1990-473498	19900201	<--
EP 439688	A1	19910807	EP 1990-120658	19901029	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE					
CA 2028869	AA	19910802	CA 1990-2028869	19901030	<--
JP 03209368	A2	19910912	JP 1990-306369	19901114	<--

PRIORITY APPLN. INFO.: US 1990-473498 19900102
OTHER SOURCE(S): CASREACT 114:247159; MARPAT 114:247159
GI



I

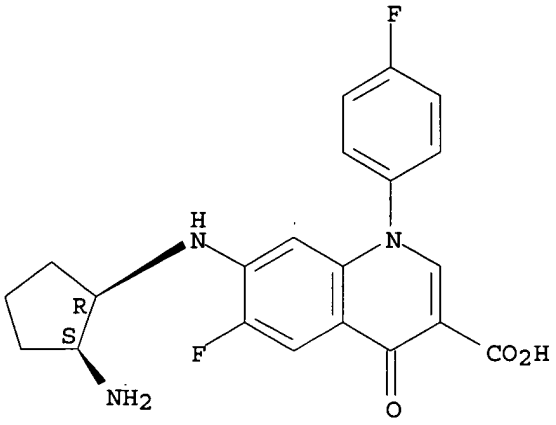
AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH2CH2F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol**. acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of Escherichia coli, Klebsiella pneumoniae, Staphylococcus aureus, and other bacteria.

IT **133899-19-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 133899-19-1 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **133899-19-1P 133899-20-4P 133899-21-5P**
133899-22-6P 133899-23-7P 133899-24-8P
133963-64-1P 133963-65-2P 134001-32-4P
134001-33-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

IT **133899-25-9P 133899-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

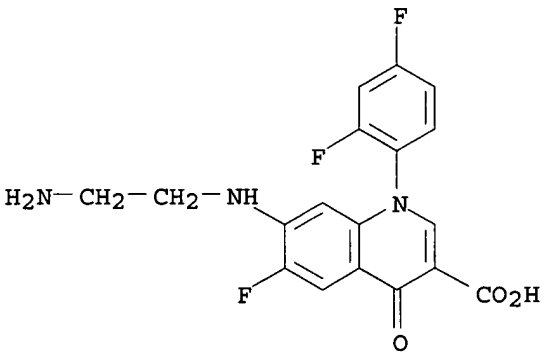
L14 ANSWER 26 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:199008 CA

TITLE: **Pharmacokinetics** of temafloxacin in humans after single oral doses
AUTHOR(S): Granneman, G. Richard; Carpenter, Pierre; Morrison, Paul J.; Pernet, Andre G.
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064-3500, USA
SOURCE: Antimicrob. Agents Chemother. (**1991**), 35(3), 436-41
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Temafloxacin (A-63,004) is a new quinolone **antibacterial** agent with a broad spectrum of activity against gram-pos. and gram-neg. aerobes and anaerobes. The **pharmacokinetics** and metab. of temafloxacin were detd. in healthy volunteers after administration of single oral doses of 100, 200, 400, 600, 800, and 1000 mg. The corresponding peak concns. in plasma were 0.98, 1.61, 2.43, 3.87, 4.54, and 6.67 .mu.g/mL. The times that elapsed to attain peak levels ranged from 1.25 to 3.5 h. Statistical analyses of parameters related to the extent of absorption and the linearity of the dispositional **pharmacokinetics** detected no dose-related trends. Study-wide, total clearance (223 mL/min) and renal clearance (125 mL/min) showed low intersubject variability, with coeffs. of variation near 20%. The terminal-phase rate const. of 0.090 h-1 corresponds to a half-life of 7.7 h. Temafloxacin was excreted mainly in the urine, with 57% of the dose appearing in the urine unchanged. Conjugated temafloxacin, oxidative metabolites, and conjugates thereof were minor components in urine, collectively accounting for 5 to 8% of the dose. Since i.v. dosed dogs eliminated 50% of the dose by nonrenal processes, urinary recoveries approaching two-thirds of the dose in humans were consistent with high, if not quant., absorption. Reported adverse events were generally mild, were randomly distributed between temafloxacin- and placebo-treated subjects, and were not dose related.

IT **131183-29-4**
RL: BIOL (Biological study)
(as temafloxacin metabolite in humans)

RN 131183-29-4 CA
CN 3-Quinolinecarboxylic acid, 7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **131183-29-4 131183-30-7 133514-78-0**
RL: BIOL (Biological study)
(as temafloxacin metabolite in humans)

L14 ANSWER 27 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:42604 CA
TITLE: Fluoronaphthyridines and -quinolones as

antibacterial agents. 3. Synthesis and structure-activity relationships of new 1-(1,1-dimethyl-2-fluoroethyl), 1-[1-methyl-1-(fluoromethyl)-2-fluoroethyl], and 1-[1,1-(difluoromethyl)-2-fluoroethyl] substituted derivatives

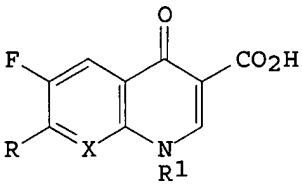
AUTHOR(S): Remuzon, P.; Bouzard, D.; Di Cesare, P.; Essiz, M.; Jacquet, J. P.; Kiechel, J. R.; Ledoussal, B.; Kessler, R. E.; Fung-Tomc, J.

CORPORATE SOURCE: Cent. Rech. Bristol-Myers Squibb, Marne-la-Vallee, 77422, Fr.

SOURCE: J. Med. Chem. (**1991**), 34(1), 29-37
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:42604

GI



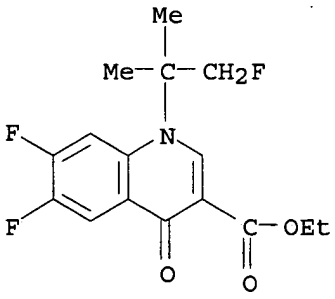
I

AB The title quinolones and naphthyridines I (R = (un)substituted cyclic amino; R1 = CMe2CH2F, C(CH2F)2Me, C(CH2F)3; X = CH, N) were prepd. as **antibacterial** agents, and compared to the nonfluorinated tert-Bu analogs. The CMe2CH2F group was shown to enhance the in vitro **antibacterial** activity in the quinoline series compared to the CMe3 group, whereas, it decreased it in the naphthyridine series. The best in vitro **antibacterial** activity was found for I [R = (3S)-aminopyrrolidino, R1 = CMe2CH2F, X = CH].

IT **130435-38-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with piperazine)

RN 130435-38-0 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluoro-1,1-dimethylethyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



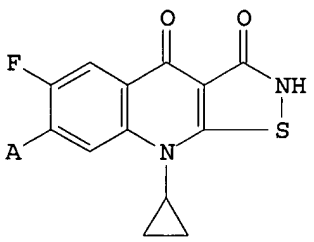
IT **130435-38-0P 130435-39-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with piperazine)

IT **130436-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L14 ANSWER 28 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:6495 CA
TITLE: Preparation of **antibacterial** isothiazoloquinoline derivatives
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa, Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko; Suzuki, Tomio
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02178290	A2	19900711	JP 1988-328988	19881228 <--

OTHER SOURCE(S): MARPAT 114:6495
GI

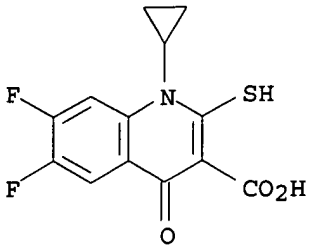


I

AB The title derivs. I [A = R4R5NCR2R3(CH2)nCHR1O; R1-R5 = H, lower alkyl; R1R2, R2R4 = C3-5 alkylene; R1R4 = C2-4 alkylene; n = 0-2] or their **pharmaceutically** acceptable acid salts are prepd. as agents having broad-spectrum **antibacterial** activity (no data). Thus, a suspension of 0.50 g I (A = F) (prepn. given) in DMF was treated dropwise with a soln. contg. 0.45 g H2NCMe2CH2OH and NaH in DMF at 0.degree. and stirred 1 h at room temp. to give 0.10 g I (A = H2NCMe2CH2O).

IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)

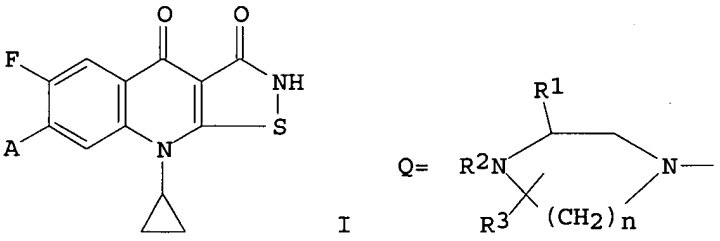


IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

L14 ANSWER 29 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:231366 CA
TITLE: Preparation of **antibacterial** isothiazoloquinoline derivatives
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa, Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02174784	A2	19900706	JP 1988-327761	19881227 <--

OTHER SOURCE(S): MARPAT 113:231366
GI



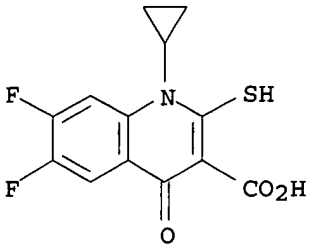
I

AB The title derivs. I [A = Q; R1 = lower (hydroxy)alkyl; R2, R3 = H, lower alkyl; if R1 = Me then R2 = R3 .noteq. H; n = 2, 3] or their **pharmaceutically** acceptable acid salts are prepd. as broad-spectrum **antibacterials** (no data). Thus, a suspension of I (A = F) (prepn. given), 2-hydroxymethylpiperazine (II), and DBU in pyridine was refluxed 3 h, mixed with addnl. II, and then refluxed 1 h to give I (A = 3-hydroxymethyl-1-piperazinyl).

IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-

mercapto-4-oxo- (9CI) (CA INDEX NAME)

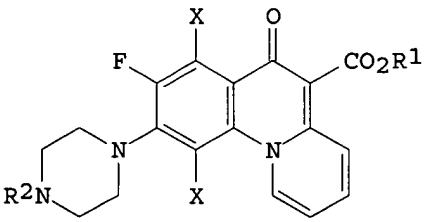


IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

L14 ANSWER 30 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:132032 CA
TITLE: Preparation of 8-fluoro and 7,8,10-trifluoro-9-(substituted)-6-oxo-6H-benzo[c]quinolizine-5-carboxylic acids as **antibacterials**
INVENTOR(S): Moran, Daniel B.; Lin, Yang I.; Ziegler, Carl B.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4923868	A	19900508	US 1989-312153	19890221 <--
US 4948894	A	19900814	US 1989-446747	19891206 <--

PRIORITY APPLN. INFO.: US 1989-312153 19890221
OTHER SOURCE(S): CASREACT 113:132032; MARPAT 113:132032
GI

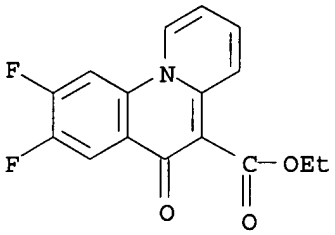


I

AB Title compds. I (R1 = H, C1-3 alkyl, alkali metal, alk. earth metal; R2 = H, PhCH2, alkyl; X = H, F), a **pharmaceutically** acceptable salt thereof, were prepd. I (R1 = Et; R2 = Me; X = H) (prepn. given), 0.1N NaOH and EtOH were refluxed for 18 h to give I (R1 = X = H; R2 = Me) (II). II showed a min. inhibitory concn. of 0.5 .mu.g/mL against Morganella morganii CMC 84-38 and MOR 84-45.

IT **129356-05-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of, as **antibacterial**)
RN 129356-05-4 CA
CN 6H-Benzo[c]quinolizine-5-carboxylic acid, 8,9-difluoro-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)

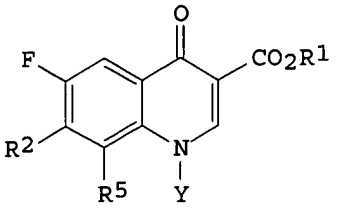


IT **129356-05-4P 129356-10-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as **antibacterial**)

L14 ANSWER 31 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:132023 CA
TITLE: **Antibacterial** 6-fluoro-1,4-dihydroquinol-4-one-3-carboxylates and intermediates and a process for their preparation
INVENTOR(S): McGuirk, Paul Robert
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 348088	A1	19891227	EP 1989-305969	19890613	<--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
US 5039682	A	19910813	US 1988-209660	19880621	<--
CA 1334756	A1	19950314	CA 1989-603221	19890619	<--
DK 8903036	A	19891222	DK 1989-3036	19890620	<--
FI 8903030	A	19891222	FI 1989-3030	19890620	<--
FI 93008	B	19941031			
FI 93008	C	19950210			
JP 02045469	A2	19900215	JP 1989-158147	19890620	<--
JP 07121914	B4	19951225			
US 5104868	A	19920414	US 1990-575117	19900829	<--
US 5103040	A	19920407	US 1991-706903	19910529	<--
US 5233091	A	19930803	US 1991-707358	19910529	<--

PRIORITY APPLN. INFO.: US 1988-209660 19880621
OTHER SOURCE(S): MARPAT 113:132023
GI



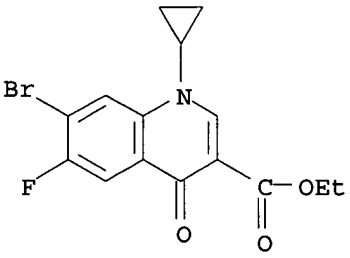
I

AB **Antibacterial** (no data) title compds. [I; R1 = H, C1-7 alkyl, CH2Ph, **pharmaceutically** acceptable cation; R2 = vinyl, vinyl substituted by W, MeC.tplbond.C, WCH2C.tplbond.C, cyclopropyl (optionally 2-substituted by W); W = R3(CH2)m; m = 1, 2; R3 = OH, NH2, C1-3 alkylamino, alkylsulfonyl, or alkylsulfamoyl, SO2NH2; R5 = H, F, Cl, OMe; Y = C1-3 (halo)alkyl, cyclopropyl, vinyl, p-FC6H4, o,p-F2C6H3; or R5Y = X(CH2)nCHR4; X = CH2, O; n = 0-2; R4 = H, C1-3 (halo)alkyl, CH2OH, hydroxyethyl, aminomethyl, Ph, methylene] were prepd., with some I (Y = cyclopropyl) being prepd. via a novel method and intermediates. Thus, a cuprate prepd. from 3,4-BrFC6H3NH2, BuLi, and CuCN was added to cyclopropyllithium to give N-cyclopropyl-3-bromo-4-fluoroaniline, which underwent condensation with EtOCH:C(CO2Et)2 and cyclization to give Et 1-cyclopropyl-6-fluoro-7-bromo-1,4-dihydroquinol-4-one-3-carboxylate. This was vinylated by CH2:CHMgBr/ZnCl2 and Pd(PPh3)4, and the 7-vinyl compd. cyclopropanated with CH2N2 and Pd(OAc)2 and hydrolyzed with HCl, to give I (R1 = R5 = H, R2 = Y = cyclopropyl).

IT **123942-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, as intermediate for **antibacterials**)

RN 123942-15-4 CA

CN 3-Quinolinecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **123942-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, as intermediate for **antibacterials**)

IT **127803-42-3**
RL: RCT (Reactant)
(reaction of, in prepn. of quinolonecarboxylate **antibacterials**)

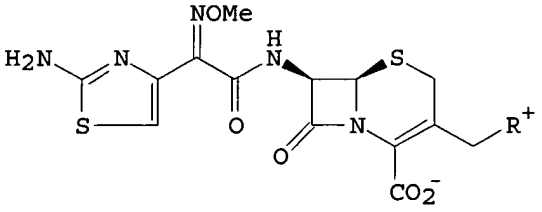
L14 ANSWER 32 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 113:52068 CA

TITLE: Synthesis and biological evaluation of a series of parenteral 3'-quaternary ammonium cephalosporins

AUTHOR(S): Brown, Raymond F.; Kinnick, Michael D.; Morin, John M., Jr.; Vasileff, Robert T.; Counter, Fred T.; Davidson, Edward O.; Ensminger, Paul W.; Eudaly,

Judith A.; Kasher, Jeffrey S.; et al.
CORPORATE SOURCE: Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SOURCE: J. Med. Chem. (**1990**), 33(8), 2114-21
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:52068
GI



I

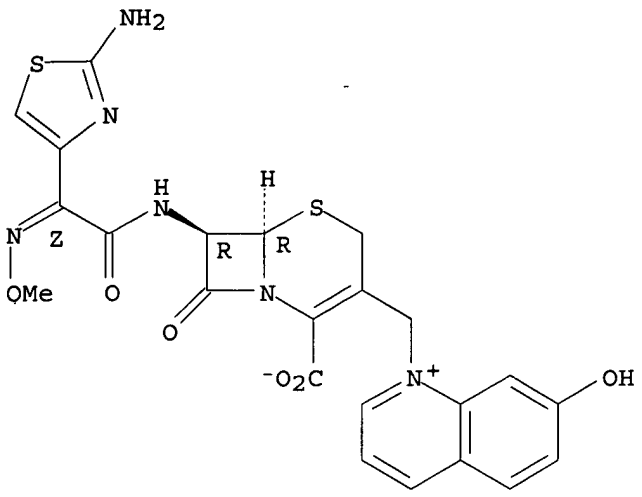
AB The prepn. and biol. evaluation of a series of 7.beta.-[2-(2-aminothiazol-4-yl)-2(Z)-methoximinoacetamide]cephalosporins (I where R = e.g., pyridinyl, quinolinyl), substituted at the 3'-position with monocyclic or bicyclic nitrogen-contg. heterocycles, are described. The resulting family of parenteral compds. displayed a broad spectrum of **antibacterial** activity. Some compds. exhibit a similar level of Gram-neg. activity to that of the "third-generation" cephalosporins with increased staphylococcal activity. The in vitro and in vivo antimicrobial activity, structure-activity relations, .beta.-lactamase stability, and in vitro and in vivo **pharmacol**. evaluations are presented.

IT **127400-09-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 127400-09-3 CA

CN Quinolinium, 1-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-7-hydroxy-, inner salt, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

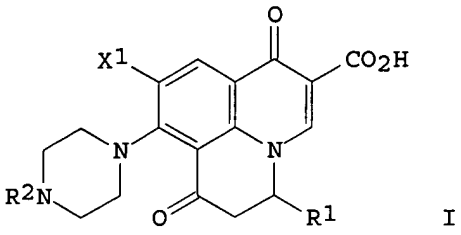


IT **127400-09-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

L14 ANSWER 33 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 112:179020 CA
TITLE: Preparation of optically active benzo[ij]quinolizinecarboxylic acid derivatives as medicinal **antibacterials**
INVENTOR(S): Tomari, Masazumi; Nagamatsu, Yasuhiro; Suzuki, Senji
PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 323189	A2	19890705	EP 1988-312299	19881223	<--
EP 323189	A3	19920226			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 01250380	A2	19891005	JP 1988-264127	19881021	<--
AU 8827035	A1	19890629	AU 1988-27035	19881219	<--
AU 624102	B2	19920604			
US 4946844	A	19900807	US 1988-286467	19881219	<--
CA 1326026	A1	19940111	CA 1988-586275	19881219	<--

PRIORITY APPLN. INFO.: JP 1987-328370 19871226
JP 1988-264127 19881021
OTHER SOURCE(S): CASREACT 112:179020; MARPAT 112:179020
GI



I

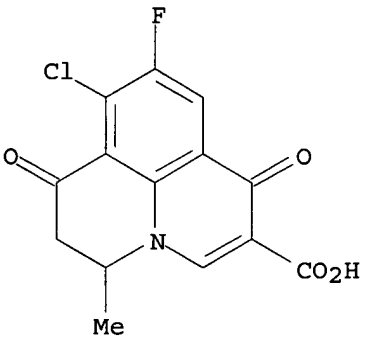
AB The title compds. [(+)-I; X1 = halo; R1, R2 = alkyl] and their physiol. acceptable salts and hydrates, useful as **antibacterials**, are prepd. (+)-5-Chloro-6-fluoro-2-methyl-4-oxo-1-(N-tosyl-L-prolyl)-1,2,3,4-tetrahydroquinoline, obtained by reacting (.+-.)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline with N-tosyl-L-prolyl chloride and chromatog. sepn. of the resulting diastereomeric acylquinolines, was deacylated to give (-)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline, which was then cyclocondensed with EtOCH:C(CO2Et)2 to give (-)-8-chloro-9-fluoro-5-methyl-6,7-dihydro-1,7-dioxo-1H,5H-benzo[ij]quinolizine-2-carboxylic acid Et ester, which was condensed with N-methylpiperazine to give (+)-I (X1 = F, R1 = R2 = Me) (II). II.HCl had an MIC of 0.05 .mu.g/mL vs. 1.56 .mu.g/mL for its enantiomer and 0.10 .mu.g/mL for its racemate.

IT **125098-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, by piperazine deriv.)

RN 125098-08-0 CA

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 8-chloro-9-fluoro-6,7-dihydro-5-methyl-1,7-dioxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



IT **125098-08-0P 125098-18-2P 125127-83-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, by piperazine deriv.)

L14 ANSWER 34 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 112:77161 CA

TITLE: Preparation of 6-fluoro-1,4-dihydro-4-oxo-(1,8-naphthyridine or quinoline)-3-carboxylic acid derivatives as **antibacterial** agents

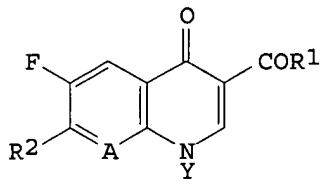
INVENTOR(S): Brighty, Katherine E.; Lowe, John Adams, III; McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 321191	A2	19890621	EP 1988-311797	19881214	<--
EP 321191	A3	19910227			
EP 321191	B1	19941102			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
WO 8905643	A1	19890629	WO 1987-US3412	19871218	<--
W: FI, HU, NO, RO, SU, US					
HU 50469	A2	19900228	HU 1987-1279	19871218	<--
IL 88664	A1	19930818	IL 1988-88664	19881212	<--
ES 2061695	T3	19941216	ES 1988-311797	19881214	<--
ZA 8809395	A	19900829	ZA 1988-9395	19881215	<--
AU 8826987	A1	19890622	AU 1988-26987	19881216	<--
AU 600188	B2	19900802			
DK 8806997	A	19890811	DK 1988-6997	19881216	<--
JP 01211587	A2	19890824	JP 1988-319341	19881216	<--
JP 07025757	B4	19950322			
FI 8903883	A	19890817	FI 1989-3883	19890817	<--
FI 90239	B	19930930			
FI 90239	C	19940110			
NO 8903305	A	19891017	NO 1989-3305	19890817	<--
NO 178149	B	19951023			
NO 178149	C	19960131			

PRIORITY APPLN. INFO.: WO 1987-US3412 19871218
OTHER SOURCE(S): CASREACT 112:77161
GI



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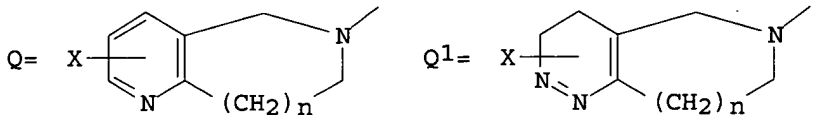


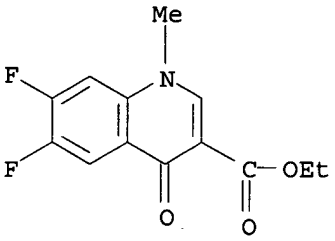
AB The title compds. [I; Y = C1-3 (hydroxy, fluoro, or chloro)alkyl, cyclopropyl, 2,4-F2C6H3, 4-FC6H4; A = CH, CF, CCl, COMe, N; or A = C and AY = CZCH2CR3 or CZCH2C(:CH2); Z = O, CH2; R3 = H, C1-3 alkyl, FCH2, ClCH2; R1 = OH, C1-6 alkoxy, (C1-6 alkyl)amino, OM; M = **pharmaceutically** acceptable cation; R2 = heterocyclyl, e.g. Q, Q1; X = H, 1 or 2 of CH2NHR4, NHR4 or C1-6 alkylsulfonyl; R4 = H, C1-6 alkyl; n = 0, 1] are prepd. as **antibacterial** agents (no data). Thus, a soln. of 2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine in Me2SO was treated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and heated to 80.degree. overnight to give 94%

7-[5-(2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridyl)]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid.

IT **124458-07-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **antibacterial**)

RN 124458-07-7 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-1-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **124458-07-7P 124458-33-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **antibacterial**)

L14 ANSWER 35 OF 35 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 111:174128 CA

TITLE: Preparation and testing of 1-cyclopropyl-7-piparazinylquinolonecarboxylates as **antibacterials**

INVENTOR(S): Matsumoto, Junichi; Minamida, Akira; Fujita, Masahiro; Hirose, Tohru; Nakano, Junji; Nakamura, Shinichi

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 312085	A2	19890419	EP 1988-117113	19881014	<--
EP 312085	A3	19900530			
EP 312085	B1	19930512			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
IL 88003	A1	19921115	IL 1988-88003	19881011	<--
DK 8805737	A	19890417	DK 1988-5737	19881014	<--
FI 8804752	A	19890417	FI 1988-4752	19881014	<--
NO 8804599	A	19890417	NO 1988-4599	19881014	<--
NO 171016	B	19921005			
NO 171016	C	19930113			
AU 8823754	A1	19890420	AU 1988-23754	19881014	<--
AU 619214	B2	19920123			
ZA 8807678	A	19890726	ZA 1988-7678	19881014	<--
HU 49342	A2	19890928	HU 1988-5296	19881014	<--
HU 204521	B	19920128			
JP 02028157	A2	19900130	JP 1988-260219	19881014	<--
JP 07094452	B4	19951011			
DD 275685	A5	19900131	DD 1988-320780	19881014	<--
CS 277016	B6	19921118	CS 1988-6813	19881014	<--

SU 1780533	A3	19921207	SU 1988-4356754	19881014 <--
AT 89272	E	19930515	AT 1988-117113	19881014 <--
ES 2054762	T3	19940816	ES 1988-117113	19881014 <--
CN 1033996	A	19890719	CN 1988-108434	19881015 <--
CN 1021967	B	19930901		
US 5013841	A	19910507	US 1989-389900	19890804 <--
SU 1780534	A3	19921207	SU 1989-4742183	19891018 <--
SU 1830067	A3	19930723	SU 1989-4742186	19891020 <--

PRIORITY APPLN. INFO.: JP 1987-262441 19871016
JP 1988-108840 19880430
EP 1988-117113 19881014
US 1988-258613 19881017

OTHER SOURCE(S): MARPAT 111:174128

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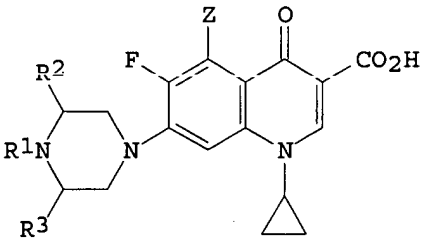


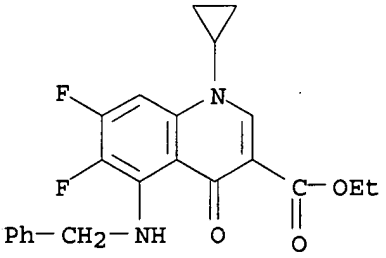
I

AB The title compds. (I; R1, R2, R3 = H, C1-5 alkyl; Z = amino, halo), and their **pharmaceutically** acceptable salts and esters were prepd. as bactericides. 5-Amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (prepn. starting from 2,3,4,6-tetrafluorobenzoic acid and PhCH2NH2 given) and piperazine were refluxed in pyridine to give I (R1 = R2 = R3 = H, Z = NH2) (II). II had an ED50 of 1.41 mg/kg orally against S. aureus in mice. Generic tablet, capsule, and injection formulations were given.

IT **123016-59-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzylation of, in prepn. of quinolonecarboxylate **antibacterial**)

RN 123016-59-1 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-5-[(phenylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **123016-59-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzylation of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-60-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, in prepn. of quinolonecarboxylate **antibacterial**)
IT **123016-57-9P 123016-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for piperazinylquinolonecarboxylate **antibacterial**)

=> file uspatfull

=> s l6
L15 362 L6

=> s pharm? and l15
157934 PHARM?
L16 310 PHARM? AND L15

=> s antibact? and l16
21865 ANTIBACT?
L17 152 ANTIBACT? AND L16

=> d ibib abs fhitstr 1-50

L17 ANSWER 1 OF 152 USPATFULL
ACCESSION NUMBER: 2002:1333 USPATFULL
TITLE: Quinolonecarboxylic acid derivatives or salts thereof
INVENTOR(S): Hayashi, Kazuya, Toyama, JAPAN
Kito, Tokunori, Toyama, JAPAN
Mitsuyama, Junichi, Toyama, JAPAN
Yamakawa, Tetsumi, Toyama, JAPAN
Kuroda, Hiroshi, Ishikawa, JAPAN
Kawafuchi, Hiroyo, Toyama, JAPAN
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)

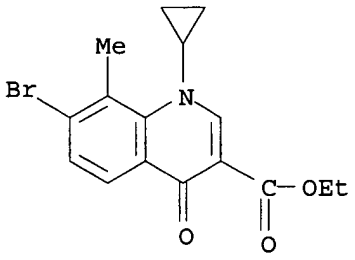
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6335447	B1	20020101	
	WO 9951588		19991014	
APPLICATION INFO.:	US 2000-647763		20001005	(9)
	WO 1999-JP1799		19990406	
			20001005	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-110146	19980406
	JP 1998-340217	19981130

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Sughrue Mion, PLLC
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2281
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel quinolonecarboxylic acid derivatives of general formula (1) or salts thereof which have potent **antibacterial** effects on gram-positive bacteria in particular Propionibacterium acnes ##STR1##

(wherein R.sup.1 represents a hydrogen atom or a carboxyl-protective group; R.sup.2 represents an optionally substituted cycloalkyl group; R.sup.3 represents a hydrogen atom, a halogen atom, an optionally substituted alkyl, alkoxy or alkylthio group, an optionally protected hydroxyl or amino group, or a nitro group; R.sup.4 represents an optionally substituted alkyl or alkoxy group; and Z represents a pyridin-4-yl or pyridin-3-yl group which is optionally substituted with at least one group selected from a halogen atom, an optionally substituted alkyl, alkenyl, cycloalkyl, alkoxy, alkylthio or amino group and an optionally protected hydroxyl or amino group).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **194805-04-4P**
(Prepn. of quinolonecarboxylic acid derivs. as antibiotics)
RN 194805-04-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-bromo-1-cyclopropyl-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 152 USPATFULL

ACCESSION NUMBER:	2001:226646 USPATFULL
TITLE:	Antimicrobial quinolones, their compositions and uses
INVENTOR(S):	Ledoussal, Benoit, Mason, OH, United States Almstead, Ji-In Kim, Loveland, OH, United States Gray, Jeffrey Lyle, Loveland, OH, United States Hu, Xiufeng Eric, Cincinnati, OH, United States
PATENT ASSIGNEE(S):	The Procter & Gamble Co., Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6329391	B1	20011211
APPLICATION INFO.:	US 1999-266197		19990310 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-139859, filed on 25 Aug 1998, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-58891	19970915 (60)

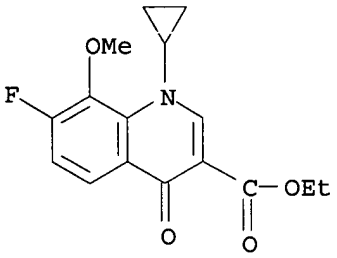
DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Seaman, D. Margaret
LEGAL REPRESENTATIVE:	Upite, David V., Roof, Carl J.
NUMBER OF CLAIMS:	53
EXEMPLARY CLAIM:	1
LINE COUNT:	2390

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel antimicrobial compounds of formula; ##STR1##

wherein X, R.sub.1, R.sub.3, R.sub.5, R.sub.6, and R.sub.8 are defined in the claims, and to their optical isomers, diastereomers or enantiomers, as well as **pharmaceutically**-acceptable salts, hydrates, and biohydrolyzable esters, amides and imides thereof, and to compositions and uses of such compounds. The invention also relates to compounds derived from these compounds having antimicrobial uses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **221221-15-4P**
(intermediate; compns. and uses of antimicrobial quinolones)
RN 221221-15-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-fluoro-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 3 OF 152 USPATFULL
ACCESSION NUMBER: 2001:215062 USPATFULL
TITLE: Possibly substituted 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo-[4.3.0]-nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolin carboxylic acids and their derivatives
INVENTOR(S): Bartel, Stefan, Bergisch Gladbach, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Himmler, Thomas, Odenthal, Germany, Federal Republic of
Rast, Hans-Georg, Bergisch Gladbach, Germany, Federal Republic of
Hallenbach, Werner, Monheim, Germany, Federal Republic of
Heinen, Ernst, Echternacherbruck, Germany, Federal Republic of
Pirro, Franz, Langenfeld, Germany, Federal Republic of
Scheer, Martin, Wuppertal, Germany, Federal Republic of
Stegemann, Michael, Kansas City, MO, United States
Stupp, Hans-Peter, Leverkusen, Germany, Federal Republic of
Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6323213	B1	20011127	
	WO 9731001		19970828	
APPLICATION INFO.:	US 1998-125191		19980813	(9)

	WO 1997-EP637	19970212
		19980813 PCT 371 date
		19980813 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19606762	19960223
	DE 1996-19633805	19960822

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Kifle, Bruck
LEGAL REPRESENTATIVE: Gil, Joseph C., Akorli, Godfried R.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 778
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel optionally substituted 8-cyano-1-cyclo-propyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids and their derivatives, of the general formula (I) ##STR1##

in which

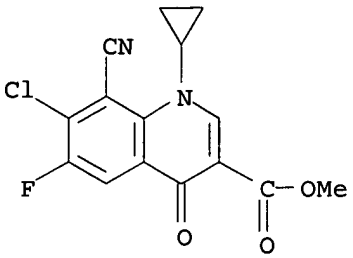
R.sup.1 represents hydrogen, C.sub.1 -C.sub.4 -alkyl which is optionally substituted by hydroxyl, methoxy, amino, methylamino or dimethylamino, or (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl,

R.sup.2 represents hydrogen, benzyl, C.sub.1 -C.sub.3 -alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, radicals having the structures --CH.dbd.CH--COOR.sup.3, --CH.sub.2 CH.sub.2 COOR.sup.3, --CH.sub.2 CH.sub.2 CN, --CH.sub.2 CH.sub.2 COCH.sub.3 or --CH.sub.2 COCH.sub.3, in which R.sup.3 represents methyl or ethyl, or a radical of the general structure R.sup.4 --(NH--CHR.sup.5 --CO).sub.n --, in which R.sup.4 represents hydrogen, C.sub.1 -C.sub.3 -alkyl or the radical --COO-tert-butyl, R.sup.5 represents hydrogen, C.sub.1 -C.sub.4 -alkyl, hydroxyalkyl, aminoalkyl, thioalkyl, carboxyalkyl or benzyl and n is 1 or 2, and

Y is oxygen or sulfur,

the process for their preparation and their use in **antibacterial** compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **195532-50-4**
(prepn. of diazabicylononylquinolinecarboxylic acid derivs. as bactericides)
RN 195532-50-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-chloro-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 152 USPATFULL

ACCESSION NUMBER:	2001:194426 USPATFULL
TITLE:	Use of 7-(1-aminomethyl-2-oxa-7-aza-bicyclo[3.3.0]oct-7-yl)-quinolonecarboxylic acid and -naphthyridonecarboxylic acid derivatives for the therapy of Helicobacter pylori infections and associated gastroduodenal disorders
INVENTOR(S):	Petersen, Uwe, Leverkusen, Germany, Federal Republic of Matzke, Michael, Wuppertal, Germany, Federal Republic of Jaetsch, Thomas, Koln, Germany, Federal Republic of Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of Himmler, Thomas, Odenthal, Germany, Federal Republic of Bartel, Stephan, Kurten, Germany, Federal Republic of Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of Schaller, Klaus, Wuppertal, Germany, Federal Republic of Labischinski, Harald, Wuppertal, Germany, Federal Republic of Endermann, Rainer, Wuppertal, Germany, Federal Republic of

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001036941	A1	20011101
APPLICATION INFO.:	US 2001-829776	A1	20010410 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-319848, filed on 6 Aug 1999, PENDING A 371 of International Ser. No. WO 1997-EP6751, filed on 3 Dec 1997, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652219	19961216

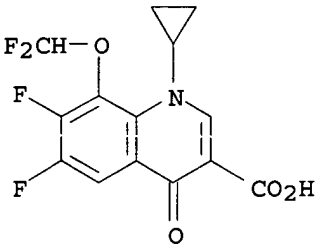
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	KURT BRISCOE, NORRIS, MCLAUGHLIN & MARCUS, P.A., 220 EAST 42ND STREET, 30TH FLOOR, NEW YORK, NY, 10017
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
LINE COUNT:	1851

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in

position 7 by a 1-aninomethyl-2-oxa-7-azabicyclo[3.3.0]oct-7-yl radical, and of their salts for the therapy of Helicobacter pylori infections and associated gastroduodenal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **128426-95-9**
((aminomethyloxaazabicyclooctyl)quinolonecarboxylates, -naphthyridonecarboxylates, and related compds. for Helicobacter pylori infection therapy and assocd. gastroduodenal illnesses)
RN 128426-95-9 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 5 OF 152 USPATFULL
ACCESSION NUMBER: 2001:152978 USPATFULL
TITLE: Use of 7-(1-aminomethyl-2-oxa-7-aza-bicyclo[3.3.0]oct-7-yl)-quinolone carboxylic acid and naphthyridone carboxylic acid derivatives for treating Helicobacter pylori infections and the gastroduodenal diseases associated therewith
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Matzke, Michael, Wuppertal, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Himmler, Thomas, Odenthal, Germany, Federal Republic of
Bartel, Stephan, Kurten, Germany, Federal Republic of
Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of
Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of
Schaller, Klaus, Wuppertal, Germany, Federal Republic of
Labischinski, Harald, Wuppertal, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

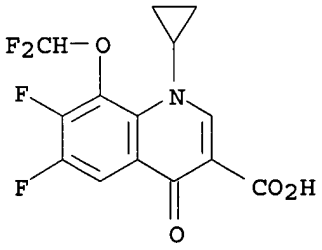
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6288081	B1	20010911	
	WO 9826768		19980625	
APPLICATION INFO.:	US 1999-319848		19990806	(9)
	WO 1997-EP6751		19971203	

19990806 PCT 371 date
19990806 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652219	19961216

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Huang, Evelyn Mei
LEGAL REPRESENTATIVE: Norris McLaughlin & Marcus
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 4
LINE COUNT: 1772
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in position 7 by a 1-aminomethyl-2-oxa-7-azabicyclo[3.3.0]oct-7-yl radical, and of their salts for the therapy of Helicobacter pylori infections and associated gastroduodenal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **128426-95-9**
((aminomethyloxaazabicyclooctyl)quinolonecarboxylates, -naphthyridonecarboxylates, and related compds. for Helicobacter pylori infection therapy and assocd. gastroduodenal illnesses)
RN 128426-95-9 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 6 OF 152 USPATFULL
ACCESSION NUMBER: 2001:136814 USPATFULL
TITLE: Optionally substituted 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids and their derivatives
INVENTOR(S): Bartel, Stefan, Bergisch Gladbach, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Himmler, Thomas, Odenthal, Germany, Federal Republic of
Rast, Hans-Georg, Bergisch Gladbach, Germany, Federal Republic of
Hallenbach, Werner, Monheim, Germany, Federal Republic of
Heinen, Ernst, Echternacherbruck, Germany, Federal Republic of
Pirro, Franz, Langenfeld, Germany, Federal Republic of
Scheer, Martin, Wuppertal, Germany, Federal Republic of
Stegemann, Michael, Kansas City, MO, United States

Stupp, Hans-Peter, Leverkusen, Germany, Federal Republic of
Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

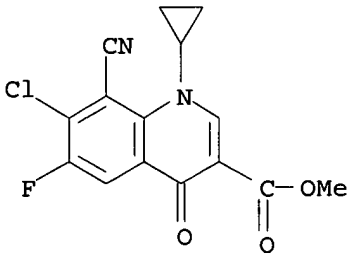
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6278013	B1	20010821
APPLICATION INFO.:	US 2000-718062		20001121 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-125191, filed on 13 Aug 1998

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19606762	19960223
	DE 1996-19633805	19960822

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Kifle, Bruck
LEGAL REPRESENTATIVE: Gil, Joseph C., Akorli, Godfried R.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 696
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Intermediates useful in the preparation of 8-cyano-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]nonan-8-yl-6-fluorol,4-dihydro-4-oxo-3-quinolinecarboxylic acids of the following structures are claimed.
##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **195532-50-4**
(prepn. of diazabicylononylquinolinecarboxylic acid derivs. as bactericides)
RN 195532-50-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-chloro-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 7 OF 152 USPATFULL
ACCESSION NUMBER: 2001:48247 USPATFULL
TITLE: Pyridonecarboxylic acid derivatives or salts thereof and **antibacterial** agents containing the same as the active ingredient
INVENTOR(S): Yazaki, Akira, Hiroshima, Japan
Niino, Yoshiko, Hiroshima, Japan
Ohshita, Yoshihiro, Hiroshima, Japan

Hayashi, Norihiro, Hiroshima, Japan
Amano, Hirotaka, Hiroshima, Japan
Hirao, Yuzo, Hiroshima, Japan
Yamane, Tamae, Hiroshima, Japan
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Hiroshima, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6211375	B1	20010403	
	WO 9740036		19971030	
APPLICATION INFO.:	US 1999-171411		19990120	(9)
	WO 1997-JP1327		19970417	
			19990120	PCT 371 date
			19990120	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-122538	19960419

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Morris, Patricia L.
LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 1223

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel pyridonecarboxylic acid derivative or its salt exhibiting satisfactory **antibacterial** activities, intestinal absorption, metabolic stability, and reduced side effects, in particular, phototoxicity and cytotoxicity, as well as an **antibacterial** agent containing such pyridonecarboxylic acid derivative or its salt are provided.

For such an object, a pyridonecarboxylic acid derivative represented by the following formula (1): ##STR1##

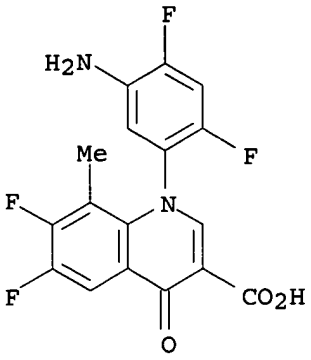
(wherein R.sup.1 represents hydrogen atom, a halogen atom or a lower alkyl group; R.sup.2 represents hydrogen atom or a lower alkyl group; R.sup.3 represents substituted or unsubstituted amino group or hydroxyl group; and R.sup.4 represents hydrogen atom, a lower alkyl group, amino group or nitro group) or its salt is provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **179741-37-8P**
(prepn. of azetidinylphenyloxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 179741-37-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(5-amino-2,4-difluorophenyl)-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 8 OF 152 USPATFULL

ACCESSION NUMBER:	2001:25894 USPATFULL		
TITLE:	Bicyclic amine derivative		
INVENTOR(S):	Takemura, Makoto, Tokyo, Japan Takahashi, Hisashi, Tokyo, Japan Kawakami, Katsuhiro, Tokyo, Japan		
PATENT ASSIGNEE(S):	Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)		

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6191129	B1	20010220	
	WO 9813370		19980402	
APPLICATION INFO.:	US 1999-147893		19990318	(9)
	WO 1997-JP3440		19970926	
			19990318	PCT 371 date
			19990318	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-255202	19960927

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Schroeder, Ben
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC
NUMBER OF CLAIMS:	24
EXEMPLARY CLAIM:	1
LINE COUNT:	1009

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridobenzoxazine derivative having a bicyclic amine derivative as its substituent, represented by the formula (I): ##STR1##

exhibiting antimcrobial activity, and useful in treating infectious diseases and preserving food and agricultural products.

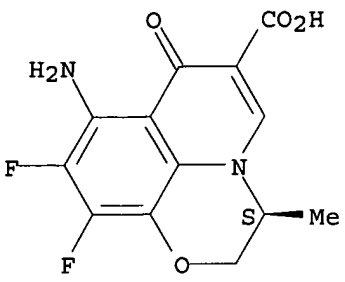
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **135325-15-4**
(prepn. of pyridobenzoxazine derivs. as antibacterial agents)

RN 135325-15-4 USPATFULL

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 8-amino-9,10-difluoro-2,3-dihydro-3-methyl-7-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L17 ANSWER 9 OF 152 USPATFULL

ACCESSION NUMBER:	2001:18634 USPATFULL
TITLE:	Substituted aminocycloalkylpyrrolidine derivatives and cis-substituted aminocycloalkylpyrrolidine derivatives
INVENTOR(S):	Takemura, Makoto, Tokyo, Japan Kimura, Youichi, Tokyo, Japan Takahashi, Hisashi, Tokyo, Japan Kimura, Kenichi, Tokyo, Japan Miyauchi, Satoru, Tokyo, Japan Ohki, Hitoshi, Tokyo, Japan Sugita, Kazuyuki, Tokyo, Japan Miyauchi, Rie, Tokyo, Japan
PATENT ASSIGNEE(S):	Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6184388	B1	20010206
APPLICATION INFO.:	US 1999-397515		19990917 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-82155, filed on 21 May 1998 Continuation-in-part of Ser. No. WO 1996-JP3440, filed on 22 Nov 1996

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-304129	19951122
	JP 1996-192637	19960723
	JP 1997-131413	19970521
	JP 1997-140643	19970529

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Seaman, D. Margaret
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC
NUMBER OF CLAIMS:	4
EXEMPLARY CLAIM:	1
LINE COUNT:	4579

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

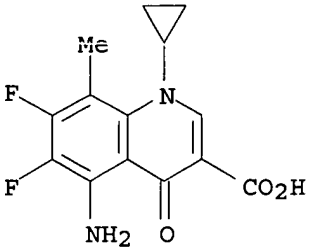
AB An antimicrobial drug having excellent antimicrobial activity and high safety is disclosed, which comprises as an active ingredient, a quinolone derivative having a substituted aminocycloalkylpyrrolidine as a substituent and which is further substituted with various substituents, represented by formula (I), its salts and hydrates thereof: ##STR1##

wherein Q is represented by formula (II) or (IV). ##STR2##

Also disclosed is a quinolone derivative where R.sup.4 and the substituent on the pyrrolidine ring of the following formula: ##STR3##

are located at the cis-configuration; and Q is represented by the formula: ##STR4##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **167888-38-2**
(prepn. of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides)
RN 167888-38-2 USPATFULL
CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 10 OF 152 USPATFULL
ACCESSION NUMBER: 2000:164659 USPATFULL
TITLE: Pyridonecarboxylic acid derivatives or their salts, and **antibacterial** agents containing the same as their effective components
INVENTOR(S): Yazaki, Akira, Takata-gun, Japan
Niino, Yoshiko, Takata-gun, Japan
Ohshita, Yoshihiro, Takata-gun, Japan
Hirao, Yuzo, Takata-gun, Japan
Amano, Hirotaka, Takata-gun, Japan
Hayashi, Norihiro, Takata-gun, Japan
Kuramoto, Yasuhiro, Takata-gun, Japan
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6156903		20001205
APPLICATION INFO.:	US 1999-329336		19990610 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Seaman, D. Margaret
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 3385

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

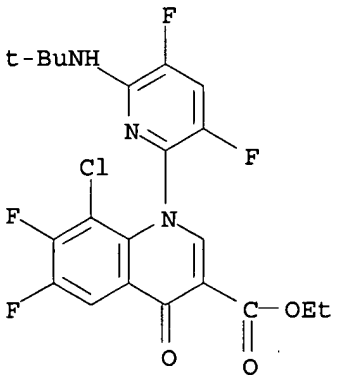
AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an **antibacterial** agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **189279-47-8P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189279-47-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 11 OF 152 USPATFULL

ACCESSION NUMBER: 2000:161014 USPATFULL

TITLE: Irreversible bicyclic inhibitors of tyrosine kinases

INVENTOR(S): Bridges, Alexander James, Saline, MI, United States

PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6153617		20001128	
	WO 9906396		19990211	
APPLICATION INFO.:	US 1999-269647		19990325	(9)
	WO 1998-US15592		19980729	
			19990325	PCT 371 date
			19990325	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-54061	19970729 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Patel, Sudhaker B.	
LEGAL REPRESENTATIVE:	Tinney, Francis J.	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2589	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

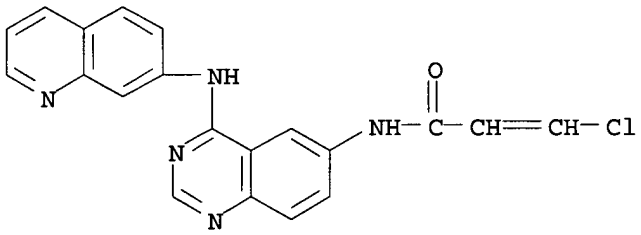
AB The invention provides compounds of Formula I ##STR1## that are irreversible inhibitors of tyrosine kinases. Also provided is a method of treating cancer, restenosis, atherosclerosis, endometriosis, and psoriasis and a **pharmaceutical** composition that comprises a compound that is an irreversible inhibitor of tyrosine kinases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **220576-87-4P**
(prepn. of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

RN 220576-87-4 USPATFULL

CN 2-Propenamide, 3-chloro-N-[4-(7-quinolinylamino)-6-quinazolinyl]- (9CI) (CA INDEX NAME)



L17 ANSWER 12 OF 152 USPATFULL

ACCESSION NUMBER:	2000:142389 USPATFULL
TITLE:	Pyridonecarboxylic acid derivatives or salts thereof and drugs containing the same as the active ingredient
INVENTOR(S):	Sakae, Nobuya, Hiroshima, Japan Yazaki, Akira, Hiroshima, Japan Kuramoto, Yasuhiro, Hiroshima, Japan Yoshida, Jiro, Hiroshima, Japan Niino, Yoshiko, Hiroshima, Japan Ohshita, Yoshihiro, Hiroshima, Japan Hirao, Yuzo, Hiroshima, Japan Hayashi, Norihiro, Hiroshima, Japan Amano, Hirotaka, Hiroshima, Japan
PATENT ASSIGNEE(S):	Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6136823		20001024	
	WO 9823592		19980604	
APPLICATION INFO.:	US 1999-308641		19990528	(9)

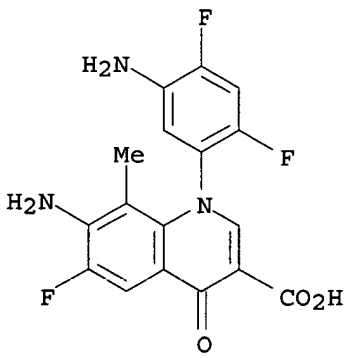
	WO 1997-JP4326	19971127
		19990528 PCT 371 date
		19990528 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-317693	19961128
	JP 1997-167245	19970624

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 3773
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to pyridonecarboxylic acid derivatives represented by the general formula (1): ##STR1## wherein R.sup.1 is --OH, a carboxy-protecting group or (alkyl)amino group, R.sup.2 is H, or --NO.sub.2, (protected) amino, (protected) hydroxyl, lower alkyl or lower alkoxyl group, R.sup.3 is a halogen atom, H, or --NO.sub.2, lower alkyl, lower alkoxyl or amino group, R.sup.4 is an azido, (substituted) hydrazino, (substituted) amino, lower alkoxyl or hydroxyl group, R.sup.5, R.sup.6 and R.sup.7 are independently H, --NO.sub.2, halogen atom or lower alkyl group, R.sup.8 is a --NO.sub.2, (substituted) amino, --OH or lower alkoxyl group, A is N or C--R.sup.12, in which R.sup.12 is H, halogen atom, or (substituted) lower alkyl, lower alkenyl, lower alkynyl, lower alkoxyl, lower alkylthio or nitro group, and B is N or C--R.sup.13, in which R.sup.13 is H or halogen atom, or salts thereof, and medicine comprising such a compound as an active ingredient. The derivatives or the salts thereof exhibit excellent **antibacterial** action and peroral absorbability, scarcely cause side effects, and are easy of synthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **208164-87-8P**
(prepn. of quinolinecarboxylic acid derivs. as bactericides)
RN 208164-87-8 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-amino-1-(5-amino-2,4-difluorophenyl)-6-fluoro-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 13 OF 152 USPATFULL
ACCESSION NUMBER: 2000:138370 USPATFULL

TITLE: Pyridonecarboxylic acid derivatives or their salts, and **antibacterial** agents containing the same as their effective components

INVENTOR(S): Yazaki, Akira, Hiroshima-ken, Japan
Niino, Yoshiko, Hiroshima-ken, Japan
Ohshita, Yoshihiro, Hiroshima-ken, Japan
Hirao, Yuzo, Hiroshima-ken, Japan
Amano, Hirotaka, Hiroshima-ken, Japan
Hayashi, Norihiro, Hiroshima-ken, Japan
Kuramoto, Yasuhiro, Hiroshima-ken, Japan
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6133284		20001017
APPLICATION INFO.:	US 1999-329246		19990610 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 3267

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)]

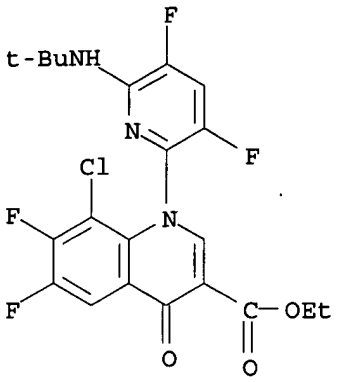
or its salt, as well as an **antibacterial** agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **189279-47-8P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189279-47-8 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 14 OF 152 USPATFULL

ACCESSION NUMBER: 2000:138346 USPATFULL

TITLE: Use of 7-(2-oxa-5,8-diazabicyclo[4.3.0]non-8-yl)-quinolone carboxylic acid and naphthyridon carboxylic acid derivatives for the treatment of Helicobacter pylori infections and associated gastroduodenal diseases

INVENTOR(S): Matzke, Michael, Wuppertal, Germany, Federal Republic of
Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Bartel, Stephan, Kurten, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Himmler, Thomas, Odenthal-Globusch, Germany, Federal Republic of
Baasner, Bernd, Bergisch Gladbach, Germany, Federal Republic of
Werling, Hans-Otto, Wuppertal, Germany, Federal Republic of
Schaller, Klaus, Wuppertal, Germany, Federal Republic of
Labischinski, Harald, Wuppertal, Germany, Federal Republic of

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

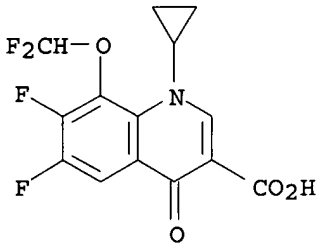
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6133260		20001017	
	WO 9826779		19980625	
APPLICATION INFO.:	US 1999-319888		19990614	(9)
	WO 1997-EP6781		19971204	
			19990614	PCT 371 date
			19990614	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19652239	19961216

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
LEGAL REPRESENTATIVE: Norris, McLaughlin & Marcus, P.A.
NUMBER OF CLAIMS: 3

EXEMPLARY CLAIM: 1
LINE COUNT: 1136
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to the use of quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a 2-oxa-5,8-diazabicyclo[4.3.0]-non-8-yl) radical, and their **pharmaceutically** utilizable hydrates and/or salts for the therapy of Helicobacter pylori infections and the gastroduodenal disorders associated therewith.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **128426-95-9**
(amination with oxadiazabicyclononane; prepn. of (oxadiazabicyclononyl)quinolone- and -naphthyridonecarboxylic acid derivs. as drugs for therapy of Helicobacter pylori infections and assocd. gastroduodenal illnesses)
RN 128426-95-9 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 15 OF 152 USPATFULL
ACCESSION NUMBER: 2000:134898 USPATFULL
TITLE: Integrin receptor antagonists
INVENTOR(S): Wityak, John, West Grove, PA, United States
Tobin, Aleksandra Ewa, Lincoln University, PA, United States
PATENT ASSIGNEE(S): DuPont Pharmaceuticals, Wilmington, DE, United States (U.S. corporation)

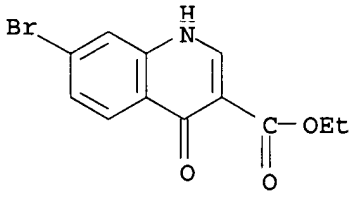
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6130231		20001010
APPLICATION INFO.:	US 1997-980016		19971126 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-33208	19961127 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
ASSISTANT EXAMINER: Coleman, Brenda
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 6233
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel fused heterocycles which are useful as antagonists of the .alpha..sub.v .beta..sub.3 and related integrin

receptors, to **pharmaceutical** compositions containing such compounds, processes for preparing such compounds, and to methods of using these compounds, alone or in combination with other therapeutic agents, for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **208580-23-8P**
(prepn. and N-methylation; prepn. of novel integrin receptor antagonists)
RN 208580-23-8 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-bromo-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 16 OF 152 USPATFULL

ACCESSION NUMBER:	2000:125062 USPATFULL
TITLE:	Substituted aminocycloalkylpyrrolidine derivatives and cis-substituted aminocycloalkylpyrrolidine derivatives
INVENTOR(S):	Takemura, Makoto, Tokyo, Japan Kimura, Youichi, Tokyo, Japan Takahashi, Hisashi, Tokyo, Japan Kimura, Kenichi, Tokyo, Japan Miyauchi, Satoru, Tokyo, Japan Ohki, Hitoshi, Tokyo, Japan Sugita, Kazuyuki, Tokyo, Japan Miyauchi, Rie, Tokyo, Japan
PATENT ASSIGNEE(S):	Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6121285		20000919
APPLICATION INFO.:	US 1998-82155		19980521 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1996-JP3440, filed on 22 Nov 1996		

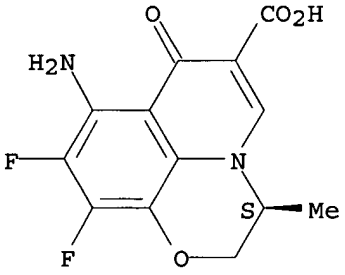
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-304129	19951122
	JP 1996-192637	19960723
	JP 1997-131413	19970521
	JP 1997-140643	19970529

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Mach, D Margaret
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC

NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
LINE COUNT: 4961
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB An antimicrobial drug having excellent antimicrobial activity and high safety is disclosed, which comprises as an active ingredient, a quinolone-derivative having a substituted aminocycloalkylpyrrolidine as a substituent and which is further substituted with various substituents, represented by formula (I), its salts and hydrates thereof: ##STR1## wherein Q is represented by formula (II) or (IV). ##STR2## Also disclosed is a quinolone derivative where R.sup.4 and the substituent on the pyrrolidine ring of the following formula: ##STR3## are located at the cis-configuration; and Q is represented by the formula: ##STR4##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **135325-15-4**
(prepn. of 6-(aminocycloalkylpyrrolidinyl)-1,4-dihydro-4-oxoquinolines as antimicrobial agents by addn. of 6-fluoro-1,4-dihydro-4-oxoquinolines to aminocycloalkylpyrrolidines)
RN 135325-15-4 USPATFULL
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 8-amino-9,10-difluoro-2,3-dihydro-3-methyl-7-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L17 ANSWER 17 OF 152 USPATFULL
ACCESSION NUMBER: 2000:102273 USPATFULL
TITLE: Macrolide derivatives
INVENTOR(S): Cheng, Hengmiao, East Lyme, CT, United States
Rafka, Robert John, Stonington, CT, United States
Dutra, Jason K., Salem, CT, United States
Letavic, Michael A., Mystic, CT, United States
Bronk, Brian S., Gales Ferry, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6100240		20000808	
APPLICATION INFO.:	US 1999-396876		19990916	(9)

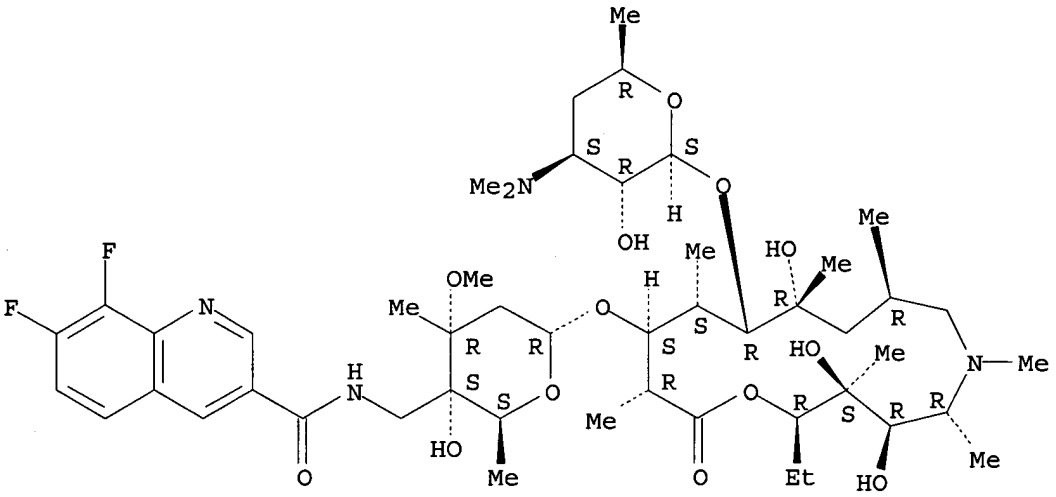
	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-103838	19981009 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Peselev, Elli
LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H.
NUMBER OF CLAIMS: 68
EXEMPLARY CLAIM: 1
LINE COUNT: 2516
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to compounds of the formula I ##STR1## and to **pharmaceutically** acceptable salts thereof, wherein R.sup.1, R.sup.2, R.sup.3, Q, X, Y and Z are as defined herein. The invention also relates to **pharmaceutical** compositions containing the compounds of formula I, methods of using said compounds of formula I in the treatment of infections, and methods of preparing said compounds of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **262449-46-7P**
(prepn. of erythromycin derivs. as antibacterial agents)
RN 262449-46-7 USPATFULL
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[[2,6-dideoxy-4-C-[[[(7,8-difluoro-3-quinolinyl)carbonyl]amino]methyl]-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 18 OF 152 USPATFULL
ACCESSION NUMBER: 2000:95031 USPATFULL
TITLE: 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents
INVENTOR(S): Tucker, John Alan, Kalamazoo, MI, United States
Vaillancourt, Valerie A., Kalamazoo, MI, United States
Strohbach, Joseph Walter, Mendon, MI, United States
Romines, Karen Rene, Paw Paw, MI, United States
Schnute, Mark E., Kalamazoo, MI, United States
Cudahy, Michele M., Kalamazoo, MI, United States
Thaisrivongs, Suvit, Kalamazoo, MI, United States

	Turner, Steven Ronald, Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6093732		20000725
APPLICATION INFO.:	US 1998-203259		19981201 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-68460	19971222 (60)
	US 1998-76717	19980304 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Davis, Zinna Northington
LEGAL REPRESENTATIVE:	Yang, Lucy X.
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
LINE COUNT:	8051

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

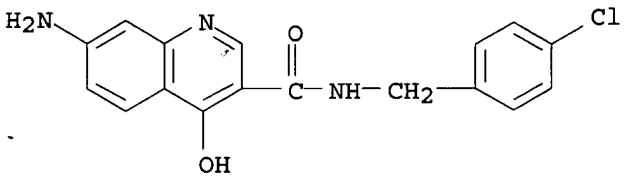
AB The present invention provides 4-hydroxyquinoline-3-carboxamide and hydrazide compounds of formula I ##STR1## These compounds are useful to treat or prevent the herpesviral infections, particularly, human cytomegaloviral infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **228725-34-6P**, 7-Amino-N-[(4-chlorophenyl)methyl]-4-hydroxy-3-quinolinecarboxamide
(prepn. of 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents)

RN 228725-34-6 USPATFULL

CN 3-Quinolinecarboxamide, 7-amino-N-[(4-chlorophenyl)methyl]-4-hydroxy- (9CI) (CA INDEX NAME)



L17 ANSWER 19 OF 152 USPATFULL

ACCESSION NUMBER:	2000:54230 USPATFULL
TITLE:	Quinoline sulfide derivatives
INVENTOR(S):	Kawashima, Seiichiro, Tokyo, Japan Terada, Sumio, Tokyo, Japan Saito, Kenichi, Tokyo, Japan Suzuki, Toshiaki, Tokyo, Japan Sasahara, Hiroya, Tokyo, Japan Kanda, Toshihisa, Tokyo, Japan Inoue, Tsuneo, Tokyo, Japan
PATENT ASSIGNEE(S):	Zenyaku Kogyo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE

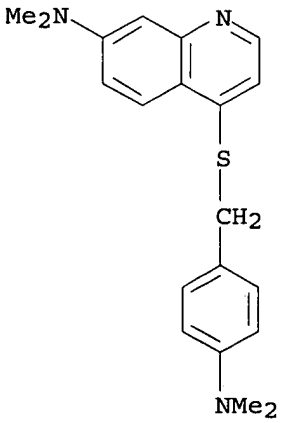
PATENT INFORMATION: US 6057447 20000502
WO 9804529 19980205
APPLICATION INFO.: US 1999-147605 19990201 (9)
WO 1997-JP2641 19970730
19990201 PCT 371 date
19990201 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-200466	19960730

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 1383
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to quinoline sulfide derivatives with **antibacterial** activities selectively against Hp, obtained by reacting quinoline-4(1H)-thione derivatives with halides and represented by the formula I ##STR1## wherein R.sub.1 represents hydrogen or halogen atom, C.sub.1 -C.sub.6 alkoxy, C.sub.1 -C.sub.6 alkylthio or di C.sub.1 -C.sub.6 alkylamino; R.sub.2 and R.sub.3 respectively represent hydrogen atom or C.sub.1 -C.sub.6 alkyl; one of R.sub.4 and R.sub.5 represents hydroxyl group and the other represents hydrogen atom or CR.sub.4 R.sub.5 represents carbonyl; and m and n are integers, m being 1 or 2, n being 0 or 1.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **202814-41-3P**
(prepn. of quinoline sulfide derivs. as selective antibacterial agents for Helicobacter pylori)
RN 202814-41-3 USPATFULL
CN 7-Quinolinamine, 4-[[[4-(dimethylamino)phenyl]methyl]thio]-N,N-dimethyl- (9CI) (CA INDEX NAME)



L17 ANSWER 20 OF 152 USPATFULL
ACCESSION NUMBER: 2000:27982 USPATFULL
TITLE: Trifluoromethylquinolinecarboxylic acid derivative
INVENTOR(S): Kimura, Tomio, Tokyo, Japan

Katsube, Tetsushi, Ube, Japan
Nishigaki, Takashi, Tokyo, Japan
PATENT ASSIGNEE(S): Ube Industries, Ltd., Ube, United States (non-U.S. corporation)
Sankyo Company, Limited, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6034086		20000307
APPLICATION INFO.:	US 1998-154464		19980916 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 776083		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-165126	19940718

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Clardy, S. Mark
ASSISTANT EXAMINER: Qazi, Sabiha N.
LEGAL REPRESENTATIVE: Frishauf, Holtz, Goodman, Langer & Chick, P.C.
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1040

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

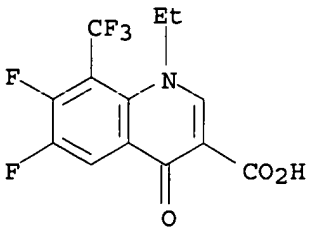
AB This invention relates to a 8-trifluoromethylquinolinecaboxylic acid derivative represented by the following formula (I): ##STR1## (wherein R.sup.1 represents a lower alkyl group, a halogeno-lower alkyl group or a cycloalkyl group, R.sup.2 represents a phenyl group which may be substituted by R.sup.0, a 5-membered or 6-membered aromatic heteromonocyclic ring group containing 1 or 2 hetero atoms selected from N, O and S, which may be substituted by R.sup.0, or an aromatic heterocyclic fused ring group in which the said aromatic heteromonocyclic ring group and a benzene ring are fused, R.sup.0 represents a group selected from a halogen, a lower alkyl, a fluorine-substituted lower alkyl, a lower alkoxy or a lower alkylthio, R.sup.3 represents hydrogen or a lower alkyl group, and m represents an integer of 2 or 3.) or a **pharmaceutically** acceptable salt thereof or an ester thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **177360-65-5P**
(prepn. of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivs.)

RN 177360-65-5 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,7-difluoro-1,4-dihydro-4-oxo-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 21 OF 152 USPATFULL
ACCESSION NUMBER: 2000:24776 USPATFULL
TITLE: Optically active pyridonecarboxylic acid derivatives
INVENTOR(S): Hayakawa, Isao, Tokyo, Japan
Kimura, Youichi, Tokyo, Japan
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6031102		20000229
APPLICATION INFO.:	US 1998-55127		19980406 (9)

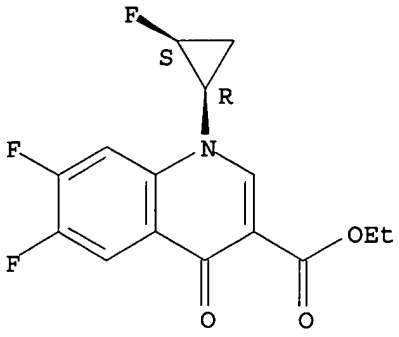
RELATED APPLN. INFO.: Division of Ser. No. US 1995-477886, filed on 7 Jun 1995, now patented, Pat. No. US 5767127 which is a division of Ser. No. US 1993-142105, filed on 28 Oct 1993, now patented, Pat. No. US 5587386 which is a continuation of Ser. No. US 1990-610916, filed on 9 Nov 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-343567, filed on 27 Apr 1989, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1988-104625	19880427
	JP 1988-296984	19881124

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn Macpeak & Seas, PLLC
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 2989
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB N.sub.1 -(1,2-cis-2-halogenocyclopropyl)-substituted pyridonecarboxylic acid derivatives represented by the following formula (I) the terms of which are defined in the specification and the salts thereof are disclosed: ##STR1## These compounds have patent **antibacterial** activities against a wide variety of infectious bacteria and are useful as **antibacterial** agents by oral or parenteral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **127199-19-3P**
(prepn. and reaction of, in prepn. of microbicides)
RN 127199-19-3 USPATFULL
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



L17 ANSWER 22 OF 152 USPATFULL

ACCESSION NUMBER:	2000:24657 USPATFULL
TITLE:	Lavendamycin analogs, quinoline-5,8-diones and methods of using them
INVENTOR(S):	Behforouz, Mohammad, Muncie, IN, United States Behforouz, Nancy C., Muncie, IN, United States
PATENT ASSIGNEE(S):	Ball State University, Muncie, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6030983		20000229
APPLICATION INFO.:	US 1997-962427		19971031 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-476213, filed on 7 Jun 1995, now patented, Pat. No. US 5712289 which is a continuation-in-part of Ser. No. US 1994-345509, filed on 28 Nov 1994, now patented, Pat. No. US 5646150 which is a continuation-in-part of Ser. No. US 1993-71648, filed on 4 Jun 1993, now patented, Pat. No. US 5525611

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rotman, Alan L.
LEGAL REPRESENTATIVE:	Brinks Hofer Gilson & Lione
NUMBER OF CLAIMS:	12
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT:	3180

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

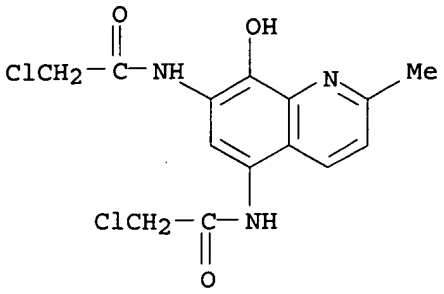
AB The invention provides novel lavendamycin analogs having the following general formula: ##STR1## and quinoline-5,8-diones having the following formula: ##STR2## Methods of making and using and compositions containing these compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **162219-43-4P**
(prepn. of lavendamycin analogs and quinoline-5,8-diones for pharmaceutical uses, such as antitumor and anti-HIV agents)

RN 162219-43-4 USPATFULL

CN Acetamide, N,N'-(8-hydroxy-2-methyl-5,7-quinolinediyl)bis[2-chloro- (9CI) (CA INDEX NAME)



L17 ANSWER 23 OF 152 USPATFULL

ACCESSION NUMBER:	2000:18455 USPATFULL
TITLE:	Quinolonecarboxylic acid derivatives or salts thereof
INVENTOR(S):	Todo, Yozo, Toyama, Japan Hayashi, Kazuya, Uozu, Japan Takahata, Masahiro, Imizu-gun, Japan Watanabe, Yasuo, Toyama, Japan Narita, Hirokazu, Toyama, Japan
PATENT ASSIGNEE(S):	Toyama Chemical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6025370		20000215	
	WO 9729102		19970814	
APPLICATION INFO.:	US 1998-125016		19980810	(9)
	WO 1997-JP317		19970207	
			19980810	PCT 371 date
			19980810	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1996-47936	19960209

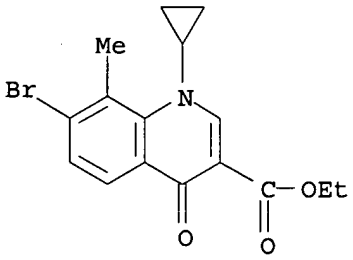
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Seaman, D. Margaret
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS:	21
EXEMPLARY CLAIM:	1
LINE COUNT:	1934

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a quinolone-carboxylic acid derivative represented by the general formula [1], or its salt: ##STR1## Of the compounds of the present invention, preferable are compounds in which R.sup.2 represents a substituted or unsubstituted cycloalkyl group; R.sup.3 represents at least one member selected from the group consisting of a hydrogen atom, a halogen atom, a substituted or unsubstituted lower alkyl or lower alkoxy group, and a protected or unprotected hydroxyl or amino group; R.sup.4 represents a hydrogen atom or a substituted or unsubstituted lower alkyl group; each of R.sup.5 and R.sup.6 represents a hydrogen atom; and A represents C--Y in which Y represents a halogen atom, a lower alkyl or lower alkoxy group which may be substituted by one or more halogen atoms, or a protected or unprotected hydroxyl group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **194805-04-4P**
(prepn. of quinolonecarboxylic acid derivs. as antibiotics)
RN 194805-04-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-bromo-1-cyclopropyl-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 24 OF 152 USPATFULL

ACCESSION NUMBER:	2000:10043 USPATFULL
TITLE:	7-isoindolinyl-quinolone derivatives and 7-isoindolinyl-naphthyridone derivatives
INVENTOR(S):	Philipps, Thomas, Cologne, Germany, Federal Republic of Bartel, Stephan, Bergisch Gladbach, Germany, Federal Republic of Krebs, Andreas, Odenthal, Germany, Federal Republic of Petersen, Uwe, Leverkusen, Germany, Federal Republic of Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of Bremm, Klaus-Dieter, Wuppertal, Germany, Federal Republic of Endermann, Rainer, Wuppertal, Germany, Federal Republic of Metzger, Karl Georg, Wuppertal, Germany, Federal Republic of Mielke, Burkhard, Leverkusen, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

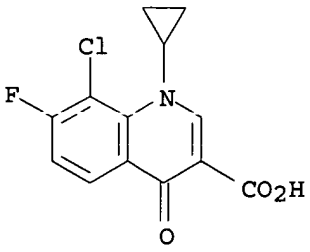
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6018054		20000125
APPLICATION INFO.:	US 1997-979751		19971126 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-649380, filed on 17 May 1996, now patented, Pat. No. US 5739339 which is a division of Ser. No. US 1993-119369, filed on 10 Sep 1993, now patented, Pat. No. US 5556979		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4230804	19920915

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Huang, Evelyn Mei
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
LINE COUNT:	2554

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to intermediate compounds for preparing novel quinolone derivatives- and naphthyridone derivatives which are substituted in the 7-position by a partially hydrogenated isoindolinyl ring, to processes for their preparation and to **antibacterial** agents and feed additives containing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **157373-06-3**
(reaction of, in prepn. of isoindolinylquinolone)
RN 157373-06-3 USPATFULL
CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 25 OF 152 USPATFULL

ACCESSION NUMBER:	1999:160052 USPATFULL
TITLE:	Pyridonecarboxylic acid derivatives or their salts and **antibacterial** agent comprising the same as the active ingredient
INVENTOR(S):	Yazaki, Akira, Hiroshima-ken, Japan Niino, Yoshiko, Hiroshima-ken, Japan Ohshita, Yoshihiro, Hiroshima-ken, Japan Hirao, Yuzo, Hiroshima-ken, Japan Amano, Hirotaka, Hiroshima-ken, Japan Hayashi, Norihiro, Hiroshima-ken, Japan Kuramoto, Yasuhiro, Hiroshima-ken, Japan
PATENT ASSIGNEE(S):	Wakunaga Pharmaceuticals Co., Ltd., Osaka, Japan (non-U.S. corporation)

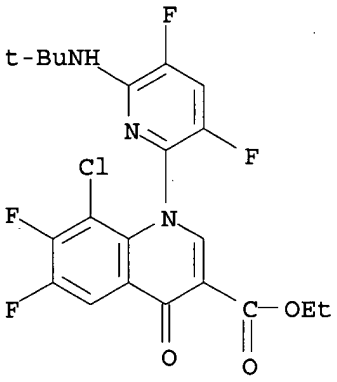
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5998436		19991207	
	WO 9711068		19970327	
APPLICATION INFO.:	US 1998-43472		19980320	(9)
	WO 1996-JP2710		19960920	
			19980320	PCT 371 date
			19980320	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Mach, D. Margaret
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS:	11

EXEMPLARY CLAIM: 1
LINE COUNT: 3409
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an **antibacterial** agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189279-47-8P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)
RN 189279-47-8 USPATFULL
CN 3-Quinolinecarboxylic acid, 8-chloro-1-[6-[(1,1-dimethylethyl)amino]-3,5-difluoro-2-pyridinyl]-6,7-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 26 OF 152 USPATFULL
ACCESSION NUMBER: 1999:151225 USPATFULL
TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Bartel, Stephan, Bergisch Gladbach, Germany, Federal Republic of
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
Metzger, Karl Georg, Wuppertal, Germany, Federal

PATENT ASSIGNEE(S): Republic of
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

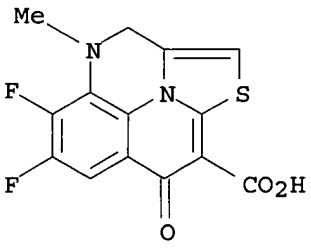
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5990122		19991123
APPLICATION INFO.:	US 1998-3613		19980107 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1996-764548, filed on 12 Dec 1996, now patented, Pat. No. US 5753669 which is a division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1507
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a tricyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **132305-96-5**
(prepn. of quinolone- and naphthyridonecarboxylic acid-deriv. antibiotics)
RN 132305-96-5 USPATFULL
CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 27 OF 152 USPATFULL
ACCESSION NUMBER: 1999:146804 USPATFULL
TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Bartel, Stephan, Bergisch Gladbach, Germany, Federal Republic of
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal

Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
Metzger, Karl Georg, Wuppertal, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

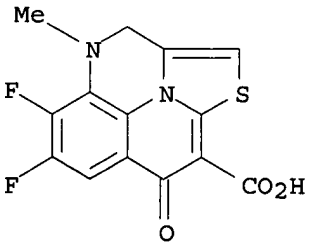
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5986105		19991116
APPLICATION INFO.:	US 1998-196971		19981120 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-3613, filed on 7 Jan 1998 which is a division of Ser. No. US 1996-764548, filed on 12 Dec 1996, now patented, Pat. No. US 5753669 which is a division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Mach, D. Margaret
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
LINE COUNT: 1469
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a tricyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **132305-96-5**
(prepn. of quinolone- and naphthyridonecarboxylic acid-deriv. antibiotics)
RN 132305-96-5 USPATFULL
CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 28 OF 152 USPATFULL
ACCESSION NUMBER: 1999:137547 USPATFULL
TITLE: Support for synthesis and purification of compounds
INVENTOR(S): DeWitt, Sheila Helen, Stockton, NJ, United States
Ramage, Robert, Scotland, United Kingdom

MacDonald, Alasdair Arthur, San Mateo, CA, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977400		19991102
APPLICATION INFO.:	US 1998-48166		19980325 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-41618	19970327 (60)

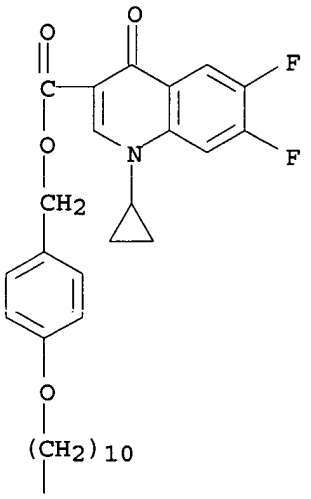
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Achutamurthy, Ponnathapura
LEGAL REPRESENTATIVE: Tinney, Francis J.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1079
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for the preparation and purification of compounds using a novel support, a tetrabenzo[a,c,g,i]fluorene group (Tbf) comprising reacting a building block (A) containing a Tbf group (Tbf-A), with a second building block (B), to afford an intermediate compound (Tbg-A-B) followed by purifying the intermediate compound by adsorption on a carbon support, removing the intermediate compound from the support with a solvent and repeating the previous reactions using the required number of building blocks to synthesize the compounds followed by removal of the Tbf group to afford the desired compounds.

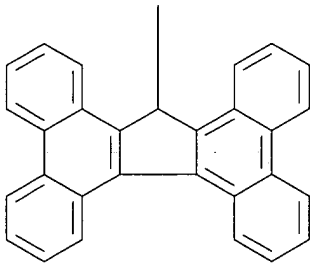
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **219532-25-9P**
(prepn. of tetrabenzo[a,c,g,i]fluorene derivs. as supports for synthesis and purifn. of compds. or library of compds.)
RN 219532-25-9 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-, [4-[[10-(17H-cyclopenta[1,2-l:3,4-l']diphenanthren-17-yl)decyl]oxy]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L17 ANSWER 29 OF 152 USPATFULL

ACCESSION NUMBER: 1999:92668 USPATFULL

TITLE: Quinolone- or naphthylidone-carboxylic acid derivates or their salts

INVENTOR(S): Todo, Yozo, Toyama, Japan
Hayashi, Kazuya, Uozu, Japan
Tadahata, Masahiro, Imizu-Gun, Japan
Watanabe, Yasuo, Toyama, Japan
Narita, Hirokazu, Toyama, Japan

PATENT ASSIGNEE(S): Toyama Chemical Co., LTD., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5935952		19990810

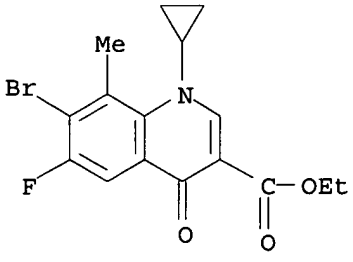
	WO 9605192	19960222	
APPLICATION INFO.:	US 1997-776711	19970212	(8)
	WO 1995-JP1551	19950804	
		19970212	PCT 371 date
		19970212	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-212083	19940812

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Huang, Evelyn
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 2694
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a novel quinolone- or naphthyridone-carboxylic acid derivative or its salt useful as an **antibacterial** agent, said derivative has a substituent represented by the following formula at the 7 position: ##STR1## wherein preferably R.sup.3 represents at least one member selected from the group consisting of a hydrogen atom, a halogen atom, a substituted or unsubstituted lower alkyl, lower alkoxy or lower alkylthio group, a nitro group, a cyano group, a protected or unprotected hydroxyl group and a protected or unprotected amino group; R.sup.4 represents a hydrogen atom, a substituted or unsubstituted lower alkyl group, a lower alkylidene group and a group forming a cycloalkane ring together with the carbon atom to which R.sup.4 is bonded; and R.sup.5 represents a hydrogen atom or a substituted or unsubstituted lower alkyl or cycloalkyl group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **178445-10-8P**
(prepn. of quinolone- or naphthyridonecarboxylic acid derivs. and salts as antibacterial agents)
RN 178445-10-8 USPATFULL
CN 3-Quinolinecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 30 OF 152 USPATFULL
ACCESSION NUMBER: 1999:65254 USPATFULL
TITLE: Pyridonecarboxylic acid derivatives or salts thereof and **antibacterial** agents comprising the same as active ingredient
INVENTOR(S): Yazaki, Akira, Hiroshima, Japan
Yoshida, Jiro, Hiroshima, Japan

Niino, Yoshiko, Hiroshima, Japan
Ohshita, Yoshihiro, Hiroshima, Japan
Hayashi, Norihiro, Hiroshima, Japan
Amano, Hirotaka, Hiroshima, Japan
Hirao, Yuzo, Hiroshima, Japan
Kuramoto, Yasuhiro, Hiroshima, Japan
PATENT ASSIGNEE(S): Wakunaga Seiyaku Kabushiki Kaisha, Osaka, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5910498		19990608	
	WO 9612704		19960502	
APPLICATION INFO.:	US 1997-817603		19970708	(8)
	WO 1995-JP2156		19951020	
			19970708	PCT 371 date
			19970708	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-255046	19941020
	JP 1995-12673	19950130

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 4322

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

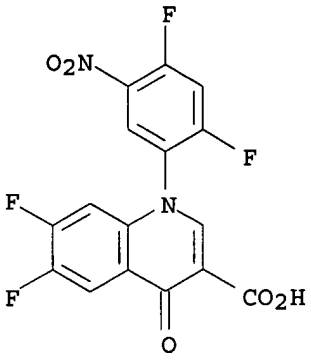
AB The invention provides a pyridonecarboxylic acid derivative of the following general formula (1): ##STR1## wherein R.sup.1 is a hydrogen atom or carboxy protecting group, R.sup.2 is a nitro or substituted or unsubstituted amino group, R.sup.3 is a halogen atom, each of R.sup.4 and R.sup.5, which may be the same or different, is a hydrogen atom, halogen atom, lower alkyl group or lower alkoxy group, A is a nitrogen atom or --CX.dbd. wherein X is a hydrogen atom, halogen atom, lower alkyl group or lower alkoxy group, and Z is a halogen atom or a saturated cyclic amino group which may have a substituent, or a salt thereof and an **antibacterial** agent comprising the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **179739-27-6P**
(prepn. of novel quinoline- and naphthyridinecarboxylate derivs. as antibacterial agents)

RN 179739-27-6 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(2,4-difluoro-5-nitrophenyl)-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 31 OF 152 USPATFULL

ACCESSION NUMBER:	1999:40426 USPATFULL
TITLE:	Method of inhibiting cell adhesion
INVENTOR(S):	Miyake, Akio, Hirakata, Japan Nakamura, Masahira, Kashiba-cho, Japan Fukushi, Hideto, Osaka, Japan
PATENT ASSIGNEE(S):	Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5889009		19990330
APPLICATION INFO.:	US 1997-931453		19970917 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-608697, filed on 29 Feb 1996, now patented, Pat. No. US 5703081 which is a division of Ser. No. US 1994-207091, filed on 8 Mar 1994, now patented, Pat. No. US 5519024		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-47917	19930309

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Dentz, Bernard
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack, L.L.P.
NUMBER OF CLAIMS:	11
EXEMPLARY CLAIM:	1
LINE COUNT:	1844

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

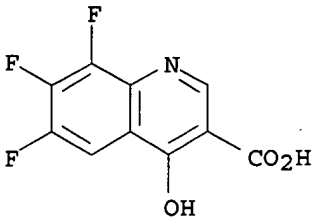
AB A composition comprises a 1,7-disubstituted-4-oxo-3 -quinolinecarboxylic acid or 1,7-disubstituted-4-oxo-3 -naphthyridinecarboxylic acid derivative which is useful as a prophylactic and/or therapeutic agent for peripheral arterial obstruction, acute myocardial infarction, an antitumor agent, and as a prophylactic and/or therapeutic agent for osteoporosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **151391-68-3**
(oxoquinolinecarboxylic acid derivs., oxonaphthyridinecarboxylic acid derivs., their prepn., and their use as cell adhesion inhibitors)

RN 151391-68-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 6,7,8-trifluoro-4-hydroxy- (9CI) (CA INDEX NAME)



L17 ANSWER 32 OF 152 USPATFULL

ACCESSION NUMBER:	1999:4683 USPATFULL
TITLE:	Quinoline carboxylic acid
INVENTOR(S):	Ito, Yasuo, Fukui, Japan Kato, Hideo, Fukui, Japan Yasuda, Shingo, Fukui, Japan Kada, Noriyuki, Fukui, Japan Yoshida, Toshihiko, Fukui, Japan Yamamoto, Yoichi, Ishikawa, Japan
PATENT ASSIGNEE(S):	Hokuriku Seiyaku Co., Ltd., Fukui, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5859026		19990112	
	WO 9622988		19960801	
APPLICATION INFO.:	US 1997-860469		19970709	(8)
	WO 1995-JP2614		19951220	
			19970709	PCT 371 date
			19970709	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-27270	19950124

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Sripada, Pavanaram K.
LEGAL REPRESENTATIVE:	Greenblum & Bernstein, P.L.C.
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	1180

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

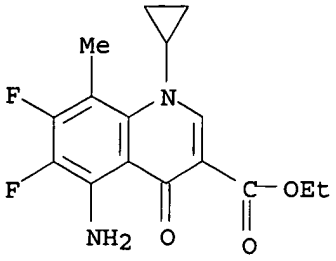
AB 5-Amino-7-((3S,4S)-3-amino-4-methyl (or ethyl)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methyl-4-oxoquinoline-3-carboxylic acid or a **pharmacologically** acceptable salt thereof represented by the following formula wherein asymmetric carbon atoms marked with asterisks are in the S-configurations and R.sup.1 represents methyl group or ethyl group; and an **antibacterial** agent comprising said compound as an active ingredient. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **167888-37-1P**
(prepn. of quinolinecarboxylic acid derivs. as medical bactericides)

RN 167888-37-1 USPATFULL

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 33 OF 152 USPATFULL

ACCESSION NUMBER:	1998:162505 USPATFULL
TITLE:	Pyrido[3,2,1-I,J][3,1]benzoxazine derivatives
INVENTOR(S):	Hallenbach, Werner, Monheim, Germany, Federal Republic of Himmler, Thomas, Odenthal, Germany, Federal Republic of Jaetsch, Thomas, Koln, Germany, Federal Republic of Mielke, Burkhard, Leverkusen, Germany, Federal Republic of Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of Endermann, Rainer, Wuppertal, Germany, Federal Republic of Pirro, Franz, Langenfeld, Germany, Federal Republic of Stegemann, Michael, Shawnee Mission, KS, United States Wetzstein, Heinz-Georg, Koln, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5854241		19981229	
	WO 9601829		19960125	
APPLICATION INFO.:	US 1997-765212		19970103	(8)
	WO 1995-EP2510		19950628	
			19970103	PCT 371 date
			19970103	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4424369	19940711

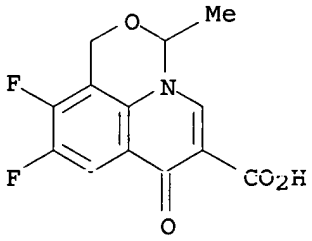
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Clardy, S. Mark
ASSISTANT EXAMINER:	Qazi, Sabiha N.
LEGAL REPRESENTATIVE:	Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1
LINE COUNT:	620

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are pyrido[3,2,1-i,j][3,1]benzoxazine compounds of the formula (I): ##STR1## wherein z represents a radical having the formula: ##STR2## wherein B represents --CH.sub.2 --, --O-- or a direct bond; and the other variables in formula (I) and Z are as described herein. The compounds have **antibacterial** properties and also disclosed are

antibacterial compositions containing them and methods of using them to prevent or combat bacterial infections. Methods for preparing the compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **130305-30-5**
(prepn. of pyrido[3,2,1-i,j][3,1]benzoxazines as bactericides)
RN 130305-30-5 USPATFULL
CN 1H,3H,7H-Pyrido[3,2,1-ij][3,1]benzoxazine-6-carboxylic acid, 9,10-difluoro-3-methyl-7-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 34 OF 152 USPATFULL

ACCESSION NUMBER:	1998:157359 USPATFULL			
TITLE:	Pyridonecarboxylic acid derivatives substituted by a bicyclic amino group as **antibacterials**			
INVENTOR(S):	Takemura, Makoto, Tokyo, Japan Kimura, Youichi, Tokyo, Japan Kawakami, Katsuhiro, Tokyo, Japan Kimura, Kenichi, Tokyo, Japan Ohki, Hitoshi, Tokyo, Japan Matsuhashi, Norikazu, Tokyo, Japan Kawato, Haruko, Tokyo, Japan			
PATENT ASSIGNEE(S):	Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5849757		19981215	
	WO 9623782		19960808	
APPLICATION INFO.:	US 1997-875678		19970804	(8)
	WO 1996-JP208		19960201	
			19970804	PCT 371 date
			19970804	PCT 102(e) date
	NUMBER		DATE	
PRIORITY INFORMATION:	JP 1995-15614		19950202	
	JP 1995-19478		19950207	
	JP 1995-19481		19950207	
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Shah, Mukund J.			
ASSISTANT EXAMINER:	Kifle, Bruck			
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas, PLLC			
NUMBER OF CLAIMS:	7			
EXEMPLARY CLAIM:	1			
LINE COUNT:	3655			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a N.sub.1 -(halogenocyclopropyl)-substituted pyridonecarboxylic acid derivative represented by the following formula (I): ##STR1## wherein X.sup.1 is a halogen atom or a hydrogen atom; X.sup.2 is a halogen atom; R.sup.1 is a hydrogen atom, a hydroxyl group, a thiol group, a halogenomethyl group, an amino group, an alkyl group or an alkoxy group which may have a substituent group; R.sup.2 is a group represented by the following formula (II): ##STR2## wherein R.sup.3 and R.sup.4 are independently a hydrogen atom or an alkyl group and n is an integer of 1 or 2; A is a nitrogen atom or a partial structure of the following formula (III): ##STR3## wherein X.sup.3 is a hydrogen atom, a halogen atom, a cyano group, an amino group, an alkyl group, a halogenomethyl group, an alkoxyl group or a halogenomethoxyl group which may have a substituent group; and R is a hydrogen atom, a phenyl group, an acetoxymethyl group, a pivaloyloxymethyl group, an ethoxycarbonyl group, a choline group, a dimethylaminoethyl group, a 5-indanyl group, a phthalidynyl group, a 5-alkyl-2-oxo-1,3-dioxol-4-ylmethyl group, a 3-acetoxy-2-oxobutyl group, an alkyl group, an alkoxymethyl group or a phenylalkyl group, and provides a heterocyclic compound useful as **antibacterial** drugs.

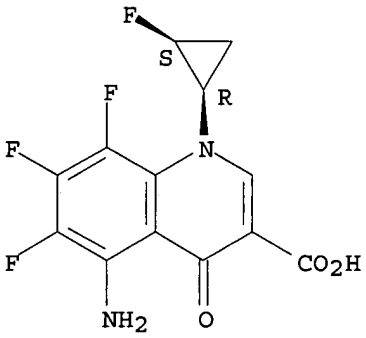
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **127199-34-2**
(prepn. of heterocyclic compds. as medical bactericides)

RN 127199-34-2 USPATFULL

CN 3-Quinolinecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 35 OF 152 USPATFULL

ACCESSION NUMBER: 1998:150970 USPATFULL

TITLE: Symmetrical bis-heteroarylmethoxy-phenylalkyl carboxylates as inhibitors of leukotriene biosynthesis

INVENTOR(S): Brooks, Clint D. W., Libertyville, IL, United States
Bhatia, Pramila, Libertyville, IL, United States
Kolasa, Teodozyj, Lake Villa, IL, United States
Stewart, Andrew O., Libertyville, IL, United States
Gunn, David E., Hamden, CT, United States
Craig, Richard A., Racine, WI, United States

PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 5843968 19981201
APPLICATION INFO.: US 1997-958301 19971027 (8)
RELATED APPLN. INFO.: Division of Ser. No. US 1996-703441, filed on 17 Sep 1996

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4706	19951003 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chang, Ceila
ASSISTANT EXAMINER: Mach, Margaret M.
LEGAL REPRESENTATIVE: Pope, Lawrence S.
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 1863
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula: ##STR1## wherein W is the same at each occurrence and is selected from optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R.sup.1 and R.sup.2 are independently selected from hydrogen, alkyl, halolalkyl, alkoxy, halogen; R.sup.3 is a valence bond or is selected from hydrogen and alkyl; X is a valence bond or is selected from alkylene, alkenylen, and alkynylene; and Z is selected from (a) COM, (b) CH.dbd.N--O--A--COM, (c) CH.sub.2 --O--N.dbd.A--COM wherein A is selected from alkylene and cycloalkylene, and M is selected from (a) a **pharmaceutically** acceptable metabolically cleavable group, (b) --OR.sup.6, (c) --NR.sup.7 R.sup.8, (d) --NR.sup.6 SO.sub.2 R.sup.9, (e) --NH-Tetrazolyl, and (f) glycinyl inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189498-65-5P**
(prepn. of sym. bis[(heteroarylmethoxy)phenyl]alkanoic acid derivs. as inhibitors of leukotriene biosynthesis)
RN 189498-65-5 USPATFULL
CN Benzenebutanoic acid, 4-[(7-chloro-2-quinolinyl)methoxy]-.gamma.-[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]-.gamma.-methyl- (9CI) (CA INDEX NAME)

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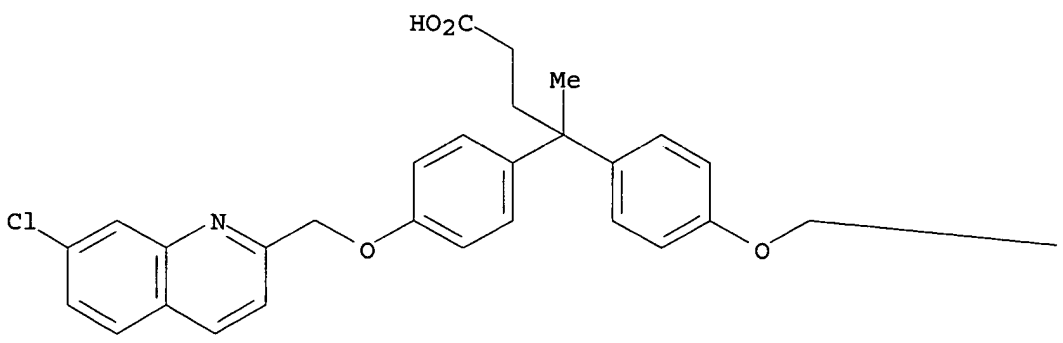
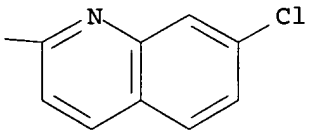




L17 ANSWER 36 OF 152 USPATFULL
ACCESSION NUMBER: 1998:98924 USPATFULL
TITLE: Symmetrical bis-heteroaryl-methoxy-phenylalkyl carboxylates as inhibitors of leukotriene biosynthesis
INVENTOR(S): Brooks, Clint D., Libertyville, IL, United States
Bhatia, Pramila, Libertyville, IL, United States
Kolasa, Teodozyj, Lake Villa, IL, United States
Stewart, Andrew O., Libertyville, IL, United States
Gunn, David E., Hamden, CT, United States
Craig, Richard A., Racine, WI, United States
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5795900		19980818	
APPLICATION INFO.:	US 1996-703441		19960917	(8)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1995-4706	19951003	(60)

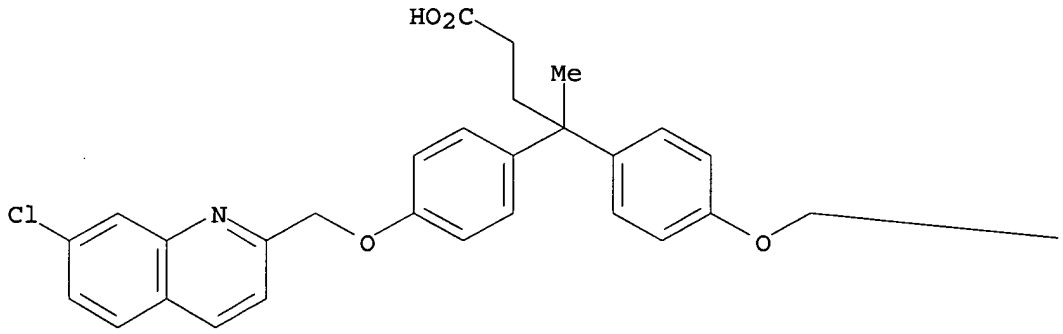
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Yang, Frank Z.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 1865
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula: ##STR1## wherein W is the same at each occurrence and is selected from optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R.sup.1 and R.sup.2 are independently selected from hydrogen, alkyl, halolalkyl, alkoxy, halogen; R.sup.3 is a valence bond or is selected from hydrogen and alkyl; X is a valence bond or is selected from alkylene, alkenylen, and alkynylene; and Z is selected from (a) COM, (b) CH.dbd.N--O--A--COM,

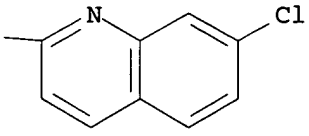
(c) CH.sub.2 --O--N.dbd.A--COM wherein A is selected from alkylene and cycloalkylene, and M is selected from (a) a **pharmaceutically** acceptable metabolically cleavable group, (b) --OR.sup.6, (c) --NR.sup.7 R.sup.8, (d)--NR.sup.6 SO.sub.2 R.sup.9, (e)-NH-Tetrazolyl, and (f) glycinyl inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189498-65-5P**
(prepn. of sym. bis[(heteroarylmethoxy)phenyl]alkanoic acid derivs. as inhibitors of leukotriene biosynthesis)
RN 189498-65-5 USPATFULL
CN Benzenebutanoic acid, 4-[(7-chloro-2-quinolinyl)methoxy]-.gamma.-[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]-.gamma.-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L17 ANSWER 37 OF 152 USPATFULL
ACCESSION NUMBER: 1998:86074 USPATFULL
TITLE: Intermediate compounds for the preparation of new quinolone-and naphthyridonecarboxylic acid derivatives
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Ruther, Michael, Monheim, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal

Republic of
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

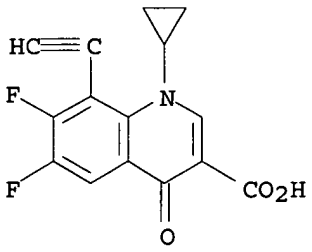
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5783708		19980721
APPLICATION INFO.:	US 1997-914584		19970818 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1996-583685, filed on 5 Jan 1996, now patented, Pat. No. US 5703094

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19500792	19950113

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Huang, Evelyn
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to new intermediate compounds for preparation of new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by an unsatured bicyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147459-28-7**
(prepn. of quinolone- and naphthyridonecarboxylic acid antibiotics)
RN 147459-28-7 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-ethynyl-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 38 OF 152 USPATFULL
ACCESSION NUMBER: 1998:75595 USPATFULL
TITLE: Thioquinolone compounds which have useful **pharmaceutical** activity
INVENTOR(S): Konishi, Masataka, Ohizumi-machi, Japan
Fukuda, Naoki, Ohizumi-machi, Japan
Oku, Yukio, Ohizumi-machi, Japan

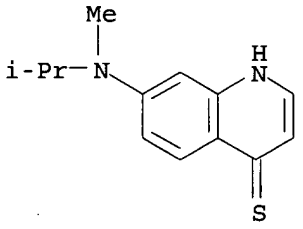
Yamazaki, Hiroaki, Ohizumi-machi, Japan
Imaizumi, Kazuhiro, Ohizumi-machi, Japan
Kobayashi, Hideshi, Ohizumi-machi, Japan
PATENT ASSIGNEE(S): Zenyaku Kogyo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5773449		19980630	
	WO 9611187		19960418	
APPLICATION INFO.:	US 1997-809722		19970407	(8)
	WO 1995-JP2052		19951006	
			19970407	PCT 371 date
			19970407	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-244348	19941007

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 1328
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed is a thioquinolone derivative which exhibits highly selective **antibacterial** activity against Helicobacter pylori.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **178983-89-6P**
(prepn. of thioquinolone derivs. as antibacterial agents)
RN 178983-89-6 USPATFULL
CN 4(1H)-Quinolinethione, 7-[methyl(1-methylethyl)amino]- (9CI) (CA INDEX NAME)



L17 ANSWER 39 OF 152 USPATFULL
ACCESSION NUMBER: 1998:72622 USPATFULL
TITLE: Quinolone derivatives and processes for preparing the same
INVENTOR(S): Kim, Wan Joo, Daejeon, Korea, Republic of
Park, Tae Ho, Daejeon, Korea, Republic of
Kim, Moon Hwan, Daejeon, Korea, Republic of
Kim, Bong Jin, Daejeon, Korea, Republic of
Pearson, Neil D., Surrey, England
PATENT ASSIGNEE(S): Korea Research Institute of Chemical Technology, Daejeon, Korea, Republic of (non-U.S. corporation)
Smithkline Beecham P.L.C., Brentford, United Kingdom

(non-U.S. corporation)

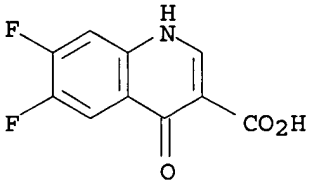
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5770597		19980623	
	WO 9415938		19940721	
APPLICATION INFO.:	US 1995-492086		19951011	(8)
	WO 1994-KR5		19940118	
			19951011	PCT 371 date
			19951011	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	KR 1993-543	19930118

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Baker & Botts, L.L.P.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 799
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to quinoline derivatives substituted in the 7-position by a trans-2,8-diazabicyclo[4.3.0]nonan-8-yl group having a broad **antibacterial** spectrum and to processes for preparing the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **144216-11-5**
(reaction of, in prepn. of quinoline-deriv. antibiotics)
RN 144216-11-5 USPATFULL
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 40 OF 152 USPATFULL
ACCESSION NUMBER: 1998:69045 USPATFULL
TITLE: Optically active pyridonecarboxylic acid derivatives
INVENTOR(S): Hayakawa, Isao, Tokyo, Japan
Kimura, Youichi, Tokyo, Japan
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5767127		19980616	
APPLICATION INFO.:	US 1995-477886		19950607	(8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-142105, filed on 28 Oct 1993, now patented, Pat. No. US 5587386 which is a continuation of Ser. No. US 1990-610916, filed on 9 Nov

1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-343567, filed on 27 Apr 1989, now abandoned

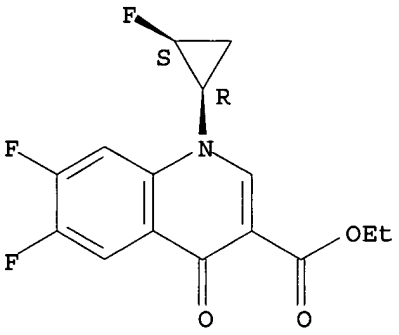
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1988-104625	19880427
	JP 1988-296984	19881124

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Grumbling, Matthew V.
LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn, Macpeak & Seas, PLLC
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 3088
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N.sub.1 -(1,2-cis-2-halogenocydopropyl)-substituted pyridonecarboxylic acid derivatives represented by formula (I) and the salts thereof are disclosed. These compounds have patent **antibacterial** activities against a wide variety of infectious bacteria, and are useful as **antibacterial** agents by oral or parenteral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **127199-19-3P**
(prepn. and reaction of, in prepn. of microbicides)
RN 127199-19-3 USPATFULL
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



L17 ANSWER 41 OF 152 USPATFULL
ACCESSION NUMBER: 1998:65232 USPATFULL
TITLE: Substituted benzyl pyrimidines
INVENTOR(S): Guerry, Philippe, Binningen, Switzerland
Jolidon, Synese, Blauen, Switzerland
Masciadri, Raffaello, Basel, Switzerland
Stalder, Henri, Basel, Switzerland
Then, Rudolf, Weil am Rhein, Germany, Federal Republic of
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

NUMBER	KIND	DATE

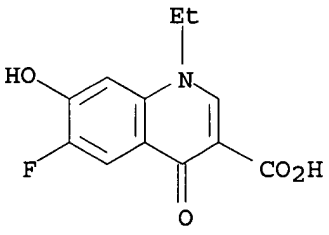
PATENT INFORMATION: US 5763450 19980609
WO 9616046 19960530
APPLICATION INFO.: US 1997-836857 19970521 (8)
WO 1995-EP4451 19951113
19970521 PCT 371 date
19970521 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1994-3536	19941124
	CH 1995-2704	19950925

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Gupta, Yogendra N.
LEGAL REPRESENTATIVE: Johnston, George W., Epstein, William H., Parise, John P.
NUMBER OF CLAIMS: 36
EXEMPLARY CLAIM: 1
LINE COUNT: 4128
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula: ##STR1## in which R.sup.1 is lower alkoxy, R.sup.2 is bromine or lower alkoxy, and R.sup.3 is aryl, heteroaryl or a group --Q--R.sup.30, wherein Q is ethylene, vinylene or ethynylene and R.sup.30 is aryl, heteroaryl, lower alkoxycarbonyl or carbamoyl;

hydrolyzable esters of carboxylic acids of formula I; and **pharmaceutically** acceptable salts of these compounds are useful for treating infectious diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **126093-18-3P**
(intermediate; prepn. of novel benzylpyrimidines as antibacterials)
RN 126093-18-3 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 42 OF 152 USPATFULL
ACCESSION NUMBER: 1998:54912 USPATFULL
TITLE: Quinolone--and naphthyridonecarboxylic acid derivatives
INVENTOR(S): Peterson, Uwe, Leverkusen, Germany, Federal Republic of
Schenke, Thomas, Gladbach, Germany, Federal Republic of
Jaetsch, Thomas, Koln, Germany, Federal Republic of
Bartel, Stephan, Glabach, Germany, Federal Republic of
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
Metzger, Karl Georg, Wuppertal, Germany, Federal

PATENT ASSIGNEE(S): Republic of
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5753669		19980519
APPLICATION INFO.:	US 1996-764548		19961212 (8)

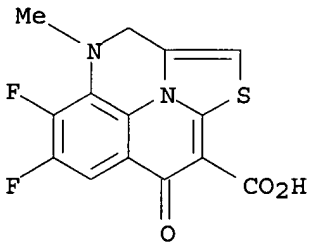
RELATED APPLN. INFO.: Division of Ser. No. US 1995-508603, filed on 28 Jul 1995, now patented, Pat. No. US 5605910

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4427530	19940804

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1497
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by a tricyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **132305-96-5**
(prepn. of quinolone- and naphthyridonecarboxylic acid-deriv. antibiotics)
RN 132305-96-5 USPATFULL
CN 8H-1-Thia-4,9b-diazacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-3,4-dihydro-4-methyl-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 43 OF 152 USPATFULL
ACCESSION NUMBER: 1998:45213 USPATFULL
TITLE: Thiazolo [3,2-a] quinoline and thiazolo [3,2-a] naphthyridine derivatives
INVENTOR(S): Jaetsch, Thomas, Koln, Germany, Federal Republic of
Hallenbach, Werner, Monheim, Germany, Federal Republic of
Himmler, Thomas, Odenthal, Germany, Federal Republic of
Bremm, Klaus-Dieter, Recklinghausen, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic

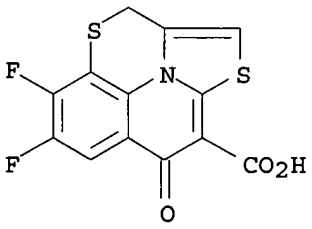
of
Pirro, Franz, Langenfeld, Germany, Federal Republic of
Stegemann, Michael, Kansas City, MO, United States
Wetzstein, Heinz-Georg, Leverkusen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5744478		19980428	
	WO 9606848		19960307	
APPLICATION INFO.:	US 1997-793795		19970221	(8)
	WO 1995-EP3315		19950821	
			19970221	PCT 371 date
			19970221	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1994-4431122	19940901

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 628
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to new thiazolo[3,2-a]quinoline and thiazolo[3,2-a]naphthyridine derivatives of the general formula (I) ##STR1## in which R.sup.1, R.sup.2, Z and X have the meaning indicated in the description, processes for their preparation and their use in **antibacterial** compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **152481-20-4**
(prepn. of 5-oxo-5H-thiazolo[3,2-a]quinoline-4-carboxylates and related compds. as antibacterials)
RN 152481-20-4 USPATFULL
CN 3H,8H-1,4-Dithia-9b-azacyclopenta[cd]phenalene-9-carboxylic acid, 5,6-difluoro-8-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 44 OF 152 USPATFULL
ACCESSION NUMBER: 1998:39721 USPATFULL
TITLE: 7-isoindolinyl-quinolone derivatives and 7-isoindolinyl-naphthyridone derivatives
INVENTOR(S): Philipps, Thomas, Cologne, Germany, Federal Republic of
Bartel, Stephan, Bergisch Gladbach, Germany, Federal

Republic of
Krebs, Andreas, Odenthal, Germany, Federal Republic of
Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Bremm, Klaus-Dieter, Wuppertal, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
Metzger, Karl Georg, Wuppertal, Germany, Federal Republic of
Mielke, Burkhard, Leverkusen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739339		19980414
APPLICATION INFO.:	US 1996-649380		19960517 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-119369, filed on 10 Sep 1993

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4230804	19920915

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Ngo, Tamthomt T.
LEGAL REPRESENTATIVE: Sprung Kramer Schaefer & Briscoe
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 2558

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

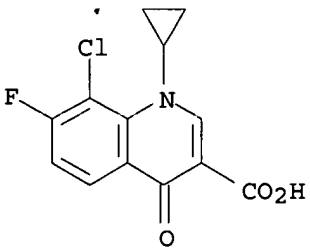
AB The invention relates to novel quinolone derivatives and naphthyridone derivatives which are substituted in the 7-position by a partially hydrogenated isoindolinyl ring, to processes for their preparation and to **antibacterial** agents and feed additives containing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **157373-06-3**
(reaction of, in prepn. of isoindolinylquinolone)

RN 157373-06-3 USPATFULL

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



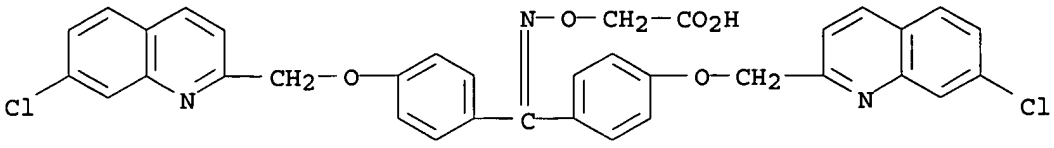
L17 ANSWER 45 OF 152 USPATFULL
ACCESSION NUMBER: 1998:12029 USPATFULL
TITLE: Bis-heteroarylylmethoxyphenyl ketone derivatives as inhibitors of leukotriene biosynthesis
INVENTOR(S): Brooks, Clint D. W., Libertyville, IL, United States
Bhatia, Pramila, Libertyville, IL, United States
Kolasa, Teodozyj, Lake Villa, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5714488		19980203	
APPLICATION INFO.:	US 1996-703440		19960917	(8)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1995-4707	19951003	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Yang, Frank Z.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1095
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds having the formula ##STR1## or a **pharmaceutically** acceptable salt thereof wherein W is selected from the group consisting of optionally substituted quinolyl, optionally substituted benzothiazolyl, optionally substituted benzoxazolyl, optionally substituted benzimidazolyl, optionally substituted quinoxalyl, optionally substituted pyridyl, optionally substituted pyrimidyl, and optionally substituted thiazolyl; R.sup.1 and R.sup.2 are one or more groups independently selected from hydrogen, alkyl, halolalkyl, alkoxy, and halogen; Z is selected from the group consisting of N--OH, N--O--A--COM, CH--COM, and CH--CH.dbd.N--0--A--COM wherein A is selected from the group consisting of alkylene, alkenylene, cycloalkylene, and optionally substituted alkylphenyl wherein the alkyl portion is of one to six carbon atoms, and M is selected from the group consisting of a **pharmaceutically** acceptable, metabolically clearable group, --OR.sup.3, and --NR.sup.4 R.sup.5, inhibit leukotriene biosynthesis and are useful in the treatment of allergic and inflammatory disease states. Also disclosed are leukotriene biosynthesis inhibiting compositions and a method of inhibiting leukotriene biosynthesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189447-66-3P**
(prepn. of bis[(heteroarylmethoxy)phenyl]ketone derivs. as inhibitors of leukotriene biosynthesis)
RN 189447-66-3 USPATFULL
CN Acetic acid, [[[bis[4-[(7-chloro-2-quinolinyl)methoxy]phenyl]methylene]amino]oxy]- (9CI) (CA INDEX NAME)



L17 ANSWER 46 OF 152 USPATFULL

ACCESSION NUMBER:	1998:9515 USPATFULL
TITLE:	Quinoline-5,8-diones and methods of using them
INVENTOR(S):	Behforouz, Mohammad, Muncie, IN, United States Merriman, Ronald L., Ann Arbor, MI, United States
PATENT ASSIGNEE(S):	Ball State University, Muncie, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5712289		19980127
APPLICATION INFO.:	US 1995-476213		19950607 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-345509, filed on 28 Nov 1994, now patented, Pat. No. US 5646150 which is a continuation-in-part of Ser. No. US 1993-71648, filed on 4 Jun 1993, now patented, Pat. No. US 5525611

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rotman, Alan L.
LEGAL REPRESENTATIVE:	Brinks Hofer Gilson & Lione
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT:	3114

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

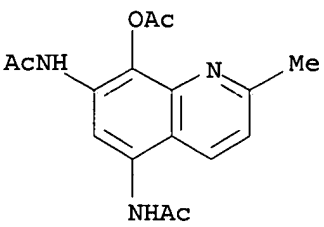
AB The invention provides quinoline-5,8-diones having the following formula: ##STR1## wherein X, Z and R.sup.1 through R.sup.3 are defined in the specification, and salts of these quinolinediones. The invention also provides a method of making the quinolinediones. The quinolinediones have antitumor activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **162219-42-3P**
(prepn. of lavendamycin analog quinoline-5,8-diones for antitumor and anti-Leishmania application)

RN 162219-42-3 USPATFULL

CN Acetamide, N,N'-[8-(acetyloxy)-2-methyl-5,7-quinolinediyl]bis- (9CI) (CA INDEX NAME)



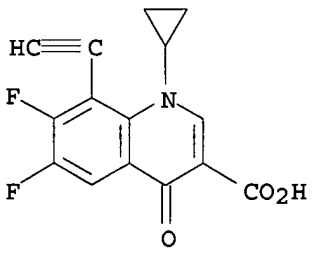
L17 ANSWER 47 OF 152 USPATFULL
ACCESSION NUMBER: 97:123230 USPATFULL
TITLE: Quinolone- and naphthyridonecarboxylic acid derivatives
INVENTOR(S): Petersen, Uwe, Leverkusen, Germany, Federal Republic of
Ruther, Michael, Monheim, Germany, Federal Republic of
Schenke, Thomas, Bergisch Gladbach, Germany, Federal Republic of
Bremm, Klaus Dieter, Recklinghausen, Germany, Federal Republic of
Endermann, Rainer, Wuppertal, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5703094		19971230	
APPLICATION INFO.:	US 1996-583685		19960105	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19500792	19950113

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Huang, Evelyn
LEGAL REPRESENTATIVE: Sprung Kramer Schaeffer & Briscoe
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1381
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to new quinolone- and naphthyridonecarboxylic acid derivatives which are substituted in the 7-position by an unsaturated bicyclic amine radical, their salts, processes for their preparation and **antibacterial** compositions comprising these compounds

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147459-28-7**
(prepn. of quinolone- and naphthyridonecarboxylic acid antibiotics)
RN 147459-28-7 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-ethynyl-6,7-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 48 OF 152 USPATFULL
ACCESSION NUMBER: 97:123217 USPATFULL
TITLE: Quinolonecarboxylic acid derivatives, their production

and use
INVENTOR(S): Miyake, Akio, Hirakata, Japan
Nakamura, Masahira, Kashiba-cho, Japan
Fukushi, Hideto, Osaka, Japan
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan
(non-U.S. corporation)

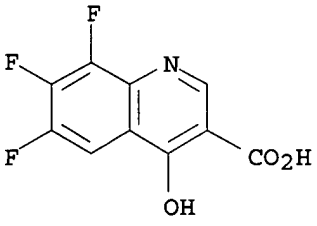
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5703081		19971230
APPLICATION INFO.:	US 1996-608697		19960229 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-207091, filed on 8 Mar 1994, now patented, Pat. No. US 5519024

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-47917	19930309

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 1792
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A composition comprises a 1,7-disubstituted-4-oxo-3-quinolinecarboxylic acid or 1,7-disubstituted-4-oxo-3-naphthyridinecarboxylic acid derivative which is useful as a prophylactic and/or therapeutic agent for peripheral arterial obstruction, acute myocardial infarction, an antitumor agent, and as a prophylactic and/or therapeutic agent for osteoporosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **151391-68-3**
(oxoquinolinecarboxylic acid derivs., oxonaphthyridinecarboxylic acid derivs., their prepn., and their use as cell adhesion inhibitors)
RN 151391-68-3 USPATFULL
CN 3-Quinolinecarboxylic acid, 6,7,8-trifluoro-4-hydroxy- (9CI) (CA INDEX NAME)



L17 ANSWER 49 OF 152 USPATFULL
ACCESSION NUMBER: 97:115290 USPATFULL
TITLE: Pyridonecarboxylic acid derivatives
INVENTOR(S): Hayakawa, Isao, Tokyo, Japan
Kimura, Youichi, Tokyo, Japan
Takahashi, Hisashi, Tokyo, Japan
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Tokyo, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5696132		19971209	
	WO 9221659		19921012	
APPLICATION INFO.:	US 1994-142444		19940126	(8)
	WO 1992-JP687		19920527	
			19940126	PCT 371 date
			19940126	PCT 102(e) date

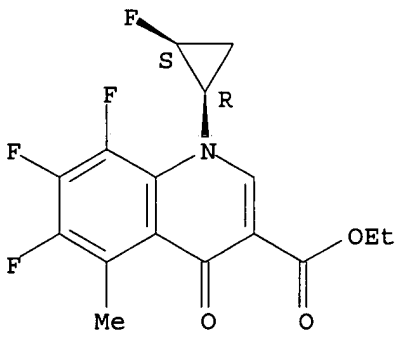
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-225425	19910528

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Sughrue,Mion,Zinn,Macpeak & Seas, PLLC
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1186
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinolone derivatives are known as synthetic antimicrobial agents having a condensed pyridonecarboxylic acid skeleton, and those having substituents on various replaceable positions of said skeleton are known. In particular, if diastereomers exist, there are 4 or more kinds of stereoisomers. A mixture of diastereomers is a mixture of isomers having different physical properties and is difficult to apply as a drug as such. The present invention provides an antimicrobial 1-(1,2-cis-2-fluorocyclopropyl)-substituted quinolone derivative represented by formula I shown below which, although involving diastereomers, consists of a single stereoisomer. ##STR1## wherein R.sup.1 represents a methyl group, a difluoromethyl group, etc.; R.sup.2 represents a saturated nitrogen-containing heterocyclic group; A represents C--X.sup.3 or a nitrogen atom; X.sup.1 and X.sup.2 each represents a halogen atom; and X.sup.3 and Z represent a hydrogen atom, etc.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **149326-70-5P**
(prepn. of, as antibacterial agent)
RN 149326-70-5 USPATFULL
CN 3-Quinolinecarboxylic acid, 6,7,8-trifluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 50 OF 152 USPATFULL
ACCESSION NUMBER: 97:107077 USPATFULL
TITLE: Aryl group-or aromatic heterocyclic group-substituted aminoquinolone derivatives and anti-hiv agent
INVENTOR(S): Kimura, Tomio, Ube, Japan
Katsube, Tetsushi, Ube, Japan
PATENT ASSIGNEE(S): UBE Industries, LTD., Ube, Japan (non-U.S. corporation)

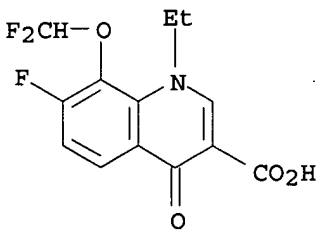
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5688791		19971118
APPLICATION INFO.:	US 1995-526225		19950911 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-341295, filed on 15 Nov 1994, now patented, Pat. No. US 5519016 which is a continuation of Ser. No. US 1993-66985, filed on 25 May 1993, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-158912	19920527

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Grumbling, Matthew V.
LEGAL REPRESENTATIVE: Frishauf, Holtz, Goodman, Langer & Chick, P.C.
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 2374
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are an aryl group- or heterocyclic group-substituted aminoquinolone compound represented by the formula (Ia), (Ib) or (Ic): ##STR1## wherein each of the substitutents are defined in the specification, or a salt of the compound, and an AIDS curing agent containing the same as an effective ingredient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **153468-78-1P**
(prepn. and reaction of, in prepn. of anti-HIV agents)
RN 153468-78-1 USPATFULL
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-7-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



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L1                STRUCTURE UPLOADED
L2              0 S L1SAM
L3             18 S L1 SAM
L4                STRUCTURE UPLOADED
L5             18 S L4 SAM
L6           6453 S L4 FULL

     FILE 'CA' ENTERED AT 13:55:36 ON 29 JAN 2002
L7           1244 S L6
L8            868 S EFFLUX PUMP
L9              0 S L7 AND L8
L10             1 S L7 AND EFFLUX
L11          1243 S L7 NOT L10
L12           207 S PHARM? AND L11
L13           191 S L12 AND PY<2001
L14            35 S L13 AND ANTIBACT?

     FILE 'USPATFULL' ENTERED AT 14:01:16 ON 29 JAN 2002
L15           362 S L6
L16           310 S PHARM? AND L15
L17           152 S ANTIBACT? AND L16

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:09:02 ON 29 JAN 2002
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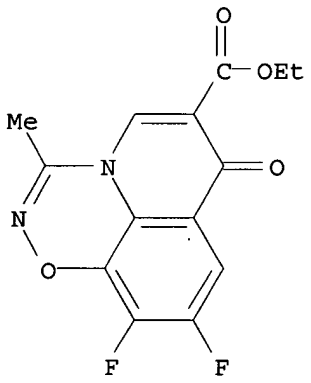
ACCESSION NUMBER: 133:135294 CA
TITLE: New 1,8-peri-annelated tricyclic quinolone **antibacterials**
AUTHOR(S): Miao, H.; Cecchetti, V.; Tabarrini, O.; Fravolini, A.
CORPORATE SOURCE: Istituto di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy
SOURCE: Journal of Heterocyclic Chemistry (**2000**), 37(2), 297-301
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New tricyclic quinolones, resulting from peri-annelation of 1,2,4-oxadiazine moiety at the N-1/C-8 position of the **pharmacophoric** quinolone nucleus, were prepd. None of the synthesized compds. showed interesting **antibacterial** activity in vitro against the tested strains, with the exception of Klebsiella pneumoniae which was susceptible to all the compds. at MIC values of 8 .mu.g/mL.

IT **286455-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)
RN 286455-55-8 CA
CN 7H-Pyrido[1,2,3-de]-1,2,4-benzoxadiazine-6-carboxylic acid, 9,10-difluoro-3-methyl-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **286455-55-8P 286455-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)
IT **286455-54-7P 286455-57-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **antibacterial** oxadiazinoquinolinecarboxylates)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS

ACCESSION NUMBER: 130:52343 CA
TITLE: Preparation of substituted cyclobutylamine derivatives as **antibacterial** agents
INVENTOR(S): Takemura, Makoto; Takahashi, Hisahi; Sugita, Kazuyuki; Miyauchi, Rie
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854169	A1	19981203	WO 1998-JP2359	19980528 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804527	A	19981203	ZA 1998-4527	19980527 <--
AU 9874539	A1	19981230	AU 1998-74539	19980528 <--
AU 732175	B2	20010412		
EP 990654	A1	20000405	EP 1998-921863	19980528 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809702	A	20011211	BR 1998-9702	19980528
NO 9905839	A	20000128	NO 1999-5839	19991129 <--

PRIORITY APPLN. INFO.: JP 1997-141398 A 19970530
WO 1998-JP2359 W 19980528

OTHER SOURCE(S): MARPAT 130:52343
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted cyclobutylamine derivs. with novel structures represented by general formula [I; R1, R2 = H, OH, halo, CONH2, (un)substituted C1-6 alkyl, C1-6 alkoxy or alkylthio (excluding the case where both R1 and R2 are H); R3, R4 = H, (un)substituted C1-6 alkyl; n = 1,2; R5 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, aryl, or heteroaryl, C1-6 alkoxy or alkylamino; R6 = H, C1-6 alkylthio; or R6 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. S, and optionally having C1-6 alkyl substituent; R7 = H, (un)acylated NH2, thiol, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy; X1 = H, halo; A1 = Q; wherein X1 = H, NH2, halo, cyano, halomethyl, halomethoxy, etc.; or X2 and R5 are joined together to form a cyclic structure including the parent ring, optionally contg. O, N, or S, and optionally having C1-6 alkyl substituent: A2, A3 = N, C; or A2 and A3 together with the attached C atoms represent the partial structure Q2 or Q3; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, cholinyl, dimethylaminoethyl, 5-indanyl, etc.] are prepd. These derivs. are useful as **antibacterial** compds. which have

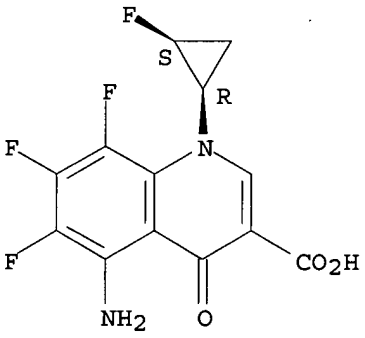
excellent **antibacterial** actions over a wide scope of bacteria including gram-neg. and gram-pos. ones, exert potent **antibacterial** activities particularly on methicillin-resistant (Staphylococcus aureus) (MRSA), penicillin-resistant Streptococcus pneumoniae and quinolone-resistant bacteria and are excellent in the (in vivo) dynamics and safety. Thus, 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-8-methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 3-[3-(tert-butoxycarbonylamino)-1-fluorocyclobutan-3-yl]pyrrolidine (prepn. given) were suspended in DMSO, followed by adding Et3N, and the resulting mixt. was stirred at 110.degree. for 72 h. The solvent was distd. off under reduced pressure and the residue was treated with concd. HCl under ice-cooling to give, after workup and chromatog. purifn., the title compd. (II) in 36.0% yield. II showed min. inhibitory concn. of 0.013 and .ltoreq.0.003 .mu.g/mL against Staphylococcus aureus 870307 and Streptococcus pneumoniae J24, resp. **Pharmaceutical** formulations contg. I were prepd.

IT **127199-34-2**
RL: RCT (Reactant)
(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

RN 127199-34-2 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

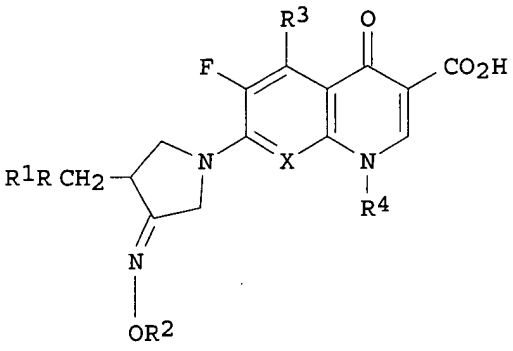
Absolute stereochemistry.



IT **127199-34-2 181814-90-4**
RL: RCT (Reactant)
(prepn. of substituted cyclobutylamine derivs. as **antibacterial** agents)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

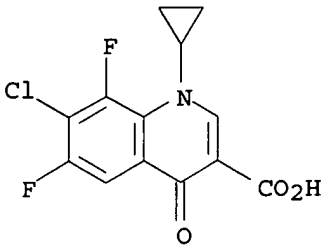
ACCESSION NUMBER: 127:307319 CA
TITLE: Novel Fluoroquinolone **Antibacterial** Agents Containing Oxime-Substituted (Aminomethyl)pyrrolidines: Synthesis and **Antibacterial** Activity of 7-(4-(Aminomethyl)-3-(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl -6-fluoro- 4-oxo-1,4-dihydro[1,8]naphthyridine-3-carboxylic Acid (LB20304)
AUTHOR(S): Hong, Chang Yong; Kim, Young Kwan; Chang, Jay Hyok; Kim, Se Ho; Choi, Hoon; Nam, Do Hyun; Kim, Yong Zu; Kwak, Jin Hwan
CORPORATE SOURCE: Biotech Research Institute, LG Chem Research Park, Tae-Jon, 305-380, S. Korea
SOURCE: J. Med. Chem. (**1997**), 40(22), 3584-3593
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Title compds. I [X = CF, CCl, CH, COMe, N; R, R1 = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2,4-F2C6H3] were prepd. from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity against both Gram-neg. and Gram-pos. organisms, including methicillin-resistant Staphylococcus aureus (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine = F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compd. In addn., the oxime moiety greatly improved the **pharmacokinetic** parameters of the novel quinolones. LB20304 (I, X = N, R, R1, R3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and **pharmacokinetic** profile in animals, as well as good phys. properties.
IT **140412-78-8**
RL: RCT (Reactant)
(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)
RN 140412-78-8 CA
CN 3-Quinolinecarboxylic acid, 7-chloro-1-cyclopropyl-6,8-difluoro-1,4-

dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **140412-78-8 140412-79-9 197143-61-6**
197143-62-7
RL: RCT (Reactant)
(prepn. of **antibacterial** aminomethyl(oximino)pyrrolidinylquinolinones)

ACCESSION NUMBER: 126:144048 CA
TITLE: preparation of diphosphonate derivatives of bactericides and antitumor agents
INVENTOR(S): Hartmann, John F.; Farcasiu, Dan
PATENT ASSIGNEE(S): Elizanor Biopharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 45PP
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640156	A1	19961219	WO 1996-US9271	19960606 <--
W: AU, BR, CA, CZ, HU, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5854227	A	19981229	US 1995-473787	19950607 <--
AU 9660949	A1	19961230	AU 1996-60949	19960606 <--
EP 831845	A1	19980401	EP 1996-918247	19960606 <--
R: DE, ES, FR, GB, IT, SE				

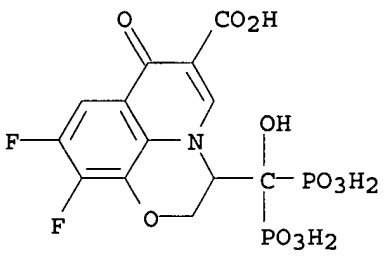
PRIORITY APPLN. INFO.: US 1995-473787 19950607
US 1994-206113 19940304
WO 1996-US9271 19960606

OTHER SOURCE(S): MARPAT 126:144048

AB Novel chemotherapeutic agents A-(V)m-(R)n-C(PO3H2)2OH (I) [A = a residue of a **pharmaceutically** active chem. entity such as substituted pyrido[1,2,3-de]1,4-benzoxazine, 1,6-naphthyridine, tetracene-5,12-dione, penam or cephem; V = O, S, NR1, CONR1, CO2, O2C, OCO2, COS, SCO, SCOS, NR1CO, O2CNR1, NR1CO2, NR1CONR2, CONR1NR2, NR1NR2CO, NR1C(=NH)NR2, NR1C(=NH)NHC(=NH)NR2 wherein R, R1, R2 = H, (un)substituted org. or (un)substituted heteroorg. group; m = n =1 or m or n = o] having utility in treating infectious diseases such as periodontal disease, certain urinary tract infections, infectious urinary tract stones, and bone cancer, are obtained by combining chem. a diphosphonate compd. with a therapeutic agent effective against the foregoing diseases. **Pharmaceutical** compns. contg. I and the **pharmaceutically** active entities pyrido[1,2,3-de]1,4-benzoxazine and 1,6-naphthyridine are described.

IT **186520-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

RN 186520-58-1 CA
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9,10-difluoro-2,3-dihydro-3-(hydroxydiphosphonomethyl)-7-oxo- (9CI) (CA INDEX NAME)



IT **186520-58-1P 186520-59-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

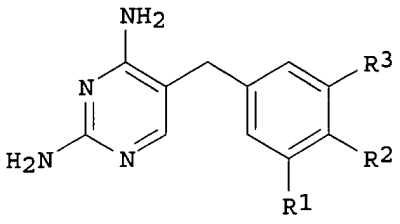
IT **186520-17-2P 186520-21-8P 186520-23-0P**
186520-26-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diphosphonate derivs. of bactericides and antitumor agents)

ACCESSION NUMBER: 125:142773 CA
TITLE: Novel benzyl pyrimidines with **antibacterial** activity.
INVENTOR(S): Guerry, Philippe; Jolidon, Synese; Masciadri, Raffaello; Stalder, Henri; Then, Rudolf
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

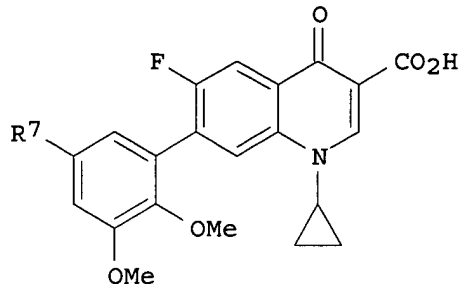
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9616046	A2	19960530	WO 1995-EP4451	19951113	<--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9641161	A1	19960617	AU 1996-41161	19951113	<--
AU 704911	B2	19990506			
EP 793656	A1	19970910	EP 1995-939267	19951113	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1166831	A	19971203	CN 1995-196398	19951113	<--
HU 77372	A2	19980330	HU 1997-1973	19951113	<--
BR 9509768	A	19980707	BR 1995-9768	19951113	<--
JP 11507009	T2	19990622	JP 1996-516521	19951113	<--
US 5763450	A	19980609	US 1997-836857	19970521	<--
FI 9702194	A	19970522	FI 1997-2194	19970522	<--
NO 9702393	A	19970529	NO 1997-2393	19970526	<--

PRIORITY APPLN. INFO.: CH 1994-3536 A 19941124
CH 1995-2704 A 19950925
WO 1995-EP4451 W 19951113

OTHER SOURCE(S): MARPAT 125:142773
GI



I



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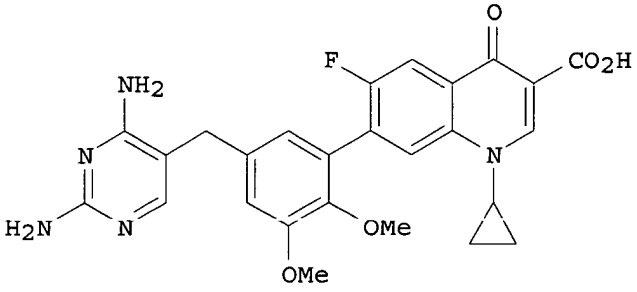


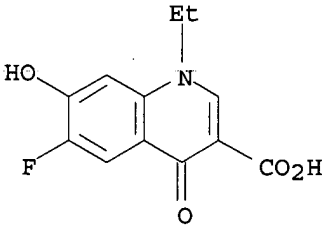
III

AB Substituted 5-benzyl-2,4-diaminopyrimidines of formula I [R1 = alkoxy; R2 = Br or alkoxy; R3 = aryl, heteroaryl, QR4; Q = CH2CH2, CH:CH, C.tplbond.C; R4 = aryl, heteroaryl, alkoxycarbonyl, or carbamoyl], and their readily hydrolyzable esters and **pharmaceutically** acceptable salts, can be used in the control or prevention of infectious diseases. Prepns. of approx. 250 example compds. and many intermediates are described, plus bioassay results for selected compds. against 3 organisms. For example, quinoline deriv. II [R7 = CHO] was condensed with PhNHCH2CH2CN in DMSO in the presence of KOBu-tert to give 98% II [R7 = PhNHCH:C(CN)CH2]. This was then cyclocondensed with guanidine-HCl in EtOH in the presence of KOBu-tert to give 44% title compd. III, which was isolated as the trifluoroacetate (IV). IV inhibited purified dihydrofolate reductase (DHFR) of Staphylococcus aureus ATCC 25923 and S. aureus 157/4696 with IC50 values of 0.0009 and 0.0500 .mu.M, resp., vs. 0.0340 .mu.M for trimethoprim. IV also had IC50 of 0.0190 .mu.M against DHFR of Pneumocystis carinii, vs. 43.0 .mu.M for trimethoprim.

IT **126093-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of novel benzylpyrimidines as **antibacterials**)

RN 126093-18-3 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



IT **126093-18-3P 179942-67-7P 179942-68-8P**
179942-69-9P 179942-71-3P 179942-72-4P
179942-73-5P 179942-74-6P 179942-80-4P
179942-81-5P 179942-82-6P 179942-83-7P
179942-84-8P 179942-85-9P 179942-86-0P
179942-87-1P 179942-88-2P 179942-89-3P
179942-90-6P 179942-91-7P 179942-93-9P
179942-94-0P 179942-95-1P 179942-96-2P
179942-97-3P 179942-98-4P 179942-99-5P
179943-01-2P 179943-04-5P 179943-05-6P
179943-16-9P 179943-17-0P 179943-20-5P
179943-31-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of novel benzylpyrimidines as **antibacterials**)

IT **131993-96-9 148122-56-9 179943-55-6**
179943-57-8, Ethyl 7-bromo-4-hydroxyquinoline-3-carboxylate
RL: RCT (Reactant)
(starting material; prepn. of novel benzylpyrimidines as **antibacterials**)

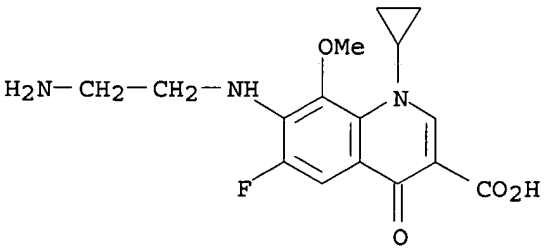
ACCESSION NUMBER: 124:75457 CA
TITLE: Single- and multiple-dose **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, in humans
AUTHOR(S): Nakashima, Mitsuyoshi; Uematsu, Toshihiko; Kosuge, Kazuhiro; Kusajima, Hisao; Ooie, Tsuyoshi; Masuda, Yuichi; Ishida, Ryozo; Uchida, Hiroshi
CORPORATE SOURCE: Dep. Pharmacol., Hamamatsu Univ. Sch. Med., Hamamatsu, 431-31, Japan
SOURCE: Antimicrob. Agents Chemother. (**1995**), 39(12), 2635-40
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English

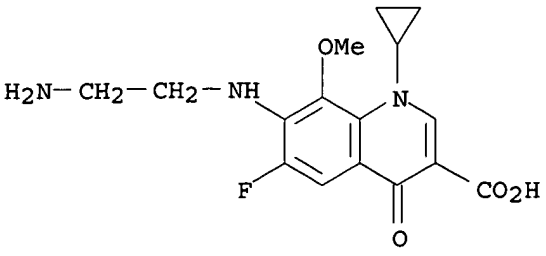
AB The **pharmacokinetics** of AM-1155, a new 6-fluoro-8-methoxy quinolone, was examd. in healthy male volunteers after the oral administration of a single dose of 100, 200, 400, or 600 mg and multiple doses of 300 mg twice daily for 6.5 days (13 total doses). Throughout the whole study period, AM-1155 was well tolerated in every subject. In the single-dose study, the concns. in serum reached a peak between 1 and 2 h, and the peak concns. were 0.873, 1.71, 3.35, and 5.41 .mu.g/mL at the doses of 100, 200, 400, and 600 mg, resp. The elimination half-life was 7 to 8 h, independently of the doses. The unchanged drug was excreted mainly in the urine, with 82 to 88% of the doses appearing for 72 h. The fecal recovery of the unchanged drug amounted to 5.7% for 72 h after a single oral administration of a 400-mg dose. Urinary excretion of metabolites was minimal. The serum protein binding was 20%, independently of the concns. in serum. The concns. in saliva were approx. 80% of those in serum. The intake of food had no effect on the **pharmacokinetic** parameters and urinary excretion of AM-1155 except the slight decrease in area under the concn.-time curve. The concurrent administration of probenecid prolonged the elimination half-life, increased the area under the concn.-time curve, and decreased the apparent total body clearance, renal clearance, urinary recovery of unchanged drug, and the excretion ratio (intrinsic renal clearance of AM-1155/creatinine clearance). This indicated that the tubular secretion contributed to the renal excretion of AM-1155. In the multiple-dose study, the concns. of AM-1155 in serum and urine reached a steady state within 2 to 3 days. The measured concns. in serum fitted well the simulation curve, which reflected the persistence of linear **pharmacokinetics** of AM-1155. In conclusion, AM-1155 is expected to be clin. useful because of its potent **antibacterial** activity and favorable **pharmacokinetics**.

IT **172426-86-7**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(single- and multiple-dose **pharmacokinetics** of 6-fluoro-8-methoxy quinolone AM-1155 in humans)

RN 172426-86-7 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminoethyl)amino]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)





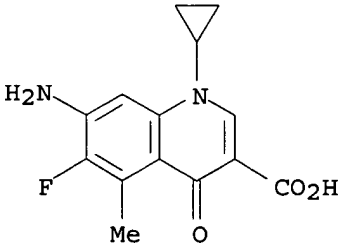
IT **172426-86-7 172426-87-8 172426-88-9**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(single- and multiple-dose **pharmacokinetics** of
6-fluoro-8-methoxy quinolone AM-1155 in humans)

ACCESSION NUMBER: 123:187608 CA
TITLE: **Pharmacokinetics** of grepafloxacin. IV. Metabolism after oral administration of grepafloxacin in rats, monkeys, and humans
AUTHOR(S): Akiyama, Hitoshi; Koike, Masami; Kyuushiki, Kazuyo; Suzuki, Takashi; Kusumoto, Naotoshi; Morita, Seiji; Odomi, Masaaki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SOURCE: Nippon Kagaku Ryoho Gakkai Zasshi (**1995**), 43(Suppl. 1), 131-49
CODEN: NKRZE5
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The metab. after oral administration of grepafloxacin (GPFX) or [14C]GPFX was investigated in rats, monkeys, and humans. The metabolites of GPFX identified using human urine and rat urine and bile were 2 GPFX glucuronic acid conjugates (3-glucuronide and 4'-glucuronide), one GPFX sulfate conjugate (4'-sulfate), 4 metabolites with a metabolized 3-methylpiperazinyl ring (DM-1704, DM-1705, DM-1706, and DM-1725) and 2 5-hydroxymethyl-type metabolites (DM-1722 and DM-1723). The main metabolite of GPFX in human plasma was DM-1705. The metabolites excreted in urine during the period of 0-72 h after dosing were 3-glucuronide (4.0% of the administered dose), 4'-glucuronide (3.5%), DM-1705 (3.0%), DM-1704 (1.3%), 4'-sulfate (1.0%) and DM-1706 (0.2%). The metabolites excreted in feces during the period of 0-72 h after dosing were DM-1705 (2.6%), DM-1704 (2.1%), DM-1725 (1.9%), DM-1706 (1.8%), and the 4'-sulfate (1.3%). The main metabolite of GPFX in plasma in both male and female rats was the 3-glucuronide. The main metabolite in urine was DM-1723 in male rats and the 3-glucuronide in female rats. The main metabolite in feces in both sexes was the 4'-sulfate. The main metabolite in bile in male rats was the 3-glucuronide. GPFX in male rat lungs accounted for more than 90.5% of the total radioactivity in the lungs. The main metabolites in monkey plasma, urine, and feces were DM-1704, DM-1704, and the 4'-sulfate, resp.

IT **149602-49-3**, DM 1706
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

RN 149602-49-3 CA
CN 3-Quinolinecarboxylic acid, 7-amino-1-cyclopropyl-6-fluoro-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)

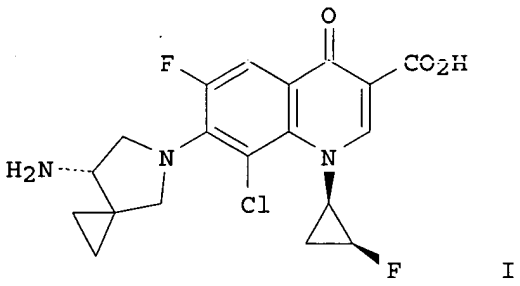


IT **149602-49-3**, DM 1706 **167971-92-8**, DM 1705
167971-93-9, DM 1704 **167971-94-0**, DM 1725
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

IT **149602-60-8**, DM 1722
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolite; metab. of **antibacterial** grepafloxacin in lab. animals and humans and its sex-related difference)

ACCESSION NUMBER: 123:169481 CA
TITLE: (Fluorocyclopropyl)quinolones. 2. Synthesis and Stereochemical Structure-Activity Relationships of Chiral 7-(7-Amino-5-azaspiro[2.4]heptan-5-yl)-1-(2-fluorocyclopropyl)quinolone **Antibacterial** Agents
AUTHOR(S): Kimura, Youichi; Atarashi, Shohgo; Kawakami, Katsuhiro; Sato, Kenichi; Hayakawa, Isao
CORPORATE SOURCE: Exploratory Research Laboratories I, Daiichi Pharmaceutical Co. Ltd., Tokyo, 134, Japan
SOURCE: J. Med. Chem. (**1994**), 37(20), 3344-52
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



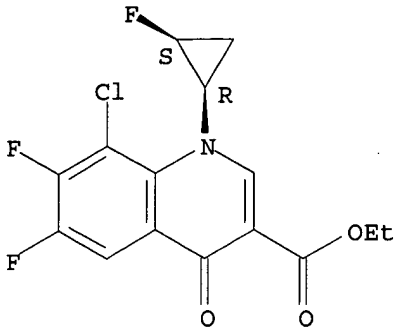
I

AB A series of novel chiral7-(7-amino-5-azaspiro[2.4]heptan-5-yl)-8-chloro-1-(2-fluorocyclopropyl)quinolones were synthesized as a continuation of a research project of 1-(2-fluorocyclopropyl)quinolones by considering stereochem. and physicochem. properties of the mol. Abs. configurations of the 1-(cis-2-fluorocyclopropyl) moiety and the 7-(7-amino-5-azaspiro[2.4]heptan-5-yl) moiety were detd. by x-ray crystallog. anal. Stereochem. structure-activity relationship studies indicated that 1-[(1R,2S)-2-fluorocyclopropyl] and 7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl] derivs. are more potent against Gram-pos. and Gram-neg. bacteria than the other stereoisomers and 7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-8-chloro-1-[(1R,2S)-2-fluorocyclopropyl]quinolone (I) is the most potent of all stereoisomers. **Pharmacokinetic** profiles and physicochem. properties of the selected compds. were also examd., and it was found that I (DU-6859a) possesses moderate lipophilicity and good **pharmacokinetic** profiles.

IT **127199-25-1P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

RN 127199-25-1 CA
CN 3-Quinolinecarboxylic acid, 8-chloro-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, ethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



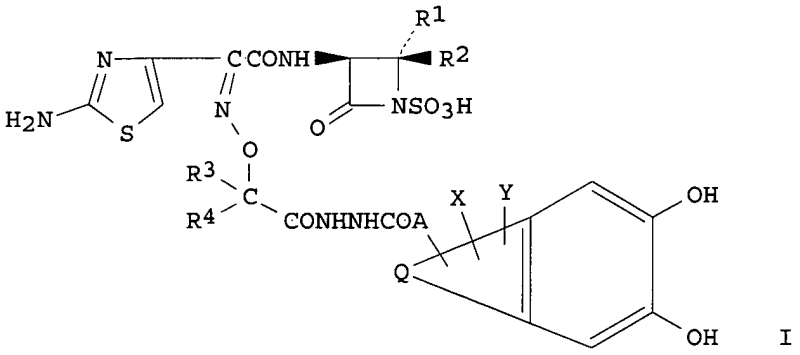
IT **127199-25-1P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

IT **127199-24-0P 127199-26-2P 127199-27-3P 167073-12-3P 167073-13-4P 167073-14-5P 167073-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and stereochem. structure-activity relationships of chiral (aminoazaspiroheptanyl)(fluorocyclopropyl)quinolone **antibacterial** agents)

ACCESSION NUMBER: 122:55819 CA
TITLE: Heterocyclic hydrazide derivatives of monocyclic .beta.-lactam antibiotics
INVENTOR(S): Ermann, Peter H.; Straub, Henner
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc., USA
SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5318963	A	19940607	US 1990-620170	19901130 <--
CA 2024282	AA	19910322	CA 1990-2024282	19900830 <--
JP 03120276	A2	19910522	JP 1990-254057	19900921 <--

PRIORITY APPLN. INFO.: US 1989-410217 19890921
OTHER SOURCE(S): MARPAT 122:55819
GI

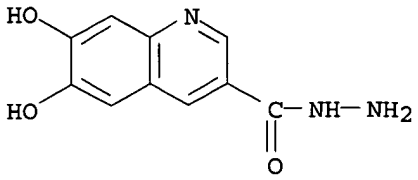


I

AB **Antibacterial** (no data) compds. (I) and **pharmaceutically** acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered satd. or unsatd. (including arom.) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NR5 .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carboxyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic .beta.-lactam antibiotics)

RN 135214-98-1 CA
CN 3-Quinolinecarboxylic acid, 6,7-dihydroxy-, hydrazide (9CI) (CA INDEX NAME)



IT **135214-98-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide **135215-09-7P**, 1,4-Dihydro-1,6,7-trihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide **135215-15-5P**, 3-(Hydrazinocarbonyl)-6,7-dihydroxy-4-oxo-1(4H)-quinolineacetic acid **135215-18-8P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-2,3-quinolinedicarboxylic acid **136135-38-1P**, 6,7-Dihydroxy-3-quinolinecarboxylic acid, hydrazide, monohydrobromide **136135-41-6P**, 1,4-Dihydro-6,7-dihydroxy-4-oxo-3-quinolinecarboxylic acid, hydrazide, monohydrobromide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic .beta.-lactam antibiotics)

IT **136135-25-6 136135-29-0 136135-30-3 159911-05-4 159911-08-7 159911-09-8 159989-67-0**
RL: RCT (Reactant)
(prepn. as heterocyclic hydrazide deriv. of monocyclic .beta.-lactam antibiotics)

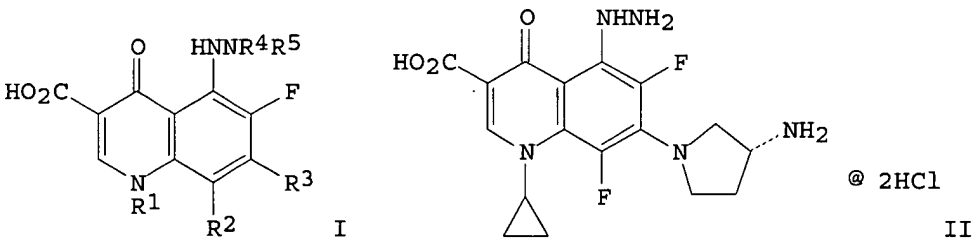
ACCESSION NUMBER: 121:108551 CA
TITLE: Preparation of antimicrobial 5-hydrazinoquinolone derivatives
INVENTOR(S): Demuth, Thomas Prosser, Jr.; White, Ronald Eugene
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9410163	A1	19940511	WO 1993-US10091	19931022	<--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
IL 107323	A1	20000601	IL 1993-107323	19931019	<--
CA 2148003	AA	19940511	CA 1993-2148003	19931022	<--
AU 9454097	A1	19940524	AU 1994-54097	19931022	<--
AU 687018	B2	19980219			
EP 666853	A1	19950816	EP 1993-924393	19931022	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 08502750	T2	19960326	JP 1993-511167	19931022	<--
HU 72072	A2	19960328	HU 1995-1237	19931022	<--
CZ 282581	B6	19970813	CZ 1995-1097	19931022	<--
RU 2126000	C1	19990210	RU 1995-110762	19931022	<--
BR 9307347	A	19990525	BR 1993-7347	19931022	<--
PL 178094	B1	20000229	PL 1993-308671	19931022	<--
ZA 9308089	A	19940607	ZA 1993-8089	19931029	<--
CN 1092773	A	19940928	CN 1993-120224	19931030	<--
CN 1064357	B	20010411			
FI 9502049	A	19950428	FI 1995-2049	19950428	<--
NO 9501640	A	19950630	NO 1995-1640	19950428	<--

PRIORITY APPLN. INFO.: US 1992-968960 A 19921030
WO 1993-US10091 W 19931022

OTHER SOURCE(S): MARPAT 121:108551

GI



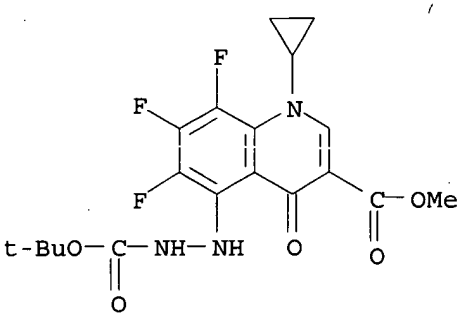
AB Title compds. I (R1 = alkyl, alkenyl, carbocyclyl, heterocyclyl, R7R6N wherein R6, R7 = H, alkyl, alkenyl, carbocyclyl, heterocyclyl, R6R7N = heterocyclyl; R2 = H, halo, alkyl, alkoxy, R1R2 = 6-membered heterocyclyl; R3 = carbocyclyl, heterocyclyl; R4, R5 = H, alkyl, cycloalkyl, heteroalkyl, COXR8 wherein X = a covalent bond, N, O, S, R8 = alkyl,

alkenyl, arylalkyl, carbocyclyl, heterocyclyl, R4R5N = heterocyclyl), salts, biohydrolyzable esters and solvates thereof, useful as antimicrobials (no data), are prepd. Me propiolate, THF and cyclopropylamine in THF were reacted to give Me 3-(cyclopropylamino)-2-propenoate which in 6 steps was converted to II. **Pharmaceutical** formulations comprising I are given.

IT **156750-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of **antibacterials**)

RN 156750-64-0 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-6,7,8-trifluoro-1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT **156750-64-0P 156750-65-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of **antibacterials**)

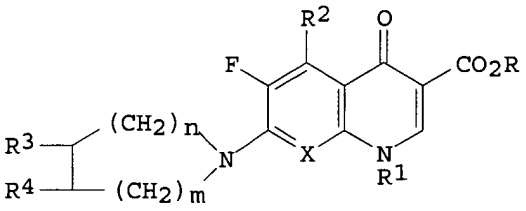
ACCESSION NUMBER: 121:57512 CA
TITLE: Preparation of 7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid compounds and related compounds as **antibacterial** agents
INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh, Inder Pal; Thomas, George; Doerksen, Thomas Roger; Singh, Maya Prakash; Micetich, Ronald George
PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5342846	A	19940830	US 1992-913505	19920714 <--
AU 9343029	A1	19931230	AU 1993-43029	19930531 <--
JP 08501063	T2	19960206	JP 1993-500050	19930531 <--

PRIORITY APPLN. INFO.:
US 1992-891262 A 19920601
US 1992-913505 A 19920714
US 1990-621716 B2 19901205
WO 1993-CA231 A 19930531

OTHER SOURCE(S): MARPAT 121:57512

GI



I

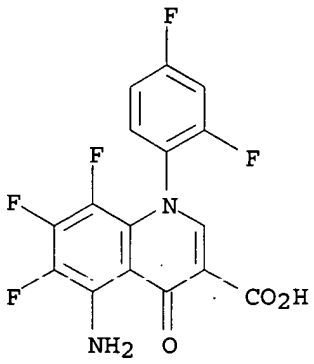
AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl , each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a **pharmaceutical** salt, are prepd. Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyrdine-3-carboxylate (prepn. given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (prepn. given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for **antibacterial** activity showed a min. inhibitory concn. of 0.008, 0.03, 0.25, 0.25, 2 .mu.g/mL against Staphylococcus aureus, Escherichia

coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

IT **127452-19-1**
RL: RCT (Reactant)
(reaction of, in prepn. of **antibacterials**)

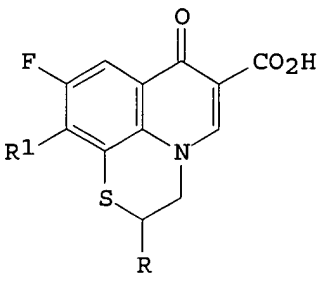
RN 127452-19-1 CA

CN 3-Quinolinecarboxylic acid, 5-amino-1-(2,4-difluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT **127452-19-1**
RL: RCT (Reactant)
(reaction of, in prepn. of **antibacterials**)

ACCESSION NUMBER: 120:8541 CA
TITLE: Quinolinecarboxylic acids. 3. Synthesis and **antibacterial** evaluation of 2-substituted 7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzothiazine-6-carboxylic acids related to rufloxacin
AUTHOR(S): Cecchetti, Violetta; Fravolini, Arnaldo; Pagella, Pier Giuseppe; Savino, Angela; Tabarrini, Oriana
CORPORATE SOURCE: Ist. Chim. Farm. Tech. Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: J. Med. Chem. (**1993**), 36(22), 3449-54
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



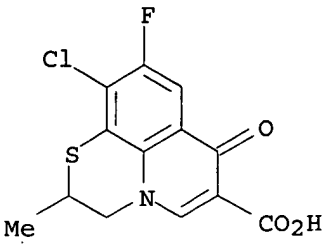
I

AB A series of title acids I (R = Me, 2-FC6H4, 3-FC6H4, 4-FC6H4; R1 = Cl, substituted piperazino, pyrrolidino, imidazol-1-yl, homopiperazin-1-yl) has been prepd. and evaluated for in vitro **antibacterial** activity. These derivs. were less active than corresponding desmethylated analogs I (R = H). Among these derivs., the most active compd. (I; R = Me, R1 = 4-methylpiperazin-1-yl) (II) was selected for preliminary **pharmacokinetics** in rats. The **pharmacokinetic** data indicated that II was rapidly absorbed and induced lasting plasma and urinary levels. In comparison with rufloxacin, II was excreted in low quantity in urine; a significant amt. of desmethylated piperazinyl urinary metabolite was obsd.

IT **151295-39-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 151295-39-5 CA

CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 10-chloro-8-fluoro-2,3-dihydro-2-methyl-7-oxo- (9CI) (CA INDEX NAME)



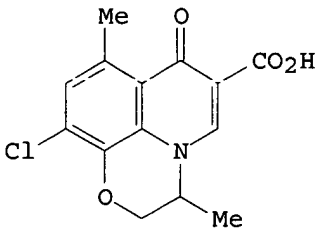
IT **151295-39-5P 151295-40-8P 151295-41-9P**
151295-42-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

IT **151295-24-8P 151295-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)

IT **151295-26-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substitution of, with cyclic amines)

IT **151295-22-6P 151295-23-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., sapon., or S-oxidn. of)

ACCESSION NUMBER: 115:105485 CA
TITLE: The synthesis and **pharmacological** profile of the stereoisomers of a tricyclic quinolone **antibacterial**
AUTHOR(S): Gerster, John F.; Rohlfing, Steve R.; Rustad, Nancy J.; Reiter, Michael J.; Pecore, Sharon E.; Winandy, Richard M.; Landmesser, June E.
CORPORATE SOURCE: 3M Cent., Riker Lab., Inc., St. Paul, MN, 55144, USA
SOURCE: Quinolones (**1989**), 85-98. Editor(s): Fernandes, Prabhavathi B. Prous: Barcelona, Spain. CODEN: 57BAAH
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

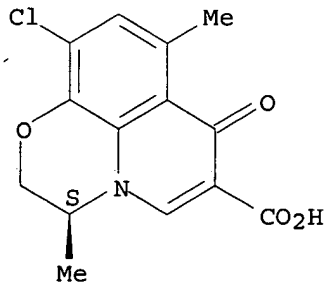


I

AB The tricyclic quinolone, racemic I, exhibits both in vitro **antibacterial** activity and CNS stimulation in mice similar to that seen with amfonelic acid and (+)-amphetamine. The R and S isomers of I were synthesized and their **antibacterial** and **pharmacol**. profiles compared. The **antibacterial** activity and CNS properties of the isomers paralleled one another. Therefore, while other structural modifications of tricyclic systems can definitely sep. these activities, CNS stimulation cannot be eliminated by sepn. of the R and S stereoisomers.

IT **135662-28-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **antibacterial** and CNS stimulant activities of)
RN 135662-28-1 CA
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-chloro-2,3-dihydro-3,8-dimethyl-7-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **135662-28-1P 135662-29-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **antibacterial** and CNS stimulant activities of)

ACCESSION NUMBER: 114:247159 CA
TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity
INVENTOR(S): Bitha, Panayota; Lin, Yang I
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4992449	A	19910212	US 1990-473498	19900201	<--
EP 439688	A1	19910807	EP 1990-120658	19901029	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE					
CA 2028869	AA	19910802	CA 1990-2028869	19901030	<--
JP 03209368	A2	19910912	JP 1990-306369	19901114	<--

PRIORITY APPLN. INFO.: US 1990-473498 19900102
OTHER SOURCE(S): CASREACT 114:247159; MARPAT 114:247159
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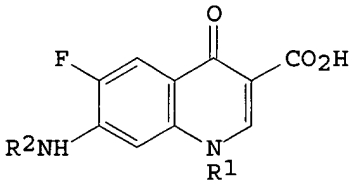


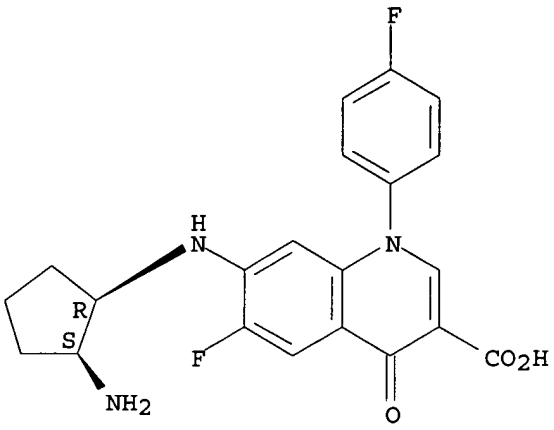
I

AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH2CH2F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol**. acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of Escherichia coli, Klebsiella pneumoniae, Staphylococcus aureus, and other bacteria.

IT **133899-19-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 133899-19-1 CA
CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



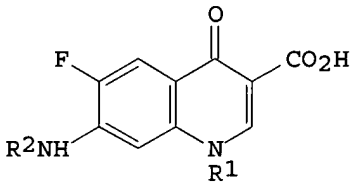
IT **133899-19-1P 133899-20-4P 133899-21-5P**
133899-22-6P 133899-23-7P 133899-24-8P
133963-64-1P 133963-65-2P 134001-32-4P
134001-33-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

IT **133899-25-9P 133899-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

ACCESSION NUMBER: 114:247159 CA
TITLE: 7-(Cycloalkylamino)-6-fluoro-4-oxo-3-quinolinecarboxylic acids: their preparation and bactericidal activity
INVENTOR(S): Bitha, Panayota; Lin, Yang I
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4992449	A	19910212	US 1990-473498	19900201	<--
EP 439688	A1	19910807	EP 1990-120658	19901029	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE					
CA 2028869	AA	19910802	CA 1990-2028869	19901030	<--
JP 03209368	A2	19910912	JP 1990-306369	19901114	<--

PRIORITY APPLN. INFO.: US 1990-473498 19900102
OTHER SOURCE(S): CASREACT 114:247159; MARPAT 114:247159
GI

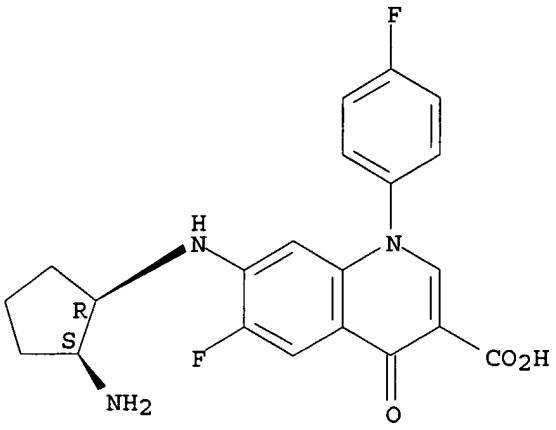


I

AB Title compds. I (R1 = alkyl, cycloalkyl, alkoxy, alkylamino, vinyl, Ph, benzyl, CH2CH2F, etc; R2 = hydroxycycloalkyl, aminocycloalkyl, alkylcycloalkyl) and their **pharmacol**. acceptable salts are claimed. I are **antibacterial** agents. A mixt. of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (1.33 g) and cis-1,2-diaminocyclohexane (1.73 g) in 10 mL pyridine was heated 1 h to give 1.09 g cis-I (R1 = cyclopropyl, R2 = 2-aminocyclohexyl) (II). II showed activity against strains of Escherichia coli, Klebsiella pneumoniae, Staphylococcus aureus, and other bacteria.

IT **133899-19-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)
RN 133899-19-1 CA
CN 3-Quinolinecarboxylic acid, 7-[(2-aminocyclopentyl)amino]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **133899-19-1P 133899-20-4P 133899-21-5P**
133899-22-6P 133899-23-7P 133899-24-8P
133963-64-1P 133963-65-2P 134001-32-4P
134001-33-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

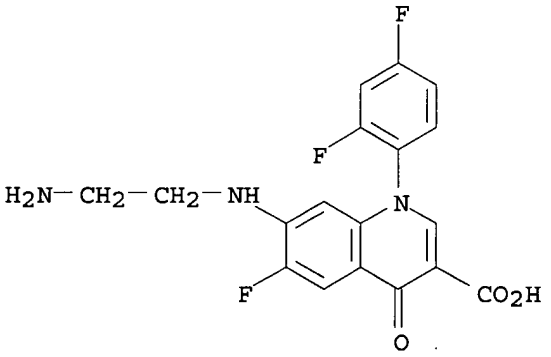
IT **133899-25-9P 133899-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

ACCESSION NUMBER: 114:199008 CA
TITLE: **Pharmacokinetics** of temafloxacin in humans after single oral doses
AUTHOR(S): Granneman, G. Richard; Carpenter, Pierre; Morrison, Paul J.; Pernet, Andre G.
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064-3500, USA
SOURCE: Antimicrob. Agents Chemother. (**1991**), 35(3), 436-41
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Temafloxacin (A-63,004) is a new quinolone **antibacterial** agent with a broad spectrum of activity against gram-pos. and gram-neg. aerobes and anaerobes. The **pharmacokinetics** and metab. of temafloxacin were detd. in healthy volunteers after administration of single oral doses of 100, 200, 400, 600, 800, and 1000 mg. The corresponding peak concns. in plasma were 0.98, 1.61, 2.43, 3.87, 4.54, and 6.67 .mu.g/mL. The times that elapsed to attain peak levels ranged from 1.25 to 3.5 h. Statistical analyses of parameters related to the extent of absorption and the linearity of the dispositional **pharmacokinetics** detected no dose-related trends. Study-wide, total clearance (223 mL/min) and renal clearance (125 mL/min) showed low intersubject variability, with coeffs. of variation near 20%. The terminal-phase rate const. of 0.090 h-1 corresponds to a half-life of 7.7 h. Temafloxacin was excreted mainly in the urine, with 57% of the dose appearing in the urine unchanged. Conjugated temafloxacin, oxidative metabolites, and conjugates thereof were minor components in urine, collectively accounting for 5 to 8% of the dose. Since i.v. dosed dogs eliminated 50% of the dose by nonrenal processes, urinary recoveries approaching two-thirds of the dose in humans were consistent with high, if not quant., absorption. Reported adverse events were generally mild, were randomly distributed between temafloxacin- and placebo-treated subjects, and were not dose related.

IT **131183-29-4**
RL: BIOL (Biological study)
(as temafloxacin metabolite in humans)

RN 131183-29-4 CA

CN 3-Quinolinecarboxylic acid, 7-[(2-aminoethyl)amino]-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



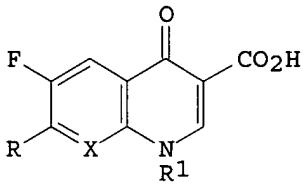
IT **131183-29-4 131183-30-7 133514-78-0**
RL: BIOL (Biological study)
(as temafloxacin metabolite in humans)

CCESSION NUMBER: 114:42604 CA
TITLE: Fluoronaphthyridines and -quinolones as **antibacterial** agents. 3. Synthesis and structure-activity relationships of new 1-(1,1-dimethyl-2-fluoroethyl), 1-[1-methyl-1-(fluoromethyl)-2-fluoroethyl], and 1-[1,1-(difluoromethyl)-2-fluoroethyl] substituted derivatives

AUTHOR(S): Remuzon, P.; Bouzard, D.; Di Cesare, P.; Essiz, M.; Jacquet, J. P.; Kiechel, J. R.; Ledoussal, B.; Kessler, R. E.; Fung-Tomc, J.
CORPORATE SOURCE: Cent. Rech. Bristol-Myers Squibb, Marne-la-Vallee, 77422, Fr.

SOURCE: J. Med. Chem. (**1991**), 34(1), 29-37
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:42604

GI



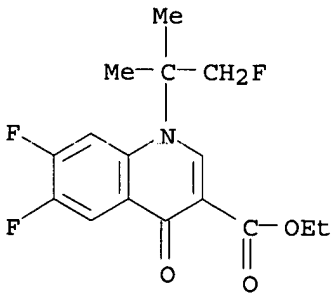
I

AB The title quinolones and naphthyridines I (R = (un)substituted cyclic amino; R1 = CMe2CH2F, C(CH2F)2Me, C(CH2F)3; X = CH, N) were prepd. as **antibacterial** agents, and compared to the nonfluorinated tert-Bu analogs. The CMe2CH2F group was shown to enhance the in vitro **antibacterial** activity in the quinoline series compared to the CMe3 group, whereas, it decreased it in the naphthyridine series. The best in vitro **antibacterial** activity was found for I [R = (3S)-aminopyrrolidino, R1 = CMe2CH2F, X = CH].

IT **130435-38-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with piperazine)

RN 130435-38-0 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluoro-1,1-dimethylethyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

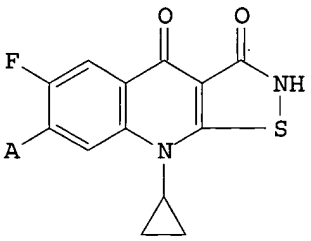


IT **130435-38-0P 130435-39-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, with piperazine)
IT **130436-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L14 ANSWER 28 OF 35 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:6495 CA
TITLE: Preparation of **antibacterial** isothiazoloquinoline derivatives
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa, Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko; Suzuki, Tomio
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02178290	A2	19900711	JP 1988-328988	19881228 <--

OTHER SOURCE(S): MARPAT 114:6495
GI

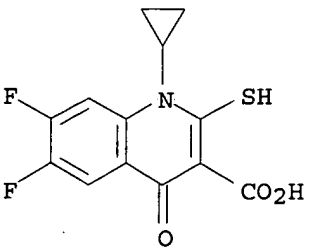


I

AB The title derivs. I [A = R4R5NCR2R3(CH2)nCHR1O; R1-R5 = H, lower alkyl; R1R2, R2R4 = C3-5 alkylene; R1R4 = C2-4 alkylene; n = 0-2] or their **pharmaceutically** acceptable acid salts are prepd. as agents having broad-spectrum **antibacterial** activity (no data). Thus, a suspension of 0.50 g I (A = F) (prepn. given) in DMF was treated dropwise with a soln. contg. 0.45 g H2NCMe2CH2OH and NaH in DMF at 0.degree. and stirred 1 h at room temp. to give 0.10 g I (A = H2NCMe2CH2O).

IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)

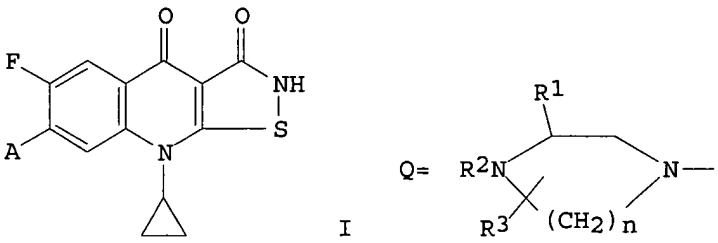


IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

ACCESSION NUMBER: 113:231366 CA
TITLE: Preparation of **antibacterial** isothiazoloquinoline derivatives
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchu, Eiichi; Ogawa, Nobuo; Yagi, Noriyuki; Yoshida, Toshihiko
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02174784	A2	19900706	JP 1988-327761	19881227 <--

OTHER SOURCE(S): MARPAT 113:231366
GI

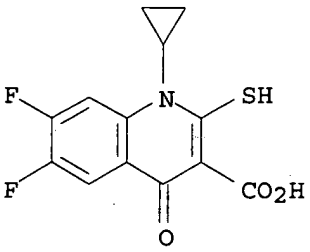


I

AB The title derivs. I [A = Q; R1 = lower (hydroxy)alkyl; R2, R3 = H, lower alkyl; if R1 = Me then R2 = R3 .noteq. H; n = 2, 3] or their **pharmaceutically** acceptable acid salts are prepd. as broad-spectrum **antibacterials** (no data). Thus, a suspension of I (A = F) (prepn. given), 2-hydroxymethylpiperazine (II), and DBU in pyridine was refluxed 3 h, mixed with addnl. II, and then refluxed 1 h to give I (A = 3-hydroxymethyl-1-piperazinyl).

IT **130629-93-5**
RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

RN 130629-93-5 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-2-mercapto-4-oxo- (9CI) (CA INDEX NAME)



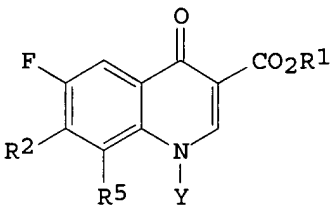
IT **130629-93-5**

RL: RCT (Reactant)
(cyclocondensation of, with hydroxylamine sulfonate)

ACCESSION NUMBER: 113:132023 CA
TITLE: **Antibacterial** 6-fluoro-1,4-dihydroquinol-4-one-3-carboxylates and intermediates and a process for their preparation
INVENTOR(S): McGuirk, Paul Robert
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 348088	A1	19891227	EP 1989-305969	19890613	<--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
US 5039682	A	19910813	US 1988-209660	19880621	<--
CA 1334756	A1	19950314	CA 1989-603221	19890619	<--
DK 8903036	A	19891222	DK 1989-3036	19890620	<--
FI 8903030	A	19891222	FI 1989-3030	19890620	<--
FI 93008	B	19941031			
FI 93008	C	19950210			
JP 02045469	A2	19900215	JP 1989-158147	19890620	<--
JP 07121914	B4	19951225			
US 5104868	A	19920414	US 1990-575117	19900829	<--
US 5103040	A	19920407	US 1991-706903	19910529	<--
US 5233091	A	19930803	US 1991-707358	19910529	<--

PRIORITY APPLN. INFO.: US 1988-209660 19880621
OTHER SOURCE(S): MARPAT 113:132023
GI



I

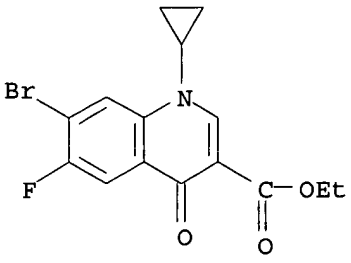
AB **Antibacterial** (no data) title compds. [I; R1 = H, C1-7 alkyl, CH2Ph, **pharmaceutically** acceptable cation; R2 = vinyl, vinyl substituted by W, MeC.tplbond.C, WCH2C.tplbond.C, cyclopropyl (optionally 2-substituted by W); W = R3(CH2)m; m = 1, 2; R3 = OH, NH2, C1-3 alkylamino, alkylsulfonyl, or alkylsulfamoyl, SO2NH2; R5 = H, F, Cl, OMe; Y = C1-3 (halo)alkyl, cyclopropyl, vinyl, p-FC6H4, o,p-F2C6H3; or R5Y = X(CH2)nCHR4; X = CH2, O; n = 0-2; R4 = H, C1-3 (halo)alkyl, CH2OH, hydroxyethyl, aminomethyl, Ph, methylene] were prepd., with some I (Y = cyclopropyl) being prepd. via a novel method and intermediates. Thus, a cuprate prepd. from 3,4-BrFC6H3NH2, BuLi, and CuCN was added to cyclopropyllithium to give N-cyclopropyl-3-bromo-4-fluoroaniline, which underwent condensation with EtOCH:C(CO2Et)2 and cyclization to give Et 1-cyclopropyl-6-fluoro-7-bromo-1,4-dihydroquinol-4-one-3-carboxylate. This was vinylated by CH2:CHMgBr/ZnCl2 and Pd(PPh3)4, and the 7-vinyl compd. cyclopropanated with CH2N2 and Pd(OAc)2 and hydrolyzed with HCl, to

give I (R1 = R5 = H, R2 = Y = cyclopropyl).

IT **123942-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, as intermediate for **antibacterials**)

RN 123942-15-4 CA

CN 3-Quinolinecarboxylic acid, 7-bromo-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



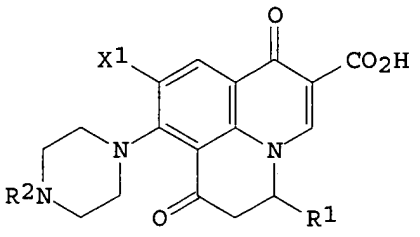
IT **123942-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, as intermediate for **antibacterials**)

IT **127803-42-3**
RL: RCT (Reactant)
(reaction of, in prepn. of quinolonecarboxylate **antibacterials**)

ACCESSION NUMBER: 112:179020 CA
TITLE: Preparation of optically active benzo[ij]quinolizinecarboxylic acid derivatives as medicinal **antibacterials**
INVENTOR(S): Tomari, Masazumi; Nagamatsu, Yasuhiro; Suzuki, Senji
PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 323189	A2	19890705	EP 1988-312299	19881223	<--
EP 323189	A3	19920226			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 01250380	A2	19891005	JP 1988-264127	19881021	<--
AU 8827035	A1	19890629	AU 1988-27035	19881219	<--
AU 624102	B2	19920604			
US 4946844	A	19900807	US 1988-286467	19881219	<--
CA 1326026	A1	19940111	CA 1988-586275	19881219	<--

PRIORITY APPLN. INFO.: JP 1987-328370 19871226
JP 1988-264127 19881021
OTHER SOURCE(S): CASREACT 112:179020; MARPAT 112:179020
GI



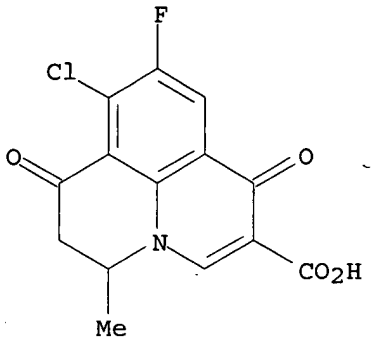
I

AB The title compds. [(+)-I; X1 = halo; R1, R2 = alkyl] and their physiol. acceptable salts and hydrates, useful as **antibacterials**, are prepd. (+)-5-Chloro-6-fluoro-2-methyl-4-oxo-1-(N-tosyl-L-prolyl)-1,2,3,4-tetrahydroquinoline, obtained by reacting (.+-.)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline with N-tosyl-L-prolyl chloride and chromatog. sepn. of the resulting diastereomeric acylquinolines, was deacylated to give (-)-5-chloro-6-fluoro-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline, which was then cyclocondensed with EtOCH:C(CO2Et)2 to give (-)-8-chloro-9-fluoro-5-methyl-6,7-dihydro-1,7-dioxo-1H,5H-benzo[ij]quinolizine-2-carboxylic acid Et ester, which was condensed with N-methylpiperazine to give (+)-I (X1 = F, R1 = R2 = Me) (II). II.HCl had an MIC of 0.05 .mu.g/mL vs. 1.56 .mu.g/mL for its enantiomer and 0.10 .mu.g/mL for its racemate.

IT **125098-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, by piperazine deriv.)

RN 125098-08-0 CA
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 8-chloro-9-fluoro-6,7-dihydro-5-methyl-1,7-dioxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



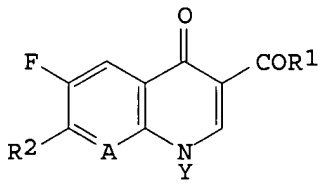
IT **125098-08-0P 125098-18-2P 125127-83-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of, by piperazine deriv.)

L

ACCESSION NUMBER: 112:77161 CA
TITLE: Preparation of 6-fluoro-1,4-dihydro-4-oxo-(1,8-naphthyridine or quinoline)-3-carboxylic acid derivatives as **antibacterial** agents
INVENTOR(S): Brighty, Katherine E.; Lowe, John Adams, III; McGuirk, Paul Robert
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 321191	A2	19890621	EP 1988-311797	19881214	<--
EP 321191	A3	19910227			
EP 321191	B1	19941102			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
WO 8905643	A1	19890629	WO 1987-US3412	19871218	<--
W: FI, HU, NO, RO, SU, US					
HU 50469	A2	19900228	HU 1987-1279	19871218	<--
IL 88664	A1	19930818	IL 1988-88664	19881212	<--
ES 2061695	T3	19941216	ES 1988-311797	19881214	<--
ZA 8809395	A	19900829	ZA 1988-9395	19881215	<--
AU 8826987	A1	19890622	AU 1988-26987	19881216	<--
AU 600188	B2	19900802			
DK 8806997	A	19890811	DK 1988-6997	19881216	<--
JP 01211587	A2	19890824	JP 1988-319341	19881216	<--
JP 07025757	B4	19950322			
FI 8903883	A	19890817	FI 1989-3883	19890817	<--
FI 90239	B	19930930			
FI 90239	C	19940110			
NO 8903305	A	19891017	NO 1989-3305	19890817	<--
NO 178149	B	19951023			
NO 178149	C	19960131			

PRIORITY APPLN. INFO.: WO 1987-US3412 19871218
OTHER SOURCE(S): CASREACT 112:77161
GI



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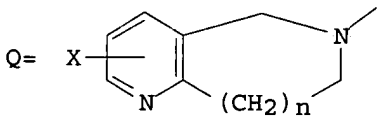
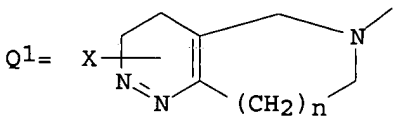




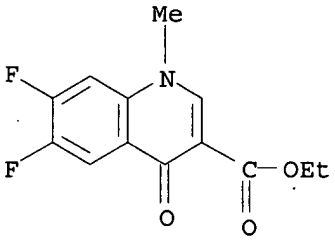
AB The title compds. [I; Y = C1-3 (hydroxy, fluoro, or chloro)alkyl,

cyclopropyl, 2,4-F2C6H3, 4-FC6H4; A = CH, CF, CCl, COMe, N; or A = C and AY = CZCH2CR3 or CZCH2C(:CH2); Z = O, CH2; R3 = H, C1-3 alkyl, FCH2, ClCH2; R1 = OH, C1-6 alkoxy, (C1-6 alkyl)amino, OM; M = **pharmaceutically** acceptable cation; R2 = heterocyclyl, e.g. Q, Q1; X = H, 1 or 2 of CH2NHR4, NHR4 or C1-6 alkylsulfonyl; R4 = H, C1-6 alkyl; n = 0, 1] are prepd. as **antibacterial** agents (no data). Thus, a soln. of 2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine in Me2SO was treated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and heated to 80.degree. overnight to give 94% 7-[5-(2-amino-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridyl)]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid.

IT **124458-07-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **antibacterial**)

RN 124458-07-7 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1,4-dihydro-1-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **124458-07-7P 124458-33-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **antibacterial**)

ACCESSION NUMBER: 111:174128 CA
TITLE: Preparation and testing of 1-cyclopropyl-7-piparazinylquinolonecarboxylates as **antibacterials**
INVENTOR(S): Matsumoto, Junichi; Minamida, Akira; Fujita, Masahiro; Hirose, Tohru; Nakano, Junji; Nakamura, Shinichi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 312085	A2	19890419	EP 1988-117113	19881014	<--
EP 312085	A3	19900530			
EP 312085	B1	19930512			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
IL 88003	A1	19921115	IL 1988-88003	19881011	<--
DK 8805737	A	19890417	DK 1988-5737	19881014	<--
FI 8804752	A	19890417	FI 1988-4752	19881014	<--
NO 8804599	A	19890417	NO 1988-4599	19881014	<--
NO 171016	B	19921005			
NO 171016	C	19930113			
AU 8823754	A1	19890420	AU 1988-23754	19881014	<--
AU 619214	B2	19920123			
ZA 8807678	A	19890726	ZA 1988-7678	19881014	<--
HU 49342	A2	19890928	HU 1988-5296	19881014	<--
HU 204521	B	19920128			
JP 02028157	A2	19900130	JP 1988-260219	19881014	<--
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SU 1780533	A3	19921207	SU 1988-4356754	19881014	<--
AT 89272	E	19930515	AT 1988-117113	19881014	<--
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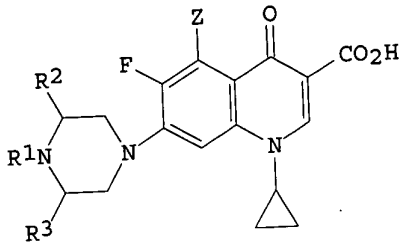
CN 1021967	B	19930901			
US 5013841	A	19910507	US 1989-389900	19890804	<--
SU 1780534	A3	19921207	SU 1989-4742183	19891018	<--
SU 1830067	A3	19930723	SU 1989-4742186	19891020	<--

PRIORITY APPLN. INFO.:
JP 1987-262441 19871016
JP 1988-108840 19880430
EP 1988-117113 19881014
US 1988-258613 19881017

OTHER SOURCE(S): MARPAT 111:174128
GI

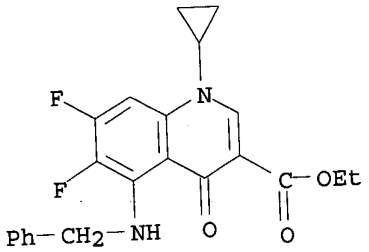


I

AB The title compds. (I; R1, R2, R3 = H, C1-5 alkyl; Z = amino, halo), and their **pharmaceutically** acceptable salts and esters were prepd. as bactericides. 5-Amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (prepn. starting from 2,3,4,6-tetrafluorobenzoic acid and PhCH2NH2 given) and piperazine were refluxed in pyridine to give I (R1 = R2 = R3 = H, Z = NH2) (II). II had an ED50 of 1.41 mg/kg orally against S. aureus in mice. Generic tablet, capsule, and injection formulations were given.

IT **123016-59-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzylation of, in prepn. of quinolonecarboxylate **antibacterial**)

RN 123016-59-1 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-5-[(phenylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **123016-59-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzylation of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, in prepn. of quinolonecarboxylate **antibacterial**)

IT **123016-57-9P 123016-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for piperazinylquinolonecarboxylate **antibacterial**)